STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 105350

TO: Emily M Le **Location: 11d16 / 8e12** **Monday, October 06, 2003** **Art Unit: 1648** **Phone: 305-4452** **Serial Number: 09 / 720276**	**From: Jan Delaval** **Location: Biotech-Chem Library** **CM1-1E07** **Phone: 308-4498** **jan.delaval@uspto.gov**

Search Notes

Jan Delaval
Reference Librarian
Biotechnology & Chemical Library
CM1 1E07 – 703-308-4498
jan.delaval@uspto.gov

STIC SEARCH RESULTS

Biotech-Chem Library

Questions about the scope or the results of the search? Contact ***the searcher or contact:***

Mary Hale, Information Branch Supervisor
308-4258, CM1-1E01

Voluntary Results Feedback Form

- *I am an examiner in Workgroup:* ☐ *Example: 1610*
- *Relevant prior art **found**, search results used as follows:*
  - ☐ 102 rejection
  - ☐ 103 rejection
  - ☐ Cited as being of interest.
  - ☐ Helped examiner better understand the invention.
  - ☐ Helped examiner better understand the state of the art in their technology.

Types of relevant prior art found:

- ☐ Foreign Patent(s)
- ☐ Non-Patent Literature
  (journal articles, conference proceedings, new product announcements etc.)

- *Relevant prior art **not found:***
  - ☐ Results verified the lack of relevant prior art (helped determine patentability).
  - ☐ Results were not useful in determining patentability or understanding the invention.

Comments:

Drop off or send completed forms to STIC/Biotech-Chem Library CM1 – Circ. Desk

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=> fil reg
FILE 'REGISTRY' ENTERED AT 15:38:46 ON 06 OCT 2003
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Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES:    3 OCT 2003  HIGHEST RN 598296-84-5
DICTIONARY FILE UPDATES:   3 OCT 2003  HIGHEST RN 598296-84-5

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available.  See HELP
PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> d sta que l35
L33             STR
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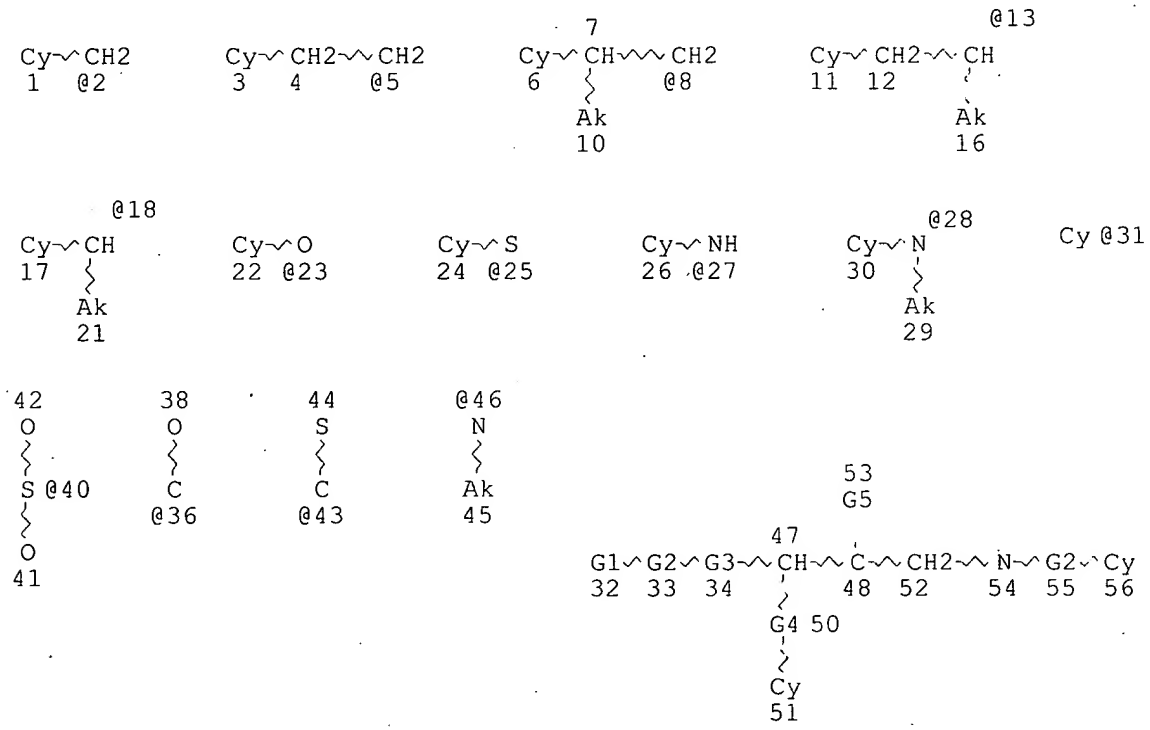


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VAR G3=NH/46
REP G4=(0-6) CH2
VAR G5=O/N
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
GGCAT   IS PCY  AT   1
GGCAT   IS PCY  AT   3
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Jan Delaval
Reference Librarian
Biotechnology & Chemical Library
CM1 1E07 – 703-308-4498
jan.delaval@uspto.gov

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GGCAT   IS PCY  AT  11
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GGCAT   IS PCY  AT  24
GGCAT   IS PCY  AT  26
GGCAT   IS PCY  AT  30
GGCAT   IS PCY  AT  31
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  47

STEREO ATTRIBUTES: NONE
L35        1066 SEA FILE=REGISTRY SSS FUL L33

100.0% PROCESSED 255235 ITERATIONS                    1066 ANSWERS
SEARCH TIME: 00.00.14


=> d his

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               SET COST OFF

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               E ERICKSON J/AU
L1           66 S E3,E24
               E ERICKSON JOHN/AU
L2          149 S E3,E20,E21
               E GULNIK S/AU
L3           54 S E3,E4,E6-E10
               E MITSUYA H/AU
L4          287 S E3,E6,E7,E9
L5           52 S L1,L2 AND L3,L4
L6            4 S L3 AND L4
L7            4 S L5 AND L6
               SEL RN

     FILE 'REGISTRY' ENTERED AT 14:30:21 ON 06 OCT 2003
L8           15 S E1-E15
L9            1 S L8 AND OC4-OC4/ES

     FILE 'HCAPLUS' ENTERED AT 14:31:48 ON 06 OCT 2003
L10          12 S L9
L11           7 S L10 AND L1-L7
L12           6 S L11 NOT L7
L13           5 S L10 NOT L11
L14          11 S L12,L13
               SEL RN

     FILE 'REGISTRY' ENTERED AT 14:32:26 ON 06 OCT 2003
L15         320 S E16-E335
L16         201 S L15 AND OC4-OC4/ES
L17         193 S L16 AND 46.150.18/RID
L18          33 S L17 AND 4/NR
L19          12 S L18 AND (C28H38N2O8S OR C28H39N3O7S OR C27H36N2O8S OR C28H38N
               SEL RN 1-6
L20           6 S L19 NOT E336-E341
               SEL RN
L21           0 S E342-E347/CRN
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L22           6 S L9,L20

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L23          12 S L22
L24           7 S TMC126 OR TMC 126 OR UIC94003 OR UIC()(94003 OR 94 003)
L25          13 S L23,L24
L26           7 S L25 AND L1-L7
L27          13 S L25,L26
L28           3 S L27 AND (PD<=19980623 OR PRD<=19980623 OR AD<=19980623)

     FILE 'REGISTRY' ENTERED AT 14:44:54 ON 06 OCT 2003
L29           6 S L19 NOT L22

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L30           1 S L29
L31           0 S L30 AND (PD<=19980623 OR PRD<=19980623 OR AD<=19980623)

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L32             STR
L33             STR L32
L34           5 S L33
L35        1066 S L33 FUL
                SAV L35 EMILY720/A
L36         196 S L35 AND L8,L15
L37         870 S L35 NOT L36

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L38          17 S L36
L39          15 S L37
L40          12 S L38,L39 AND (PD<=19980623 OR PRD<=19980623 OR AD<=19980623)
L41           2 S L40 AND L1-L4
L42           3 S L28,L41
L43           9 S L40 NOT L42

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FILE 'HCAPLUS' ENTERED AT 15:39:10 ON 06 OCT 2003
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FILE COVERS 1907 - 6 Oct 2003  VOL 139 ISS 15
FILE LAST UPDATED: 5 Oct 2003  (20031005/ED)

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.


=> d 142 all hitstr tot

L42  ANSWER 1 OF 3  HCAPLUS  COPYRIGHT 2003 ACS on STN
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AN 1999:819523 HCAPLUS
DN 132:59135
TI Fitness assay and associated methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance
IN **Erickson, John W.; Gulnik, Sergei V.**
PA United States of America, Represented by the Secretary, Department of Health and Human Services, USA
SO PCT Int. Appl., 119 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C12Q001-00
CC 1-1 (Pharmacology)
Section cross-reference(s): 28, 63
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9967417	A2	19991229	WO 1999-US14119	19990623 <--
	WO 9967417	A3	20000928		
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2336160	AA	19991229	CA 1999-2336160	19990623 <--
	AU 9948280	A1	20000110	AU 1999-48280	19990623 <--
	EP 1088098	A2	20010404	EP 1999-931861	19990623 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2002518063	T2	20020625	JP 2000-556057	19990623 <--
PRAI	US 1998-90393P	P	19980623	<--	
	WO 1999-US14119	W	19990623		

OS MARPAT 132:59135
GI For diagram(s), see printed CA Issue.
AB The invention provides an assay for detg. the biochem. fitness of a biochem. species in a mutant replicating biol. entity relative to its predecessor. The invention further provides a continuous fluorogenic assay for measuring the anti-HIV protease activity of protease inhibitor. The invention also provides a method of administering a therapeutic compd. that reduces the chances of the emergence of drug resistance in therapy. The invention also provides a compd. AXQN(R2)CH[(CH2)mR3]CH(R4)CH2N(R5)(WR6) [A = Q1, Q2, Q3, Q4; R1, R2, R3, R5, R6 = H, (substituted and/or heteroatom-bearing) alkyl, alkenyl, alkynyl, or cyclic group; Y, Z = CH2, O, S, SO, SO2, amino, amides, carbamates, ureas, or thiocarbonyl derivs. thereof, optionally substituted with an alkyl, alkenyl, or alkynyl group; n = 1-5; X = bond, (substituted) methylene or ethylene, amino, O, S; Q = C(O), C(S), SO2; m = 0-6; R4 = OH, =O (keto), NH2, alkylamino, including esters, amides, and salts thereof; W = C(O), C(S), S(O), SO2; Optionally, R5 and R6, together with the NW bond comprise a macrocyclic ring], or a pharmaceutically acceptable salt, a prodrug, a compn., or an ester thereof.
ST biochem fitness mutant drug resistance; HIV protease inhibitor drug resistance mutation
IT Conformation
(conformational change inhibition; fitness assay and assocd. methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance)
IT Anti-infective agents
Antibacterial agents

Antimalarials
Antitumor agents
Antiviral agents
Bacteria (Eubacteria)
Drug resistance
Drugs
Enzyme kinetics
Fluorometry
Human immunodeficiency virus
Human immunodeficiency virus 1
Human immunodeficiency virus 2
Michaelis constant
Multidrug resistance
Mutation
Neoplasm
Plasmodium (malarial genus)
Resolution (separation)
Retroviridae
Virus
(fitness assay and assocd. methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance)

IT Enzymes, biological studies
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(fitness assay and assocd. methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance)

IT Microorganism
(infectious; fitness assay and assocd. methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance)

IT Ligands
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(ligand binding inhibition; fitness assay and assocd. methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance)

IT Parasite
(malarial; fitness assay and assocd. methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance)

IT Polymerization
(oligomerization; fitness assay and assocd. methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance)

IT Drug delivery systems
(prodrugs; fitness assay and assocd. methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance)

IT Proteins, general, biological studies
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(viral; fitness assay and assocd. methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance)

IT 128340-45-4 253274-32-7
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(fitness assay and assocd. methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance)

IT **206362-00-7P 253265-95-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(fitness assay and assocd. methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance)

IT **206361-99-1 206362-01-8 253265-99-5**
253266-00-1 253266-01-2 253266-02-3
253266-03-4
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(fitness assay and assocd. methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance)

IT 144114-21-6, Retropepsin 220247-45-0, Plasmepsin
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(fitness assay and assocd. methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance)

IT 9001-62-1, Lipase
RL: CAT (Catalyst use); USES (Uses)
(fitness assay and assocd. methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance)

IT 49676-93-9P 109789-17-5P 116949-62-3P 116949-67-8P 140867-26-1P
156928-09-5P 156928-10-8P 159005-71-7P 162020-29-3P 162119-33-7P
180902-29-8P 206361-96-8P 253265-96-2P 253265-97-3P 253265-98-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction; fitness assay and assocd. methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance)

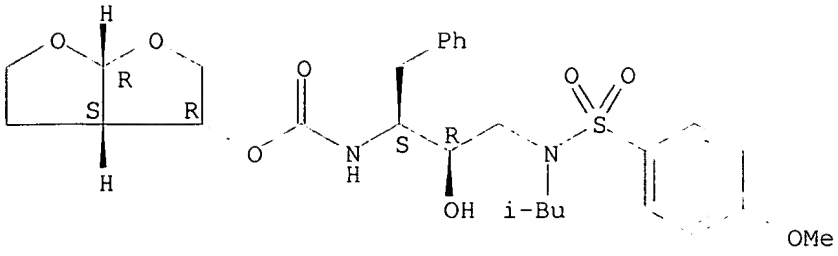
IT 78-81-9, Isobutylamine 98-68-0, 4-Methoxybenzenesulfonyl chloride
100-58-3, Phenyl magnesium bromide 107-19-7, Propargyl alcohol
516-12-1, N-Iodosuccinimide 930-22-3 4648-54-8, Azidotrimethylsilane
74124-79-1, Disuccinimidyl carbonate
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction; fitness assay and assocd. methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance)

IT 9014-24-8, RNA polymerase 9068-38-6, Reverse transcriptase
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(viral; fitness assay and assocd. methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance)

IT **206362-00-7P 253265-95-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(fitness assay and assocd. methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance)

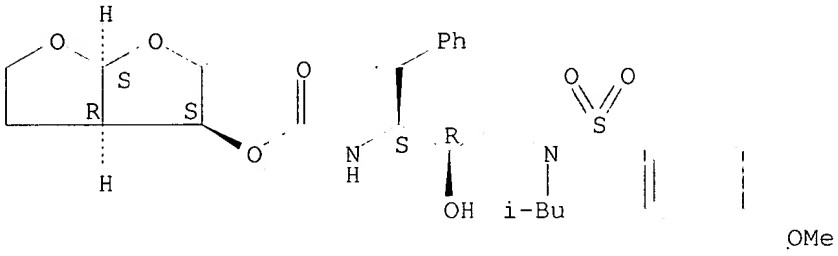
RN 206362-00-7 HCAPLUS
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253265-95-1 HCAPLUS
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3S,3aR,6aS)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **206361-99-1 206362-01-8 253265-99-5**
253266-00-1 253266-01-2 253266-02-3
253266-03-4
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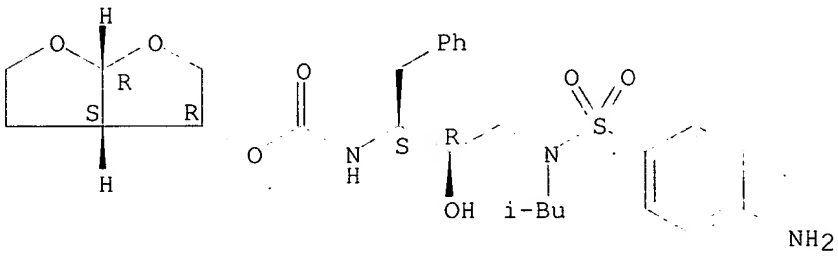
(fitness assay and assocd. methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance)

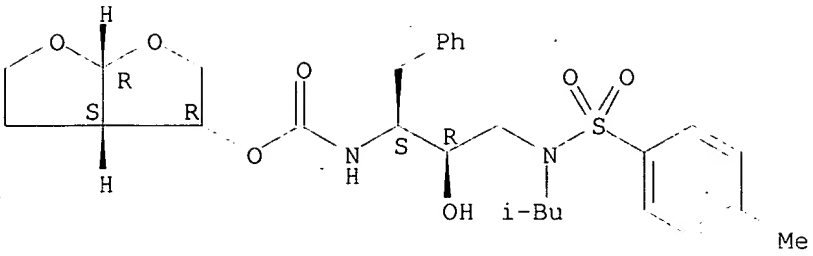
RN 206361-99-1 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 206362-01-8 HCAPLUS
CN Carbamic acid, [(2S,3R)-2-hydroxy-3-[[(4-methylphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253265-99-5 HCAPLUS
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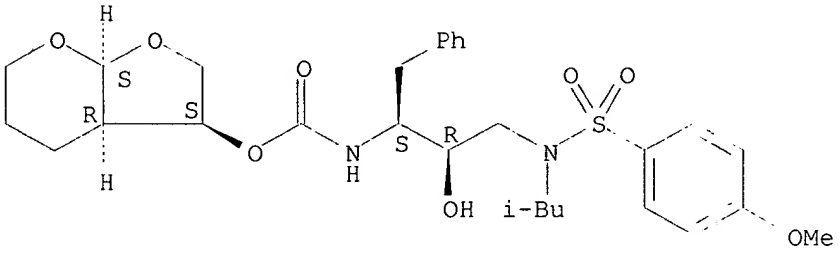
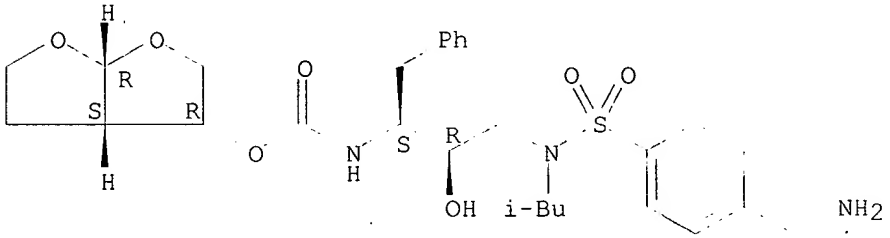
Absolute stereochemistry.



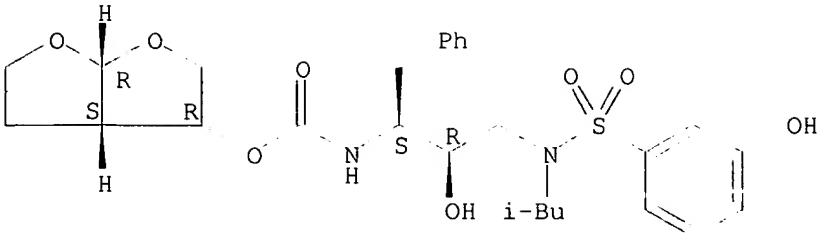
RN 253266-00-1 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(aminomethyl)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



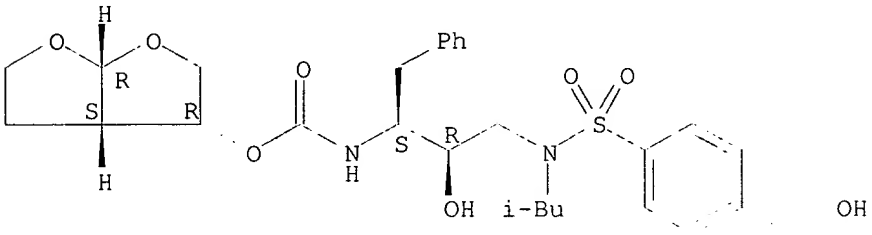
RN 253266-01-2 HCAPLUS
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[(3-hydroxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



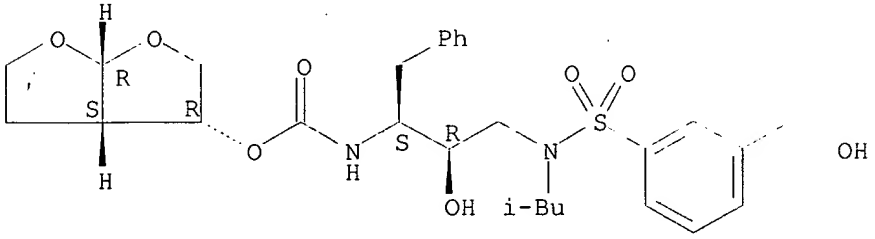
RN 253266-02-3 HCAPLUS
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[4-(hydroxymethyl)phenyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253266-03-4 HCAPLUS
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[3-(hydroxymethyl)phenyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L42 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2003 ACS on STN
AN 1999:819380 HCAPLUS
DN 132:64254
TI Multidrug-resistant retroviral protease inhibitors and associated methods
IN **Erickson, John W.**; **Gulnik, Sergei V.**; Ghosh, Arun K.; Hussain, Khaja A.
PA United States Dept. of Health and Human Services, USA; Board of Trustees of the University of Illinois
SO PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07D493-00
CC 28-2 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9967254	A2	19991229	WO 1999-US14120	19990623 <--
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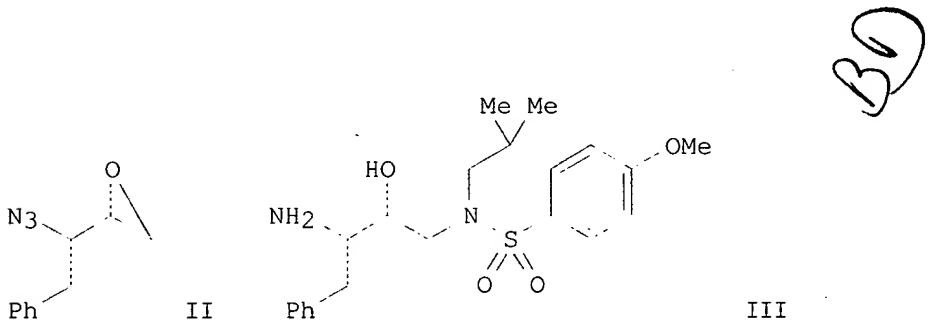
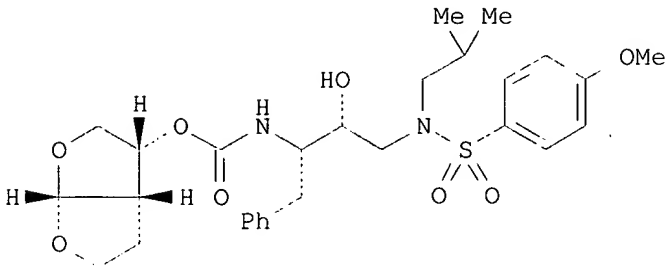
PRAI	US 1998-90393P	P	19980623 <--		
	WO 1999-US14120	W	19990623		

OS MARPAT 132:64254

GI



II III



IV

AB Nonpeptidic, retroviral protease-inhibiting compds. AZZ1NR2CH[(CH2)mR3]CHR4CH2NR5Z2R6 [I; A = heterocyclyl (structures specified); R2 = H, C1-6 alk(en)yl, C1-6 alkynyl; R3 = (un)substituted (hetero)cycloalkyl, (un)substituted (hetero)aryl; R4 = OH, O, NH2, NHMe; R5 = H, C1-6 alk(en)yl, etc.; R6 = (un)substituted (hetero)cycloalkyl, (un)substituted (hetero)aryl; R5R6 together with NZ2 bond can form a 12-18-membered ring contg. .gtoreq.1 addnl. heteroatom; Z = bond, CHR10, O, S, NR10, etc.; R10 = (un)substituted alk(en)yl or alkynyl; Z1, Z2 = C(O), S(O), SO2; m = 0-6] or their pharmaceutically acceptable salts, prodrugs, or esters, were prepd. Also provided are pharmaceutical compns. for, and therapeutic methods of treating a multidrug-resistant retroviral infection in a mammal. For example, azidoepoxybutane II (4-step prepn. from butadiene monooxide and PhMgBr given) was subjected to ring cleavage/amination with Me2CHCH2NH2, the amine amidated with p-MeOC6H4SO2Cl and the azide function of the resulting amide reduced by Pd-catalyzed hydrogenation to give aminosulfonamide III. Transamidation of the latter with (3R,3aS,6aR)-3-hydroxyhexahydrofuro[2,3-b]furyl

succinimidyl carbonate (5-step prepn. from dihydrofuran and propargyl alc. given) gave a title inhibitor IV which showed nanomolar and sub-nanomolar potency against several multidrug-resistant HIV-1.

ST retroviral protease inhibitor nonpeptidic ligand prepn; furfuranyl carbamate aminopropyl prepn HIV protease inhibitor

IT Anti-AIDS agents
Antiviral agents
Human immunodeficiency virus 1
(prepn. of multidrug-resistant retroviral protease inhibitors and assocd. methods)

IT AIDS (disease)
(prepn. of multidrug-resistant retroviral protease inhibitors and methods for treatment of)

IT Retroviridae
(protease-producing; prepn. of multidrug-resistant retroviral protease inhibitors and assocd. methods)

IT 9001-92-7, Protease
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(HIV retroviral; prepn. of multidrug-resistant retroviral protease inhibitors and assocd. methods)

IT 100-58-3, Phenylmagnesium bromide
RL: RCT (Reactant); RACT (Reactant or reagent)
(addn. reaction with butadiene monooxide; prepn. of multidrug-resistant retroviral protease inhibitors and assocd. methods)

IT 78-81-9, Isobutylamine
RL: RCT (Reactant); RACT (Reactant or reagent)
(addn. reaction with epoxybutane deriv.; prepn. of multidrug-resistant retroviral protease inhibitors and assocd. methods)

IT 930-22-3, Butadiene monooxide
RL: RCT (Reactant); RACT (Reactant or reagent)
(addn. reaction with phenylmagnesium bromide; prepn. of multidrug-resistant retroviral protease inhibitors and assocd. methods)

IT 107-19-7, Propargyl alcohol
RL: RCT (Reactant); RACT (Reactant or reagent)
(addn. with dihydrofuran; prepn. of multidrug-resistant retroviral protease inhibitors and assocd. methods)

IT 98-68-0, 4-Methoxybenzenesulfonyl chloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(amidation od isobutylamine deriv.; prepn. of multidrug-resistant retroviral protease inhibitors and assocd. methods)

IT 1191-99-7, 2,3-Dihydrofuran
RL: RCT (Reactant); RACT (Reactant or reagent)
(iodination and addn. with propargyl alc.; prepn. of multidrug-resistant retroviral protease inhibitors and assocd. methods)

IT 159005-71-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and amidation with furfuranyl succinimidyl carbonate; prepn. of multidrug-resistant retroviral protease inhibitors and assocd. methods)

IT 206361-96-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and amidation; prepn. of multidrug-resistant retroviral protease inhibitors and assocd. methods)

IT 180902-29-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclization; prepn. of multidrug-resistant retroviral protease inhibitors and assocd. methods)

IT 162119-33-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and enzymic resoln.; prepn. of multidrug-resistant retroviral

protease inhibitors and assocd. methods)

IT 49676-93-9P 116949-67-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and epoxidn.; prepn. of multidrug-resistant retroviral protease inhibitors and assocd. methods)

IT 156928-09-5P 156928-10-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and esterification with active carbonate; prepn. of multidrug-resistant retroviral protease inhibitors and assocd. methods)

IT 109789-17-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and oxidn. to ketone; prepn. of multidrug-resistant retroviral protease inhibitors and assocd. methods)

IT 109789-18-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and redn. to alc.; prepn. of multidrug-resistant retroviral protease inhibitors and assocd. methods)

IT 253265-96-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and redn. to amine; prepn. of multidrug-resistant retroviral protease inhibitors and assocd. methods)

IT 136465-89-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and ring cleavage/addn. with isobutylamine; prepn. of multidrug-resistant retroviral protease inhibitors and assocd. methods)

IT 162020-29-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and sapon.; prepn. of multidrug-resistant retroviral protease inhibitors and assocd. methods)

IT 116949-62-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and substitution with azide; prepn. of multidrug-resistant retroviral protease inhibitors and assocd. methods)

IT 253265-97-3P 253265-98-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and transamidation; prepn. of multidrug-resistant retroviral protease inhibitors and assocd. methods)

IT **206362-00-7P 253265-95-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of multidrug-resistant retroviral protease inhibitors and assocd. methods)

IT **206361-99-1 206362-01-8 253265-99-5 253266-00-1 253266-01-2 253266-02-3 253266-03-4**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of multidrug-resistant retroviral protease inhibitors and assocd. methods)

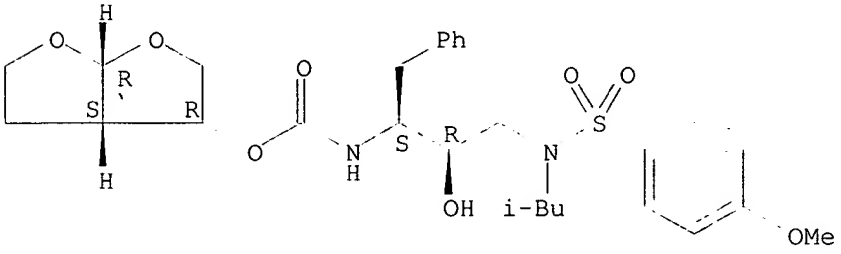
IT **206362-00-7P 253265-95-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of multidrug-resistant retroviral protease inhibitors and assocd. methods)

RN 206362-00-7 HCAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

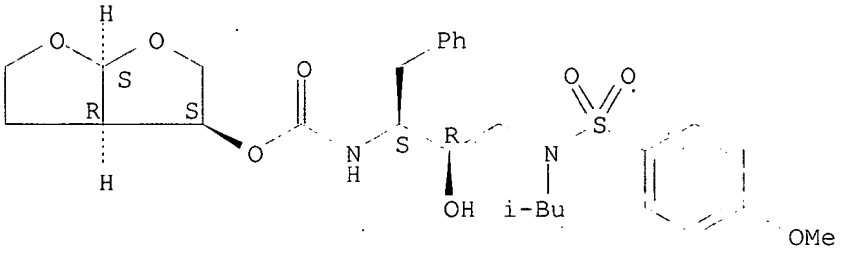
Absolute stereochemistry.



RN 253265-95-1 HCAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3S,3aR,6aS)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



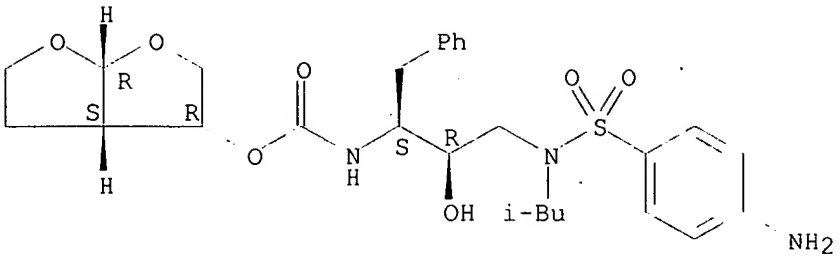
IT **206361-99-1 206362-01-8 253265-99-5 253266-00-1 253266-01-2 253266-02-3 253266-03-4**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of multidrug-resistant retroviral protease inhibitors and assocd. methods)

RN 206361-99-1 HCAPLUS

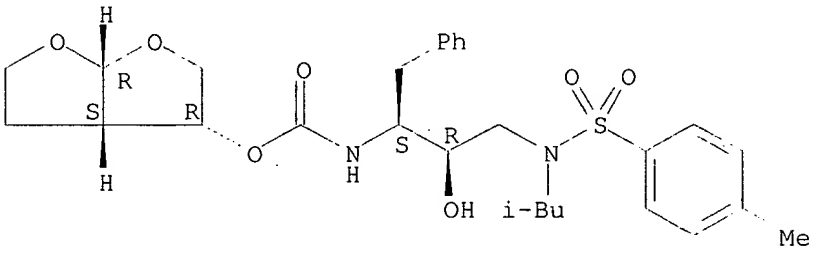
CN Carbamic acid, [(1S,2R)-3-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



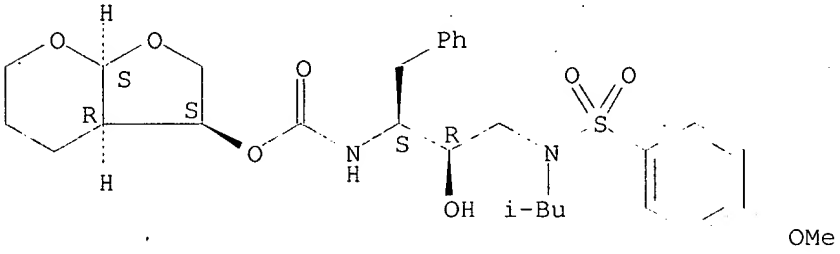
RN 206362-01-8 HCAPLUS
CN Carbamic acid, [(2S,3R)-2-hydroxy-3-[[(4-methylphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



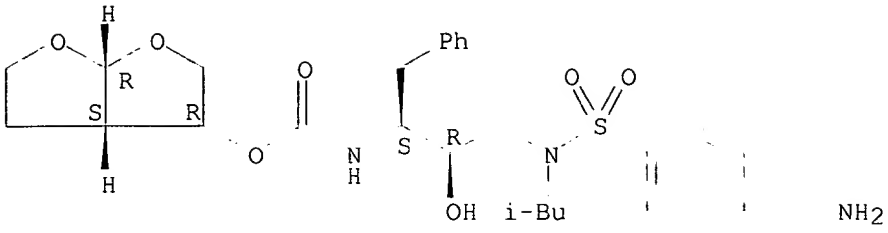
RN 253265-99-5 HCAPLUS
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3S,3aR,7aS)-hexahydro-4H-furo[2,3-b]pyran-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



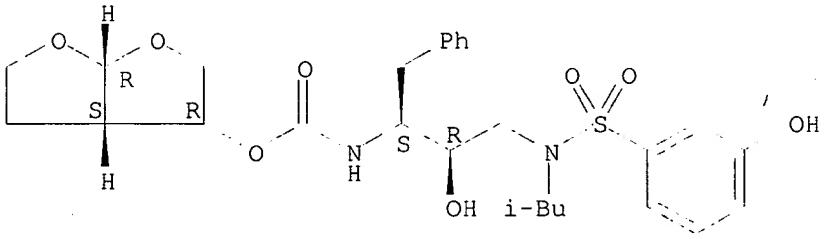
RN 253266-00-1 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(aminomethyl)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



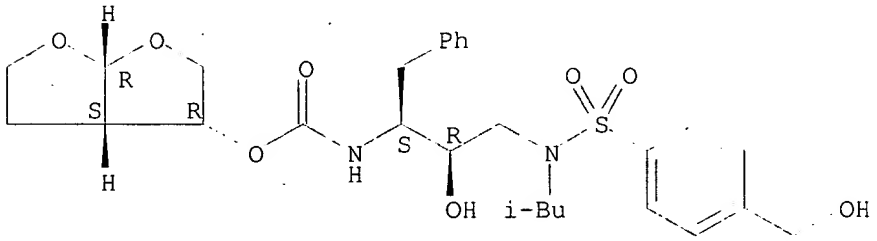
RN 253266-01-2 HCAPLUS
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[(3-hydroxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



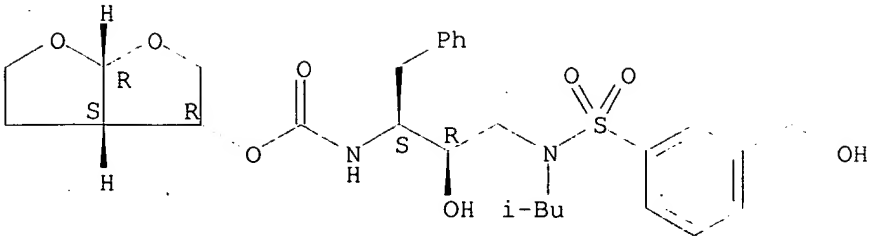
RN 253266-02-3 HCAPLUS
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[4-(hydroxymethyl)phenyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



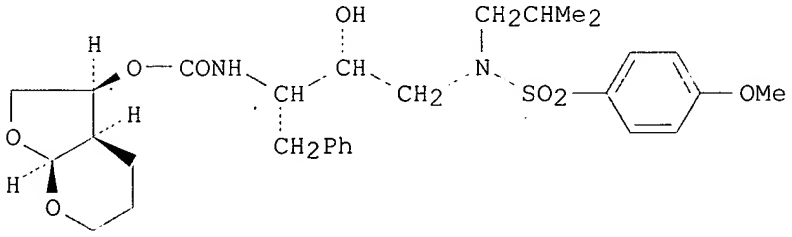
RN 253266-03-4 HCAPLUS
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[3-(hydroxymethyl)phenyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



March 1996 102(a)

L42 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2003 ACS on STN
AN 1998:220237 HCAPLUS
DN 129:16069
TI Potent HIV protease inhibitors incorporating high-affinity P2-ligands and (R)-[(hydroxyethyl)amino]sulfonamide isostere
AU Ghosh, Arun K.; Kincaid, John F.; Cho, Wonhwa; Walters, D. Eric; Krishnan, K.; Hussain, Khaja Azhar; Koo, Yumee; Cho, Hanna; Rudall, Clare; Holland, Louis; Buthod, Jim
CS Department of Chemistry, Univ. of Illinois at Chicago, Chicago, IL, 60607, USA
SO Bioorganic & Medicinal Chemistry Letters (1998), 8(6), 687-690
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
CC 28-2 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 27
GI



I

AB The prepn. of the title protease inhibitors, e.g., I, is described.
ST HIV protease inhibitor P2 ligand hydroxyethylaminosulfonamide; sulfonamide hydroxyethylamino isostere prepn protease inhibitor
IT Antiviral agents
(HIV protease inhibitors incorporating high-affinity P2-ligands and (R)-[(hydroxyethyl)amino]sulfonamide isostere)
IT 160231-01-6P 161814-49-9P 169280-50-6P 169280-51-7P 206361-97-9P 206361-98-0P 206361-99-1P **206362-00-7P** 206362-01-8P 206362-02-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(HIV protease inhibitors incorporating high-affinity P2-ligands and (R)-[(hydroxyethyl)amino]sulfonamide isostere)
IT 78-81-9, Isobutylamine 98-68-0, Benzenesulfonyl chloride, 4-methoxy- 98-74-8, Benzenesulfonyl chloride, 4-nitro- 135680-78-3D, esters 136465-89-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(HIV protease inhibitors incorporating high-affinity P2-ligands and

(R)-[(hydroxyethyl)amino]sulfonamide isostere)
IT 159005-71-7P 169280-56-2P 206361-96-8P 206362-03-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(HIV protease inhibitors incorporating high-affinity P2-ligands and (R)-[(hydroxyethyl)amino]sulfonamide isostere)
IT 144114-21-6, Retropepsin
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(of HIV; inhibitors incorporating high-affinity P2-ligands and (R)-[(hydroxyethyl)amino]sulfonamide isostere)
RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
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(2) Craig, J; Antiviral Res 1991, V16, P295 HCAPLUS
(3) Ghosh, A; Bioorg Med Chem Lett 1995, V5, P83 HCAPLUS
(4) Ghosh, A; J Med Chem 1993, V36, P2300 HCAPLUS
(5) Ghosh, A; J Med Chem 1993, V36, P292 HCAPLUS
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(16) Kim, E; J Am Chem Soc 1995, V117, P1181 HCAPLUS
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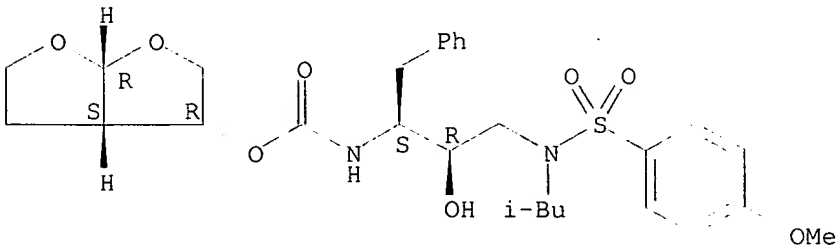
(21) Vazquez, M; J Med Chem 1995, V38, P581 HCAPLUS
IT **206362-00-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(HIV protease inhibitors incorporating high-affinity P2-ligands and (R)-[(hydroxyethyl)amino]sulfonamide isostere)
RN 206362-00-7 HCAPLUS
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d l43 all hitstr tot

L43 ANSWER 1 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN

AN 2000:304314 HCAPLUS
DN 132:322147
TI Preparation of .alpha.- and .beta.-amino acid hydroxyethylamino sulfonamides as retro viral protease inhibitors.
IN Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Heintz, Robert M.; Bertenshaw, Deborah E.
PA G.D.Searle and Co., USA
SO U.S., 93 pp., Cont.-in-part of Appl. PCT/US93/07814.
CODEN: USXXAM
DT Patent
LA English
IC A61K315-05; C07D239-02; C07D211-78; C07D277-30
NCL 514256000
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 7, 15, 63
FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
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	WO 9404492	A1	19940303	WO 1993-US7814	19930824	<--
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	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
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	EP 810209	A3	19981202			
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	AT 174587	E	19990115	AT 1994-927162	19940823	<--
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	US 6248775	B1	20010619	US 1999-288080	19990408	<--
	US 6500832	B1	20021231	US 2000-525161	20000314	<--
	US 2002052399	A1	20020502	US 2001-798255	20010305	<--
	US 6417387	B2	20020709			
PRAI	US 1992-934984	B2	19920825	<--		
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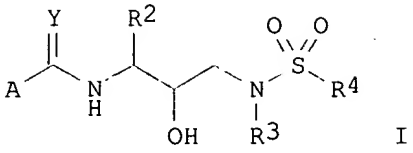
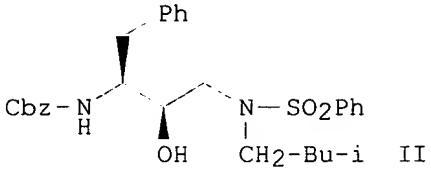
OS MARPAT 132:322147
GI



I



II

AB Amino acid hydroxyethylamino sulfonamide compds. I [R2 = (un)substituted aryl, (cyclo)alkyl, aralkyl, cycloalkylalkyl; R3 = alkyl, haloalkyl, alkenyl, alkynyl, hydroxy-, alkoxy-, alkylthio-, or alkylsulfonylalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, or heteroaralkyl; R4 = heterocycloalkyl, heteroaryl or aryl; Y = O or S; A = heterocycloalkyl, heterocycloalkoxy, heterocycloalkylalkoxy, heteroaralkyl, heteroarylalkoxy, heteroaryloxy or heteroaryl] were prepd. as retroviral protease inhibitors, particular as inhibitors of HIV protease. Thus, compd. II (Cbz = benzyloxycarbonyl) was prepd. and assayed for HIV inhibitory activity (IC50 = 16 nM). Compds. of formula I were tested for cytotoxicity and efficacy (IC50, EC50 and TD50 values at the nanomolar level are tabulated).

ST amino acid hydroxyethylamino sulfonamide prepn retroviral protease inhibitor; HIV protease inhibitor peptide hydroxyethylamino sulfonamide prepn

IT Anti-AIDS agents
Human immunodeficiency virus 1
(amino acid hydroxyethylamino sulfonamides as retroviral protease inhibitors)

IT Amino acids, preparation
Peptides, preparation
Sulfonamides
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(amino acid hydroxyethylamino sulfonamides as retroviral protease inhibitors)

IT 157445-94-8P 157566-75-1P 157566-99-9P 159005-84-2P 159005-85-3P
159005-86-4P 159005-97-7P 159005-98-8P 159005-99-9P 159006-00-5P
159006-01-6P 159006-50-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(amino acid hydroxyethylamino sulfonamides as retroviral protease inhibitors)

IT 157445-95-9P 157567-10-7P 159005-79-5P 159005-81-9P 159005-92-2P
159005-96-6P 159006-08-3P 159006-10-7P 169280-52-8P 169280-77-7P
169280-78-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(amino acid hydroxyethylamino sulfonamides as retroviral protease inhibitors)

IT 157567-06-1P 159005-62-6P 159005-67-1P 159005-68-2P 159005-69-3P
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159005-78-4P 159005-80-8P 159005-82-0P 159005-83-1P 159005-87-5P
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247047-60-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(amino acid hydroxyethylamino sulfonamides as retroviral protease inhibitors)

IT 63-91-2, L-Phenylalanine, reactions 98-09-9, Benzenesulfonyl chloride 98-68-0, 4-Methoxybenzenesulfonyl chloride 100-55-0, 3-Pyridylcarbinol 632-46-2, 2,6-Dimethylbenzoic acid 2170-03-8, Itaconic anhydride 2304-96-3 3182-95-4, L-Phenylalaninol 3391-99-9 3392-08-3 25193-95-7, 5-Pyrimidinemethanol 26049-94-5 30925-18-9 62965-10-0 79107-75-8 136465-99-1 138499-08-8 143224-62-8 157566-95-5 159006-12-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(amino acid hydroxyethylamino sulfonamides as retroviral protease inhibitors)

IT 1975-51-5P 7338-27-4P, Methyl itaconate 39658-41-8P, Ethyl-6-aminonicotinate 60427-77-2P 83509-04-0P 84575-50-8P
111060-52-7P 111060-64-1P 127927-43-9P 127943-39-9P 128018-43-9P
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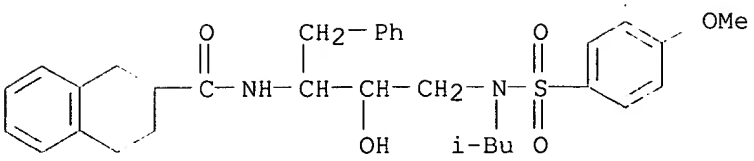
159006-14-1P 159006-15-2P 159006-16-3P 159006-17-4P 159006-18-5P
159006-20-9P 159006-22-1P 169280-50-6P 169280-82-4P 169280-83-5P
169280-84-6P 169280-86-8P 169280-87-9P 169280-88-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(amino acid hydroxyethylamino sulfonamides as retroviral protease inhibitors)

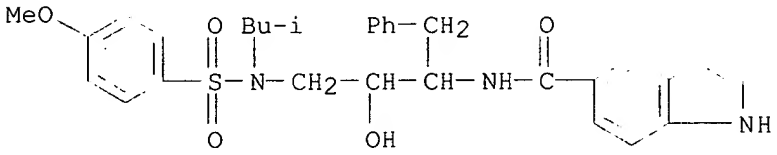
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Anon; WO 9405639 1994 HCAPLUS
(2) Tung; US 5585397 1996 HCAPLUS

IT **159006-27-6P 216871-08-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(amino acid hydroxyethylamino sulfonamides as retroviral protease inhibitors)

RN 159006-27-6 HCAPLUS
CN 2-Naphthalenecarboxamide, 1,2,3,4-tetrahydro-N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)



RN 216871-08-8 HCAPLUS
CN 1H-Indole-5-carboxamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)



L43 ANSWER 2 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN
AN 2000:220728 HCAPLUS
DN 132:265504
TI Preparation of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors.
IN Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Bertebshaw, Deborah E.; Heintz, Robert M.
PA Searle and Co., USA
SO U.S., 119 pp., Cont.-in-part of U.S. 204,872, abandoned.
CODEN: USXXAM
DT Patent
LA English
IC A61K031-42; C07D265-34; C07D277-60; C07D295-02
NCL 514231200
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 7
FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6046190	A	20000404	US 1996-586866	19960124 <--
	WO 9404492	A1	19940303	WO 1993-US7814	19930824 <--
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	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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	RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
PRAI	US 1992-934984	B2	19920825 <--		
	WO 1993-US7814	A2	19930824 <--		
	US 1994-204872	B2	19940302 <--		
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EP 1993-923714 A3 19930824 <--
US 1993-110911 A 19930824 <--
US 1994-204827 A 19940302 <--

OS MARPAT 132:265504

AB Hydroxyethylamino sulfonamide compds. R9R10N(CR7R8)pCHR1C(:Y)NR6CHR2CH(OH)CH2NR3S(:O)xR4 [I: R1 = H, CH2SO2NH2, CH2CO2CH3, alkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, amino acid side chains, etc.; R2 = (un)substituted alkyl, aryl, cycloalkyl, cycloalkylalkyl, aralkyl; R3 = H, alkyl, haloalkyl, alkenyl, alkynyl, aryl, heteroaryl, mono- and disubstituted aminoalkyl, etc.; R4 = alkyl, haloalkyl, alkenyl, alkynyl, aryl, (un)satd. heterocycle, (un)substituted arom. heterocycloalkyl, etc.; R6 = H, alkyl; Y = O, S, NR3; R7,R8 = independently H, R1, or together with R1 and the carbon atoms to which they are attached represent a cycloalkyl radical; R9 = H, R3, or R3SO2; R10 = H, alkoxycarbonyl, alkylcarbonyl, aroyl, aryloxycarbonyl, heterocyclylalkoxycarbonyl, mono- and disubstituted aminocarbonyl, or aminoalkanoyl, etc.; or R9R10N = heterocycloalkyl or heteroaryl; x = 0-2; p = 0-1] or their pharmaceutically acceptable salts, prodrugs, or esters were prepd. as inhibitors of retroviral proteases such as human immunodeficiency virus (HIV). Many inhibitors were prepd. by (1) prepg. an N-protected amino epoxide and (2) reacting this with an amine and (3) prepg. a sulfonamide by reacting with a sulfonyl chloride or sulfonyl anhydride in the presence of an acid scavenger. The amino function of the sulfonamide was then (4) deprotected and (5) reacted with a carboxylate. Thus, N1-[2R-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1S-(phenylmethyl)propyl]-2S-[(2-quinolinylcarbonyl)amino]butanediamide was prepd. and assayed for HIV protease inhibitory activity (IC50 = 1.5 nM). Compds. of formula I were tested for cytotoxicity and antiviral efficacy (IC50, EC50, and TD50 values at the nanomolar level are tabulated).

ST amino acid hydroxyethylamino sulfonamide prepn retroviral protease inhibitor; HIV protease inhibitor hydroxyethylamino sulfonamide prepn; peptide hydroxyethylamino sulfonamide prepn retroviral protease inhibitor

IT Anti-AIDS agents
Antiviral agents
Human immunodeficiency virus 1
(prepn. of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

IT Amino acids, preparation
Peptides, preparation
Sulfonamides
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

IT 157566-99-9P 159005-79-5P 159005-80-8P 159005-81-9P 159005-82-0P
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159005-88-6P 159006-02-7P 159006-03-8P 169280-50-6P 169280-52-8P
169280-61-9P 169280-77-7P 169280-78-8P 169280-93-7P 216872-44-5P
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216872-76-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

IT 157445-95-9P 157566-88-6P 157566-90-0P 157566-95-5P 157566-97-7P
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159005-89-7P 159005-91-1P 159005-92-2P 159005-93-3P 159005-94-4P

159005-95-5P 159006-07-2P 159006-08-3P 159006-10-7P 159006-21-0P
159006-23-2P 159006-25-4P **159006-27-6P** 159006-30-1P
159006-42-5P 160231-01-6P 160231-57-2P 160231-77-6P 169280-38-0P
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169281-12-3P 169281-13-4P 169281-14-5P 169281-15-6P 169281-16-7P
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216870-98-3P **216871-08-8P** 216871-14-6P 216871-19-1P
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216874-14-5P 216874-64-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

IT 9001-92-7, Protease 144114-21-6, Retropepsin
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(prepn. of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

IT 63-91-2, L-Phenylalanine, reactions 78-81-9, Isobutylamine 87-62-7, 2,6-Dimethylaniline 95-48-7, 2-Methylphenol, reactions 95-49-8 98-09-9, Phenylsulfonyl chloride 98-68-0, 4-Methoxybenzenesulfonyl chloride 98-74-8, 4-Nitrobenzene sulfonyl chloride 100-55-0, 3-Pyridylcarbinol 105-13-5, 4-Methoxybenzyl alcohol 121-51-7, 3-Nitrobenzene sulfonyl chloride 496-16-2, 2,3-Dihydrobenzofuran 541-88-8, Chloroacetic anhydride 576-26-1, 2,6-Dimethylphenol 619-45-4, Methyl 4-aminobenzoate 632-46-2, 2,6-Dimethylbenzoic acid 930-68-7, 1,3-Cyclohexenone 2170-03-8, Itaconic anhydride 3377-31-9 4412-91-3, 3-(Hydroxymethyl)-furan 5006-66-6 5326-38-5 10147-36-1, Propylsulfonyl chloride 22118-09-8, Bromoacetyl chloride 25193-95-7, 5-Pyrimidinemethanol 26049-94-5 32980-25-9 39178-35-3 52130-17-3, 3-Amino-2-methylbenzoic acid 60427-77-2 79107-75-8 130165-86-5 132605-93-7 132605-97-1 132696-45-8 136465-99-1 138499-08-8 143224-62-8 143224-86-6 159006-48-1 173336-62-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

IT 93-85-6P 578-39-2P 603-80-5P 1878-49-5P 1975-51-5P 6633-61-0P 13335-71-2P 14527-44-7P 38585-74-9P, 5-Thiazolemethanol 39658-41-8P 50850-93-6P 54781-19-0P 84575-50-8P 111060-52-7P 111060-64-1P 115010-10-1P, 1,3-Benzodioxole-5-sulfonyl chloride 115010-11-2P 127927-43-9P 127943-39-9P 128018-43-9P 128018-44-0P 143225-04-1P 157445-94-8P 157446-10-1P 157566-75-1P 157566-91-1P 157567-13-0P 159005-71-7P 159005-90-0P 159005-96-6P 159005-97-7P 159006-04-9P 159006-05-0P 159006-06-1P 159006-09-4P 159006-11-8P 159006-12-9P 159006-13-0P 159006-14-1P 159006-15-2P 159006-16-3P 159006-17-4P 159006-18-5P 159006-19-6P 159006-20-9P 169280-56-2P 169280-63-1P 169280-67-5P 169280-71-1P 169280-81-3P 169280-82-4P 169280-83-5P 169280-84-6P 169280-86-8P 169280-87-9P 169280-89-1P 169280-90-4P 169280-91-5P 169280-92-6P 181124-54-9P 216879-45-7P 247047-55-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

RE.CNT 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

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(2) Anon; EP 172347 1980 HCAPLUS
(3) Anon; EP 223437 1980 HCAPLUS
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(5) Anon; GB 2184730 1987 HCAPLUS
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(45) Tung; US 5585397 1996 HCAPLUS

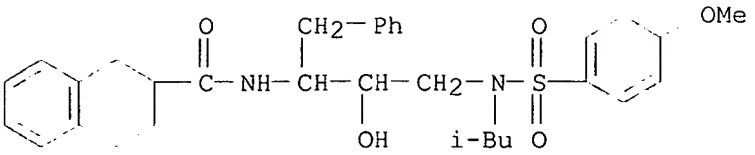
IT **159006-27-6P 169280-44-8P 216871-08-8P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

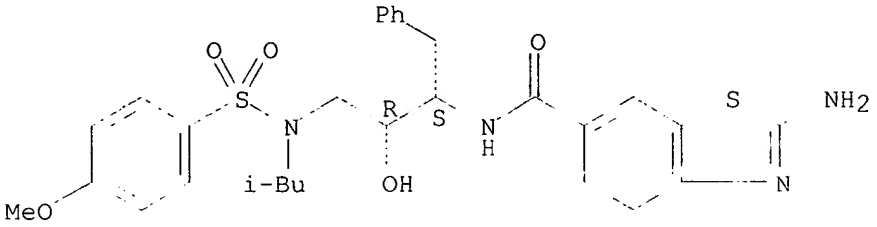
RN 159006-27-6 HCAPLUS

CN 2-Naphthalenecarboxamide, 1,2,3,4-tetrahydro-N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-(9CI) (CA INDEX NAME)

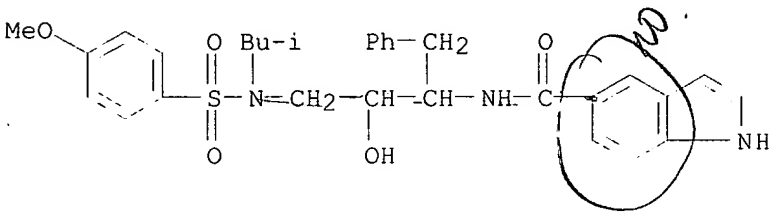


RN 169280-44-8 HCAPLUS
CN 6-Benzothiazolecarboxamide, 2-amino-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 216871-08-8 HCAPLUS
CN 1H-Indole-5-carboxamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)



L43 ANSWER 3 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN
AN 1999:811207 HCAPLUS
DN 132:49801
TI Preparation of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compounds as inhibitors of HIV aspartyl protease.
IN Sherrill, Ronald George; Hale, Michael R.; Spaltenstein, Andrew; Furfine, Eric Steven; Andrews, Clarence Webster, III; Lowen, Gregory Thomas
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 344 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07C303-00
CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1, 27, 28, 34
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9965870	A2	19991223	WO 1999-US13744	19990617 <--
	WO 9965870	A3	20010315		

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TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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US 2002049201	A1	20020425	US 2000-731129	20001206	<--
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NO 2000006405	A	20010219	NO 2000-6405	20001215	<--
PRAI US 1998-90094P	P	19980619	<--		
WO 1999-US13744	W	19990617			

OS MARPAT 132:49801

AB ABxN(Gx)CHDCHOR7CH2ND'SO2E [A = H, (substituted) Ht, R1Ht, R1Ak; Ak = alkyl; Ht = cycloalkyl, cycloalkenyl, (substituted) aryl, heterocyclyl; R1 = CO, SO2, COCO, O2C, NR2CO, NR2SO2, etc.; B = null, NR2C(R3)2CO; x = 0, 1; R2 = H, (substituted) Ht, alkyl; R3 = H, (substituted) Ht, alkyl, alkenyl, cycloalkyl, cycloalkenyl; G = null, H, R7, alkyl; G may be bound to R7; D = (substituted) Q, alkyl, alkenyl; Q = (substituted) carbocyclyl, heterocyclyl; D' = OR10, N:R10, N(R10)R1R3; E = Ht, OHt, OR3, NR2R3, (substituted) alkyl, alkenyl, etc.; R7 = H, (CH2O)xY(ZM)(:X)Z(M)x, etc.; M = null, H, Li, Na, K, Mg, Ca, Ba, alkyl, alkenyl, etc.; X = O, S; Y = P, S; Z = O, S, N(R2)2, H], were prepd. as inhibitors of HIV aspartyl protease (no data). Thus, 3-H2NC6H4SO2NHOCHMe2 (prepn. given), tert-Bu N-(1S)-1-[(2S)-oxiran-2-yl]-2-phenylethylcarbamate, and phosphazene base P4 tert-Bu were stirred in 8 h in THF to give 95% tert-Bu N-(1S,2R)-3-[[(3-aminophenyl)sulfonyl](isopropoxy)amino]-1-benzyl-2-hydroxypropylcarbamate.

ST acylaminoarylsulfonylalkoxyaminohydroxypropane prepn HIV aspartyl protease inhibitor; virucide acylaminoarylsulfonylalkoxyaminohydroxypropane prepn; AIDS treatment acylaminoarylsulfonylalkoxyaminohydroxypropane

IT Anti-AIDS agents
Antiviral agents
(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease)

IT Sulfonamides
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease)

IT 144114-21-6, Retropepsin
RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)
(HIV aspartyl protease inhibitors; prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease)

IT 252870-67-0P 252870-78-3P 252871-07-1P **252871-23-1P** **252871-26-4P** **252872-06-3P** 252872-08-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease)

IT 252870-70-5P 252870-72-7P 252870-73-8P 252870-75-0P 252870-77-2P

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252870-90-9P 252870-92-1P 252870-94-3P 252870-96-5P 252870-98-7P
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease)

IT 75-26-3, 2-Bromopropane 75-36-5, Acetyl chloride 78-76-2, 2-Bromobutane 78-84-2, Isobutyraldehyde 79-22-1, Methyl chloroformate 79-44-7, Dimethylcarbamyl chloride 91-16-7, 1,2-Dimethoxybenzene 96-32-2, Methyl bromoacetate 98-09-9, Benzenesulfonyl chloride 98-68-0, 4-Methoxybenzenesulfonyl chloride 98-74-8, 4-Nitrobenzenesulfonyl chloride 100-55-0, 3-Hydroxymethylpyridine 100-72-1, 2-Hydroxymethyltetrahydropyran 108-23-6, Isopropyl chloroformate 108-85-0, Cyclohexyl bromide 108-93-0, Cyclohexanol, reactions 120-92-3, Cyclopentanone 121-51-7, 3-Nitrobenzenesulfonyl chloride 122-51-0, Triethyl orthoformate 124-63-0, Methanesulfonyl

chloride 136-95-8, 2-Aminobenzothiazole 137-43-9, Cyclopentyl bromide 453-20-3, Tetrahydrofuran-3-ol 501-53-1, Benzyl chloroformate 590-17-0, Bromoacetonitrile 591-19-5, 3-Bromoaniline 592-51-8, 4-Pentenenitrile 598-21-0, Bromoacetyl bromide 603-80-5, 3-Hydroxy-2-methylbenzoic acid 622-40-2, 4-(2-Hydroxyethyl)morpholine 624-83-9, Methyl isocyanate 625-36-5, 3-Chloropropionyl chloride 683-57-8, Bromoacetamide 933-88-0, o-Toluoyl chloride 934-32-7, 2-Aminobenzimidazole 2081-44-9, Tetrahydropyran-4-ol 2550-36-9, Cyclohexylmethyl bromide 2687-43-6, O-Benzylhydroxylamine hydrochloride 2949-22-6, Ethyl isocyanatoacetate 5042-33-1 5292-43-3, tert-Butyl bromoacetate 5468-77-9, N,N-Dimethylbromoacetamide 6084-58-8, Isobutoxyamine hydrochloride 6092-80-4, O-Phenylhydroxylamine hydrochloride 6793-92-6, 4-Benzyloxybromobenzene 15159-40-7, 4-Morpholinecarbonyl chloride 32315-10-9, Triphosgene 37517-81-0, Methyl malonyl chloride 38806-26-7, N-Ethyl-N-methylacetamide 39614-62-5, 3,4,5-Trimethoxybenzenesulfonyl chloride 39684-28-1, O-tert-Butylhydroxylamine hydrochloride 40299-87-4, N-(Bromoacetyl)morpholine 51951-27-0 53087-13-1, 3-Benzyloxybromobenzene 53439-87-5 56542-67-7 63758-12-3 70938-45-3, 1H-Benzotriazole-5-sulfonyl chloride 76029-50-0 79213-74-4 86864-60-0, 2-Bromoethoxy(tert-butyldimethyl)silane 98737-29-2 115010-10-1, 1,3-Benzodioxole-5-sulfonyl chloride 118776-53-7, N-Methoxybromoacetamide 128018-44-0 138499-08-8 142232-06-2 143224-95-7 161852-65-9 184155-38-2 192725-55-6 252873-33-9 252873-34-0 252873-35-1 252873-37-3 252873-38-4 252873-39-5 252873-40-8 252873-41-9 252873-45-3 **252873-47-5** 252873-48-6 252873-49-7 252873-50-0 252873-51-1 252873-52-2 252873-56-6 252873-77-1 **252879-55-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease)

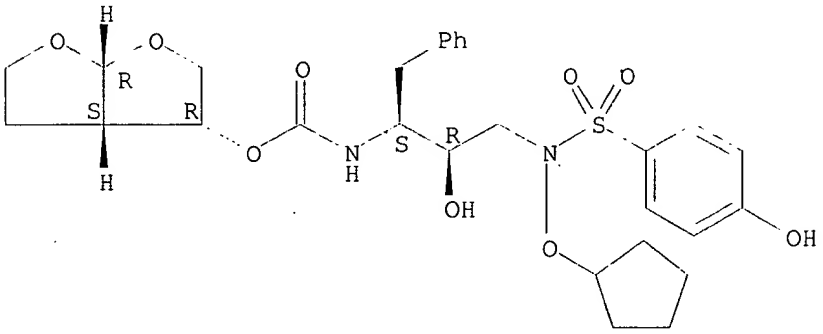
IT 3515-93-3P 21431-21-0P 23095-31-0P 25216-74-4P 51229-88-0P 51951-29-2P 54224-24-7P 57598-34-2P 69746-62-9P 84202-56-2P 87001-32-9P 113211-23-7P 132291-96-4P 134833-83-3P 162711-45-7P 169956-61-0P 169956-75-6P 169956-80-3P 252872-59-6P 252872-60-9P 252872-61-0P 252872-62-1P 252872-63-2P 252872-64-3P 252872-66-5P 252872-67-6P 252872-68-7P 252872-69-8P 252872-70-1P 252872-71-2P 252872-72-3P 252872-74-5P 252872-75-6P 252872-76-7P 252872-77-8P 252872-78-9P 252872-79-0P 252872-80-3P 252872-81-4P 252872-82-5P **252872-84-7P** 252872-85-8P 252872-86-9P 252872-87-0P 252872-88-1P 252872-89-2P 252872-90-5P 252872-91-6P 252872-92-7P 252872-93-8P 252872-94-9P 252872-95-0P **252872-96-1P** 252872-97-2P 252872-98-3P 252872-99-4P 252873-00-0P 252873-01-1P 252873-02-2P 252873-03-3P 252873-04-4P 252873-05-5P 252873-07-7P 252873-08-8P **252873-09-9P 252873-10-2P** **252873-11-3P 252873-12-4P** 252873-13-5P 252873-14-6P **252873-15-7P 252873-16-8P 252873-17-9P** 252873-19-1P 252873-20-4P 252873-22-6P 252873-23-7P 252873-24-8P **252873-25-9P 252873-26-0P** 252873-27-1P 252873-28-2P 252873-29-3P **252873-30-6P 252873-31-7P** **252873-32-8P 252873-42-0P** 252873-46-4P 252873-55-5P 252873-78-2P **252879-54-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease)

IT **252871-23-1P 252871-26-4P 252872-06-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease)

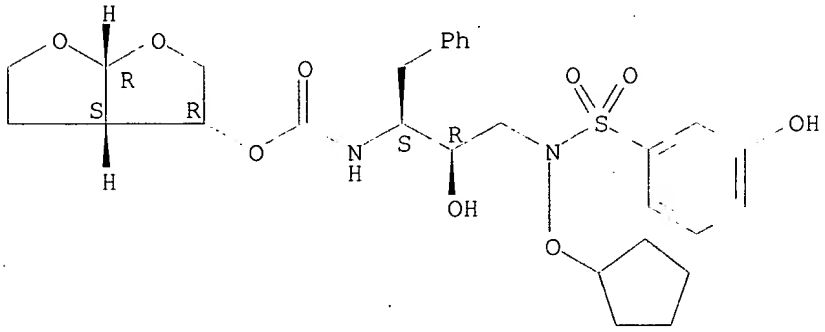
RN 252871-23-1 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[(4-hydroxyphenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



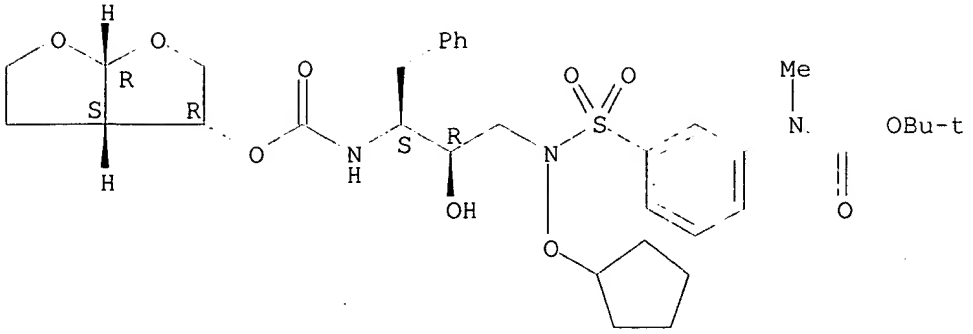
RN 252871-26-4 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[(3-hydroxyphenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 252872-06-3 HCAPLUS
CN Carbamic acid, [3-[[(cyclopentyloxy)[(2R,3S)-3-[[[[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl]amino]sulfonyl]phenyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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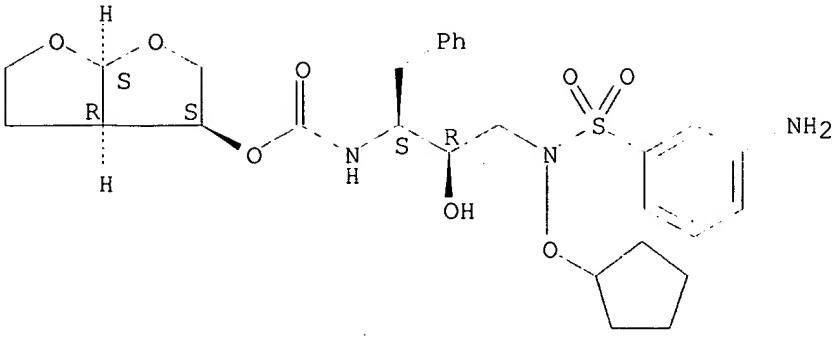
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease)

RN 252871-16-2 HCAPLUS

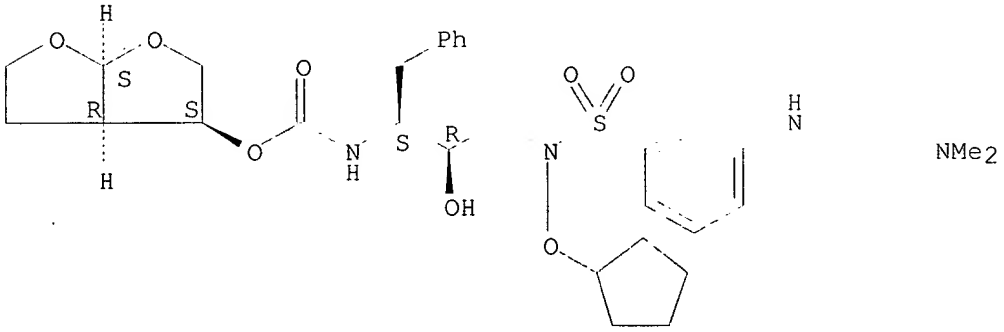
CN Carbamic acid, [(1S,2R)-3-[[(3-aminophenyl)sulfonyl](cyclopentyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S,3aR,6aS)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



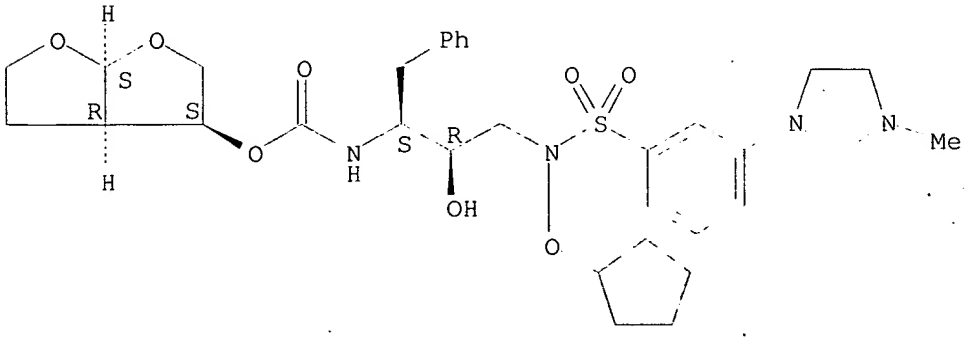
RN 252871-17-3 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-[[2-(dimethylamino)ethyl]amino]phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S,3aR,6aS)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



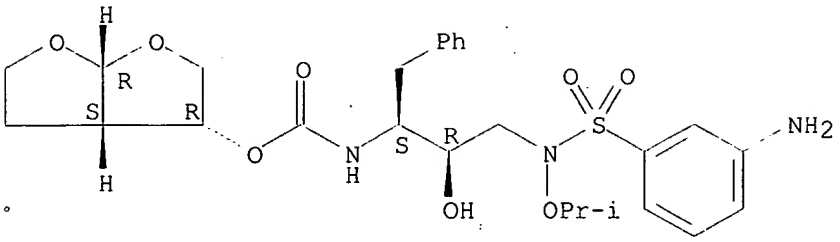
RN 252871-18-4 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-(3-methyl-1-imidazolidinyl)phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S,3aR,6aS)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



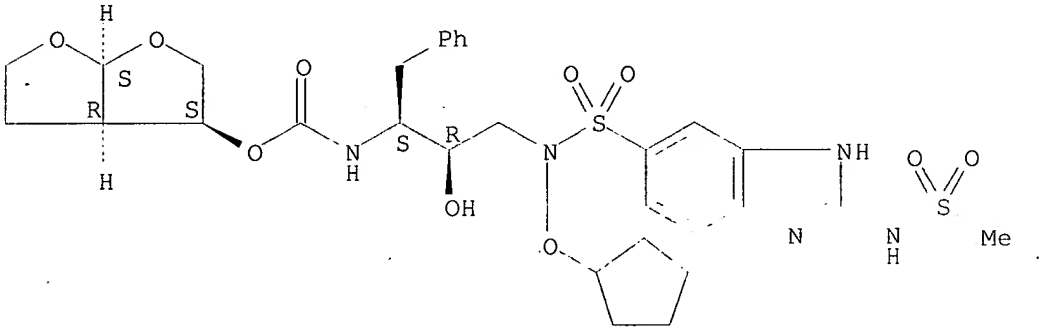
RN 252871-20-8 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[[(3-aminophenyl)sulfonyl](1-methylethoxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



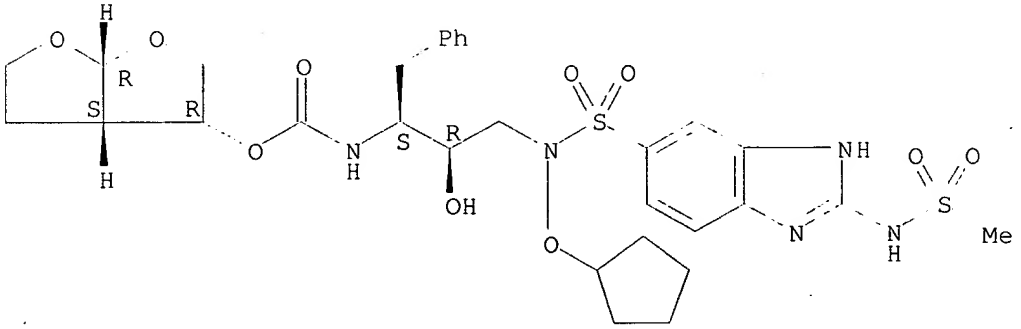
RN 252871-21-9 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[2-[(methylsulfonyl)amino]-1H-benzimidazol-5-yl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S,3aR,6aS)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



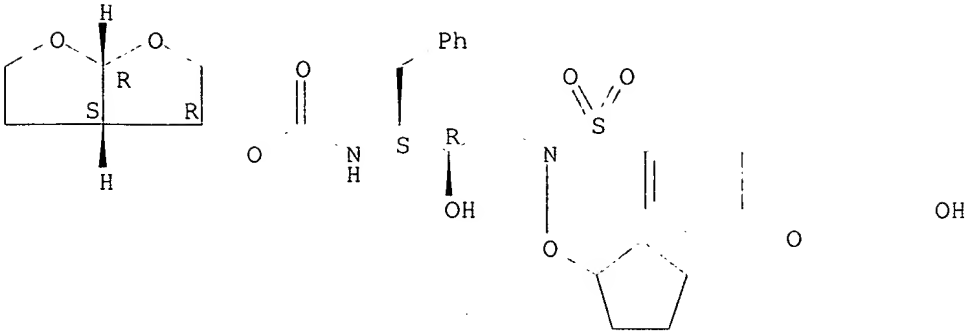
RN 252871-22-0 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[2-[(methylsulfonyl)amino]-1H-benzimidazol-5-yl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



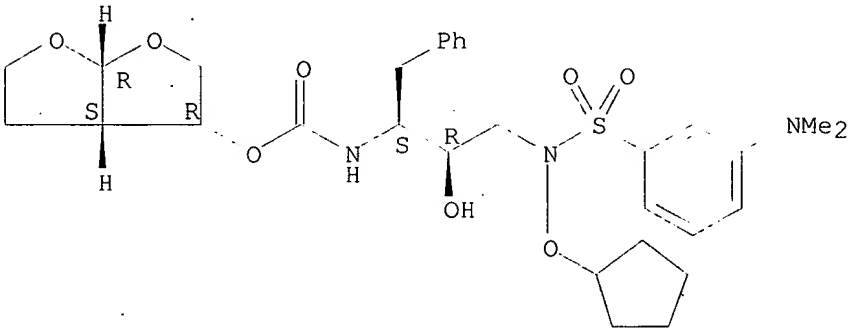
RN 252871-24-2 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[4-(2-hydroxyethoxy)phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



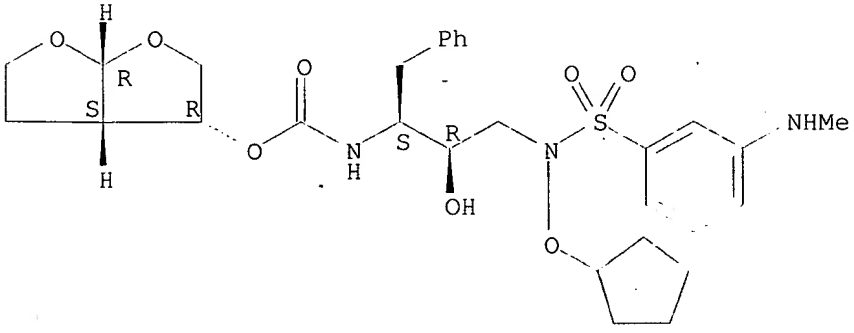
RN 252871-25-3 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-(dimethylamino)phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



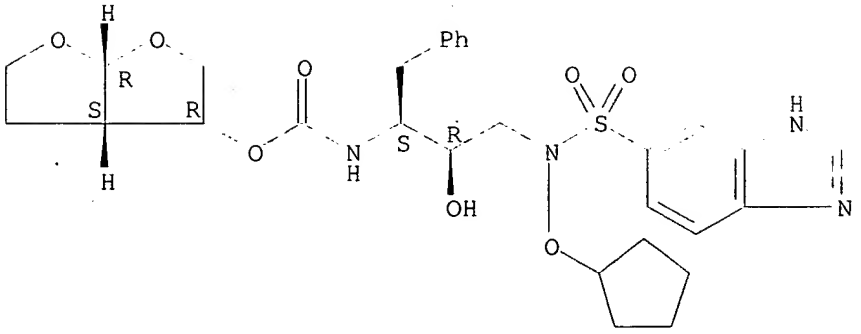
RN 252871-27-5 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-(methylamino)phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



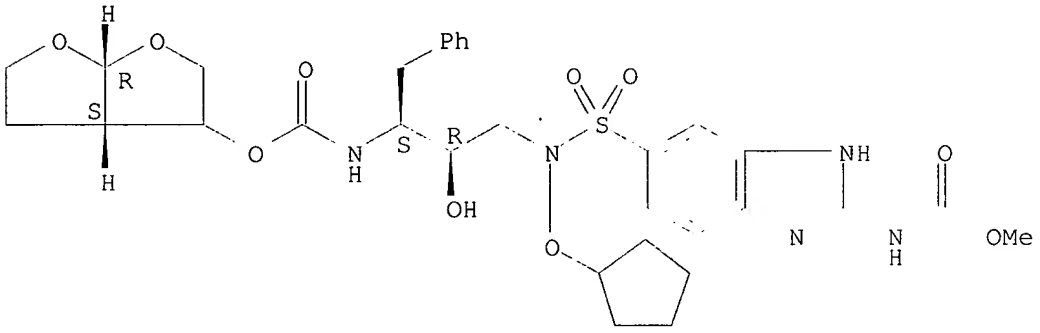
RN 252871-29-7 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(1H-benzimidazol-5-ylsulfonyl)(cyclopentyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



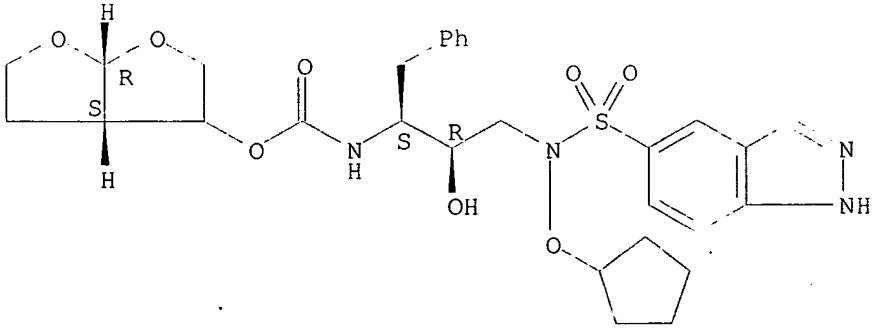
RN 252871-38-8 HCAPLUS
CN Carbamic acid, [5-[[(cyclopentyloxy)[(2R,3S)-3-[[[[(3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl]amino]sulfonyl]-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



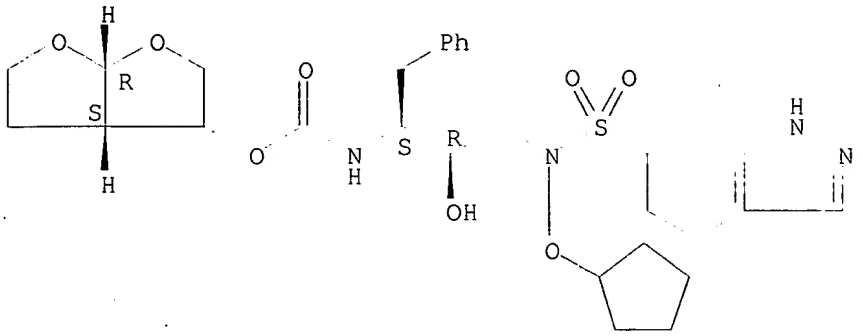
RN 252871-41-3 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)(1H-indazol-5-ylsulfonyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



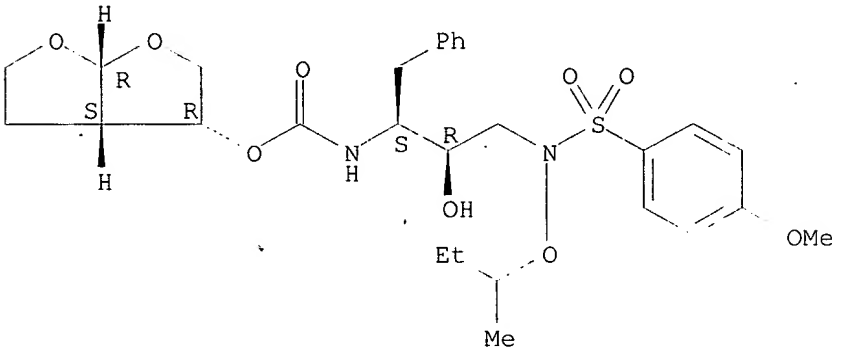
RN 252871-43-5 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)(1H-indazol-6-ylsulfonyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



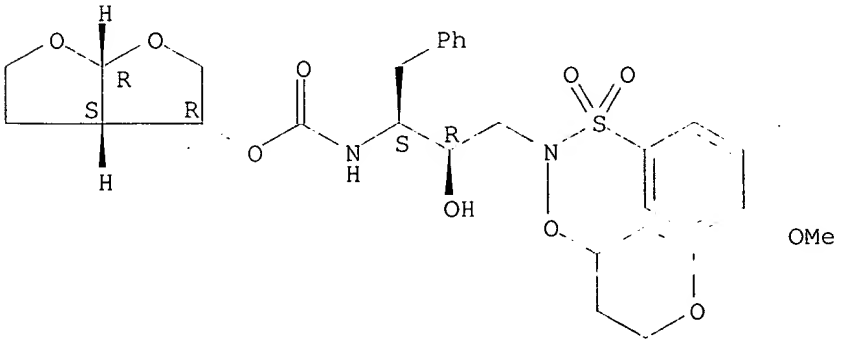
RN 252871-54-8 HCAPLUS
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](1-methylpropoxy)amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



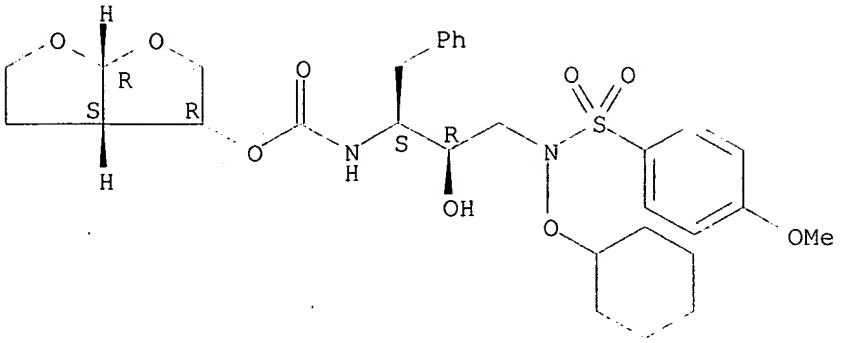
RN 252871-60-6 HCAPLUS
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl][(tetrahydro-2H-pyran-4-yl)oxy]amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



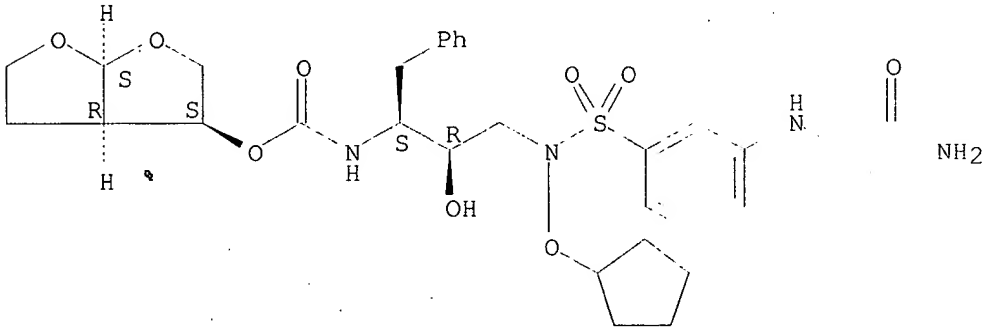
RN 252871-64-0 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclohexyloxy)[(4-methoxyphenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



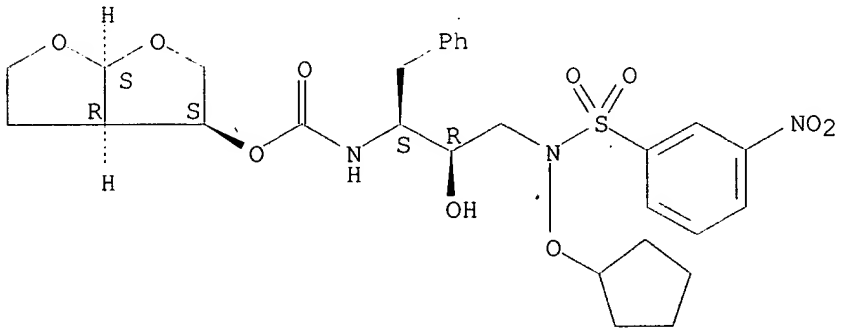
RN 252871-68-4 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[3-[(2-amino-2-oxoethyl)amino]phenyl]sulfonyl](cyclopentyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S,3aR,6aS)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



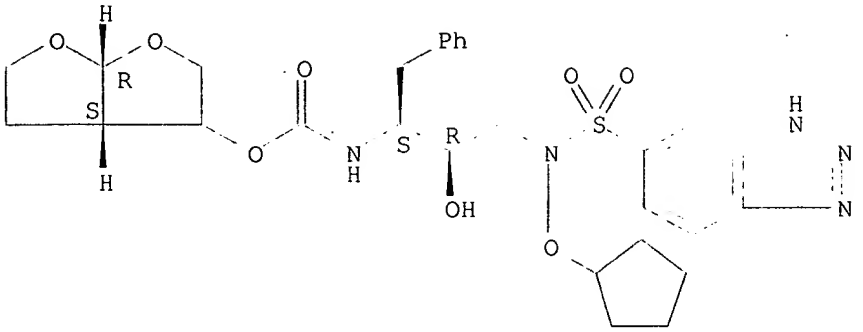
RN 252871-70-8 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[(3-nitrophenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S,3aR,6aS)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



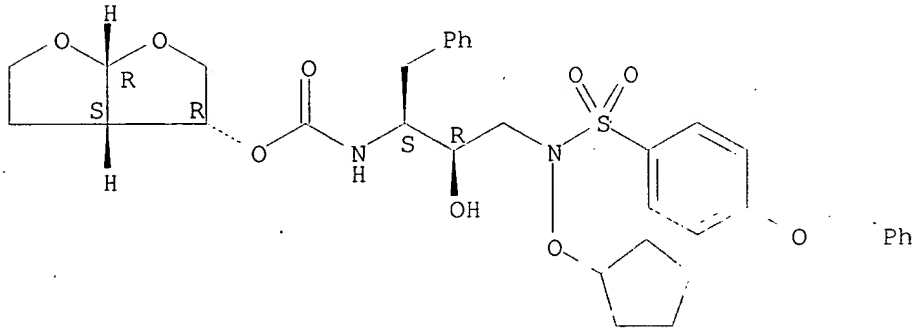
RN 252871-72-0 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(1H-benzotriazol-5-ylsulfonyl)(cyclopentyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



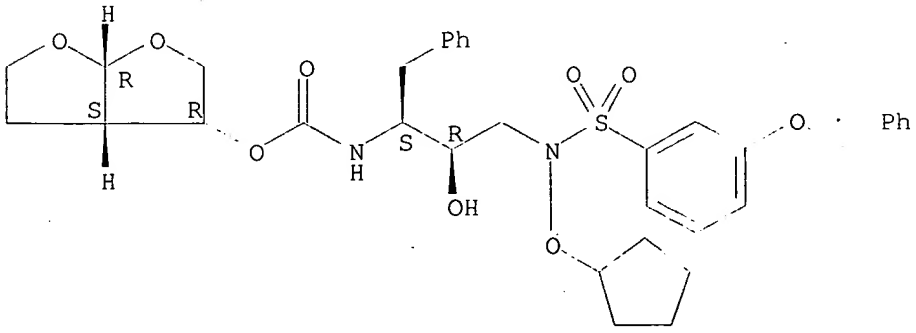
RN 252871-76-4 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[4-(phenylmethoxy)phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



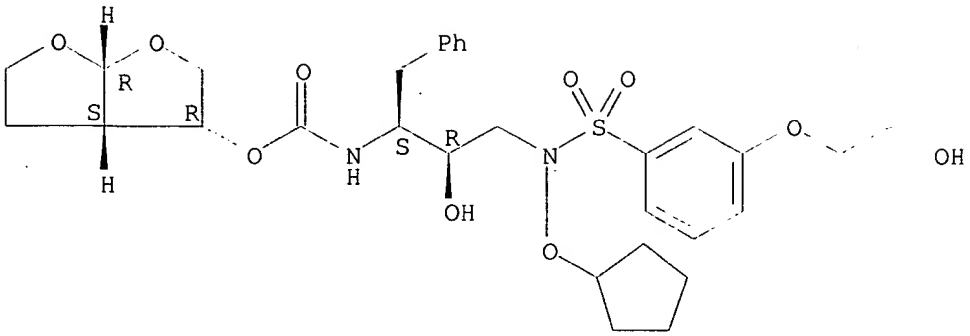
RN 252871-77-5 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-(phenylmethoxy)phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 252871-78-6 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-(2-hydroxyethoxy)phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 252871-79-7 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[4-[2-(4-morpholinyl)ethoxy]phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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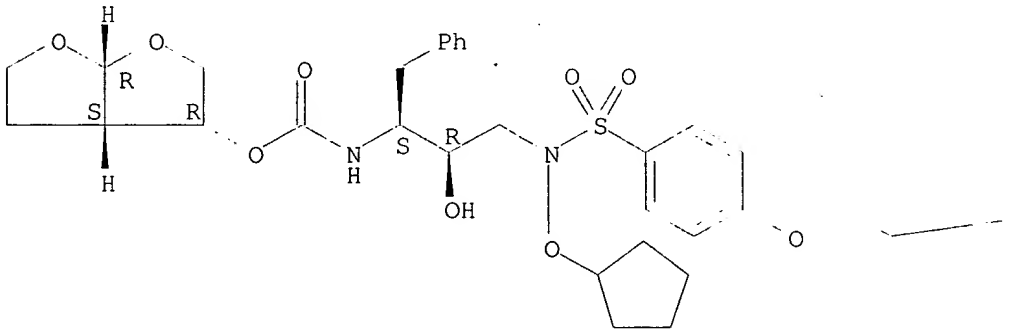

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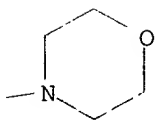
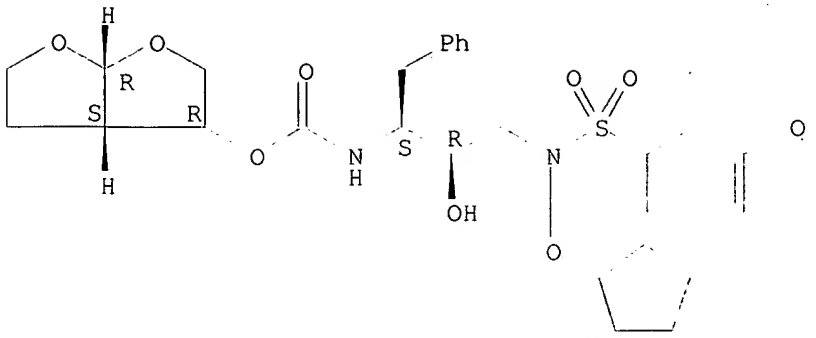


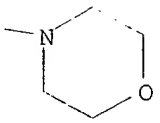
RN 252871-80-0 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-[2-(4-morpholinyl)ethoxy]phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

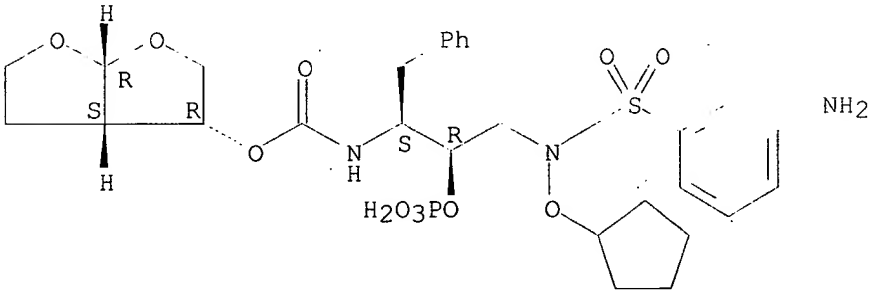


PAGE 1-B



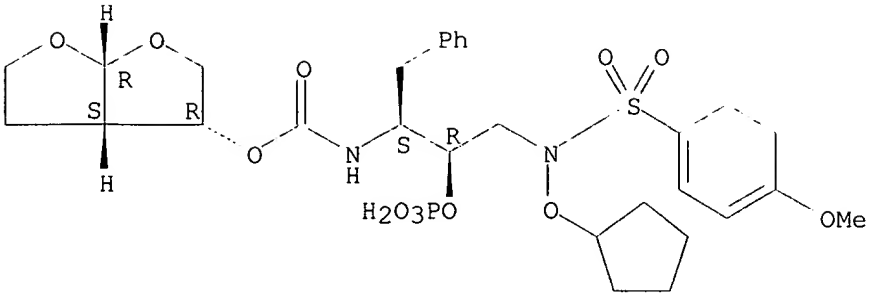
RN 252871-81-1 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[[(3-aminophenyl)sulfonyl](cyclopentyloxy)amino]-1-(phenylmethyl)-2-(phosphonooxy)propyl]-, C-[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



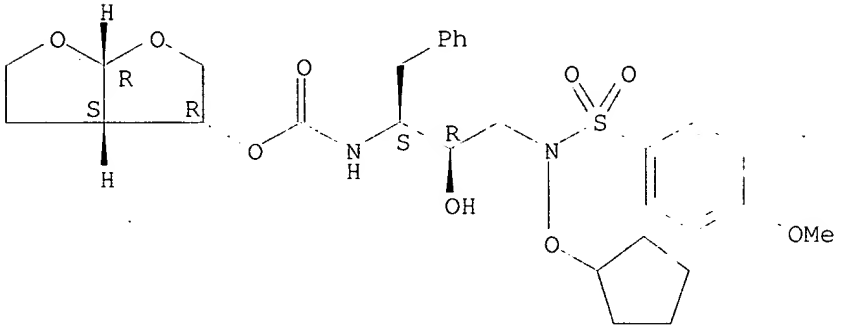
RN 252871-83-3 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[(4-methoxyphenyl)sulfonyl]amino]-1-(phenylmethyl)-2-(phosphonooxy)propyl]-, C-[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



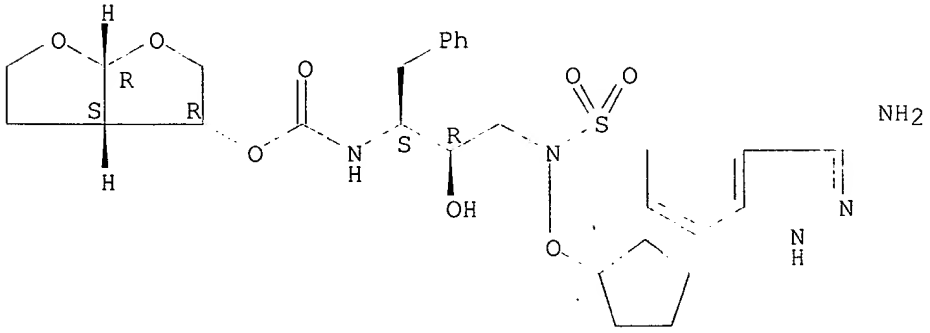
RN 252871-84-4 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[(4-methoxyphenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



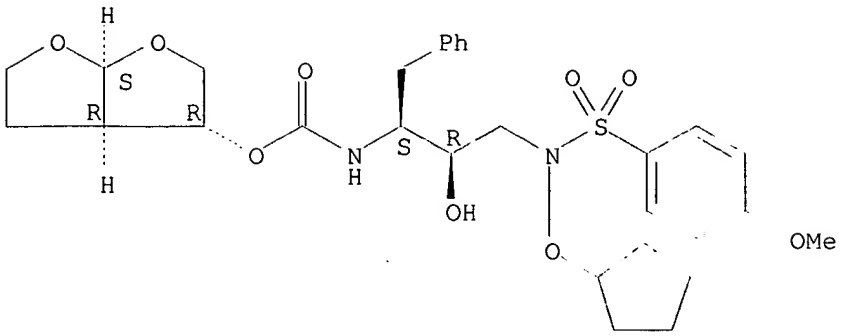
RN 252871-89-9 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[[(3-amino-1H-indazol-5-yl)sulfonyl](cyclopentyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



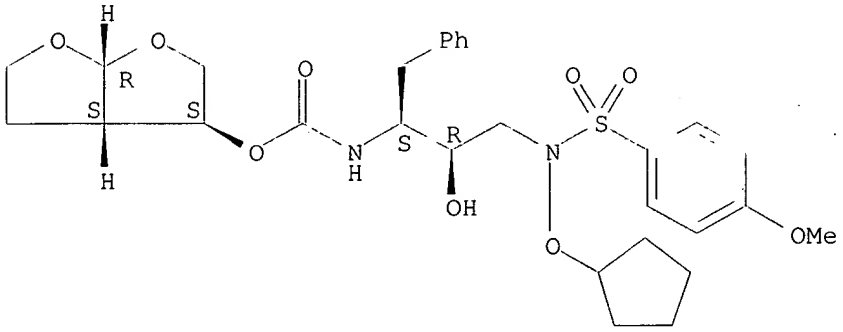
RN 252871-97-9 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[(4-methoxyphenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aR,6aS)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



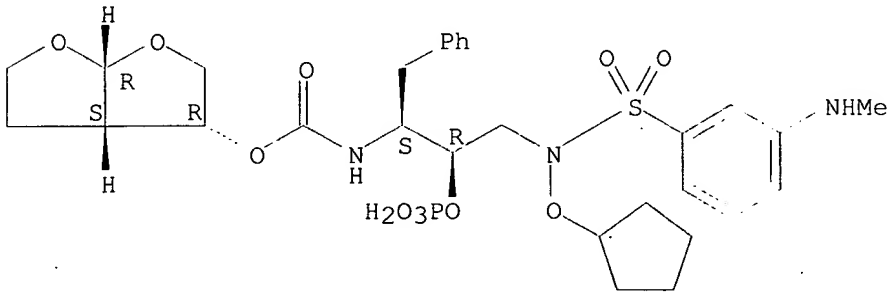
RN 252871-98-0 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[(4-methoxyphenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



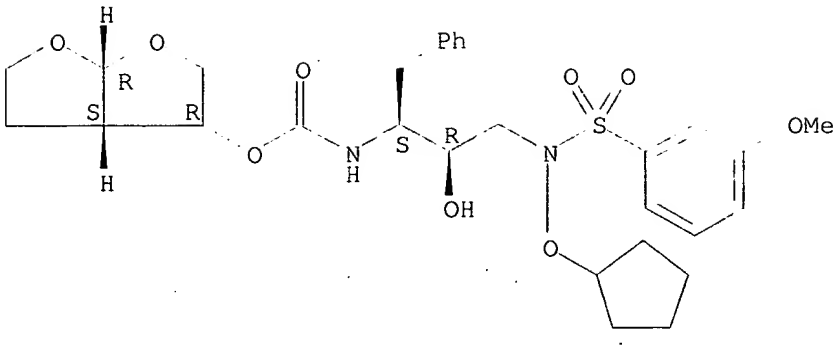
RN 252871-99-1 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-(methylamino)phenyl]sulfonyl]amino]-1-(phenylmethyl)-2-(phosphonooxy)propyl]-, C-[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



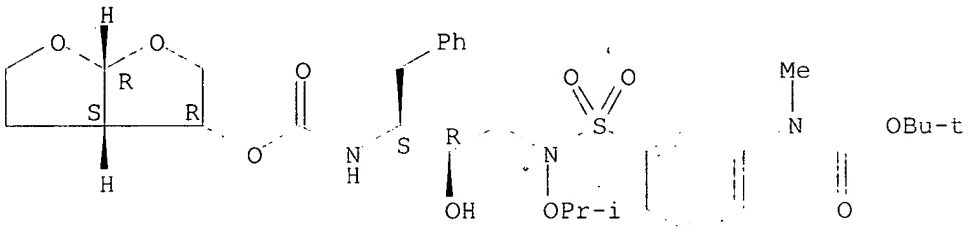
RN 252872-02-9 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[(3-methoxyphenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



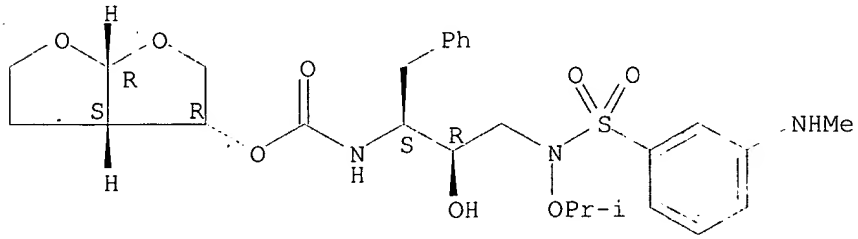
RN 252872-04-1 HCAPLUS
CN Carbamic acid, [3-[[[(2R,3S)-3-[[[[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl](1-methylethoxy)amino]sulfonyl]phenyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 252872-05-2 HCAPLUS
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[3-(methylamino)phenyl]sulfonyl](1-methylethoxy)amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

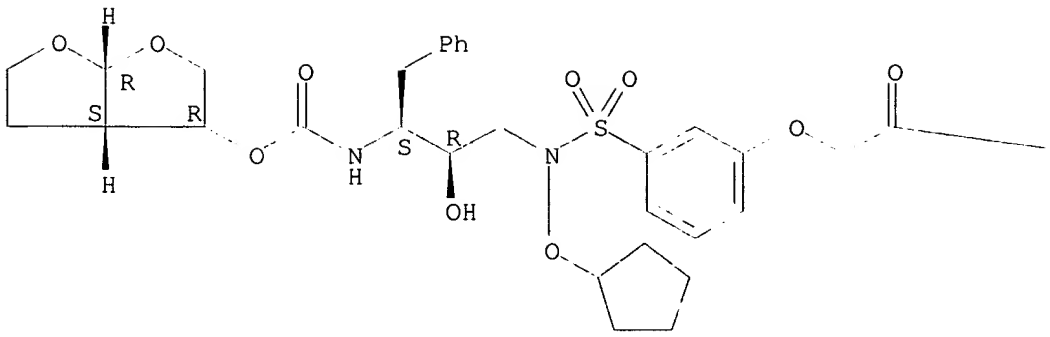
Absolute stereochemistry.



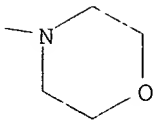
RN 252872-12-1 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-[2-(4-morpholinyl)-2-oxoethoxy]phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

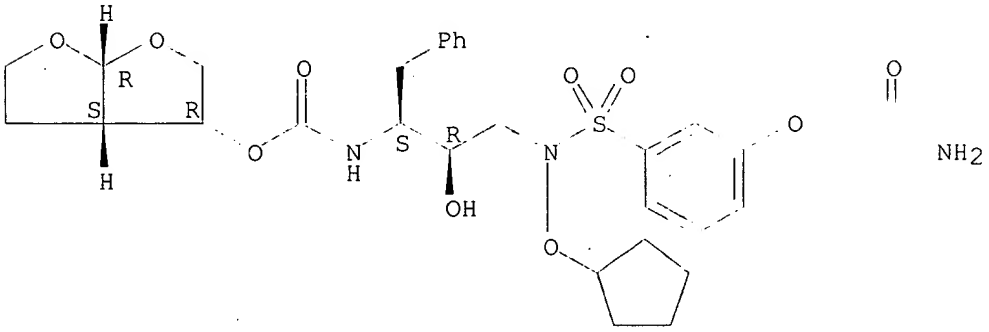


PAGE 1-B



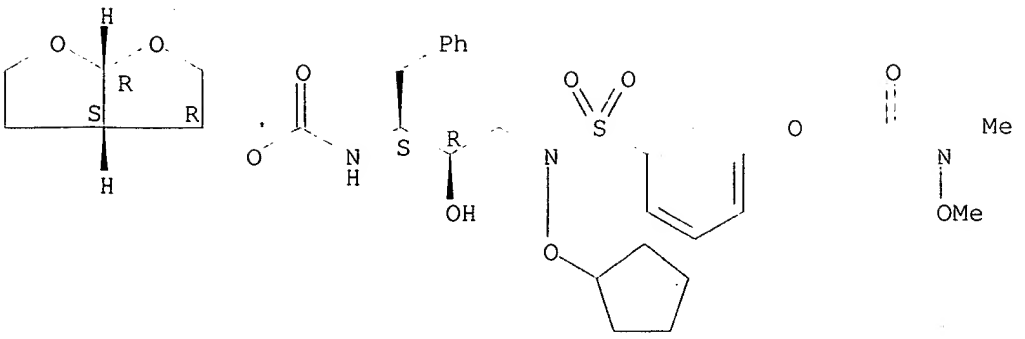
RN 252872-13-2 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[3-(2-amino-2-oxoethoxy)phenyl]sulfonyl](cyclopentyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



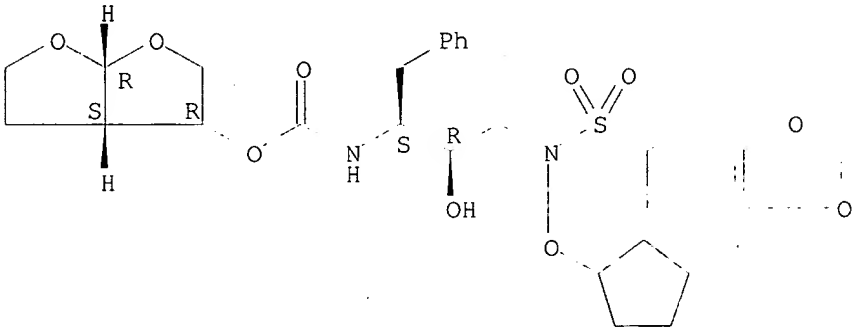
RN 252872-14-3 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-[2-(methoxymethylamino)-2-oxoethoxy]phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



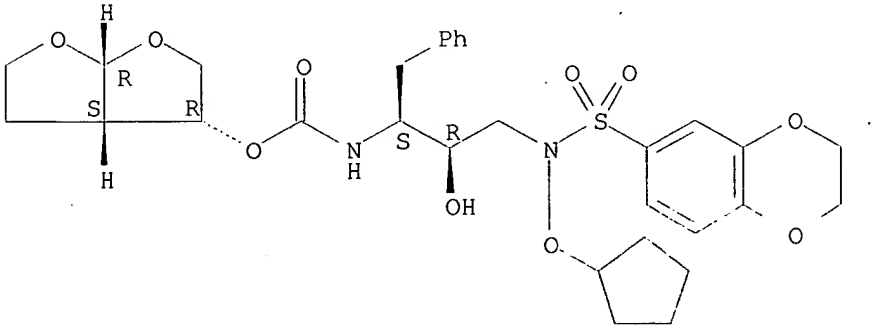
RN 252872-15-4 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(cyclopentyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



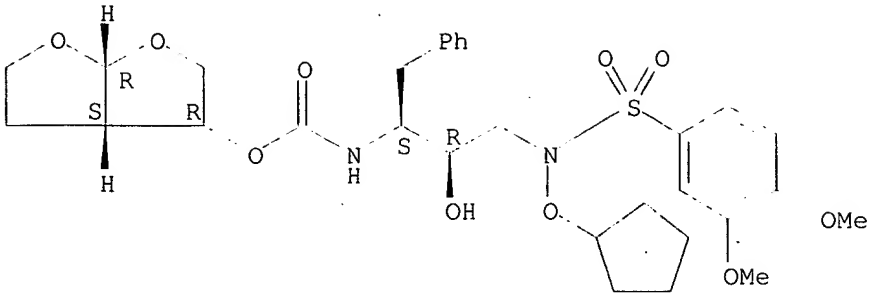
RN 252872-16-5 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



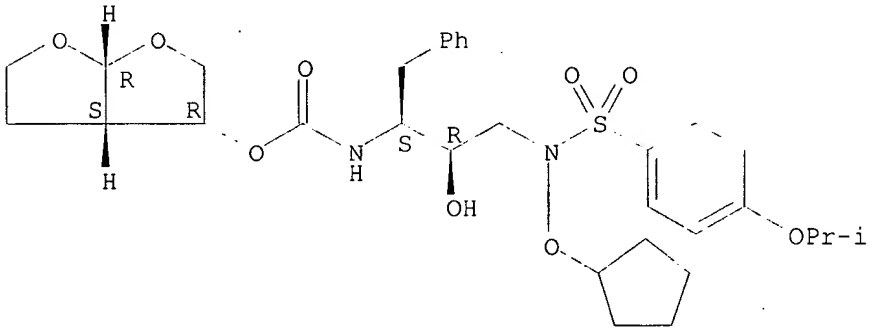
RN 252872-17-6 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[(3,4-dimethoxyphenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



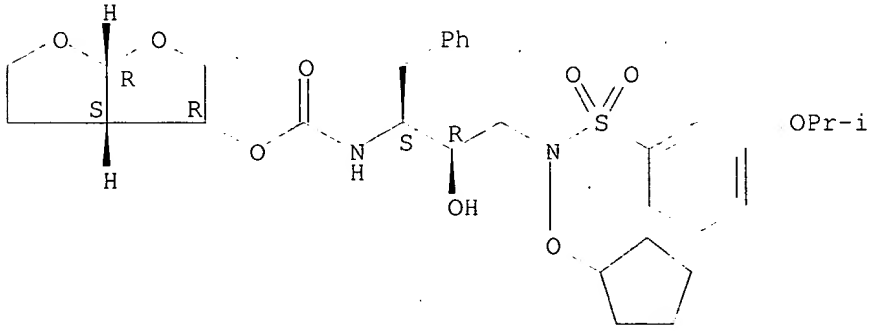
RN 252872-18-7 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[4-(1-methylethoxy)phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



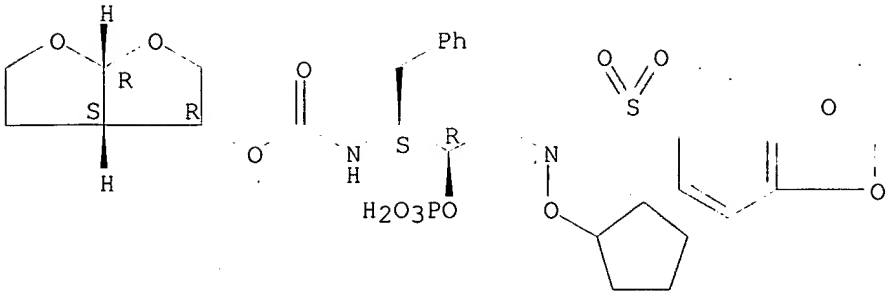
RN 252872-19-8 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-(1-methylethoxy)phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



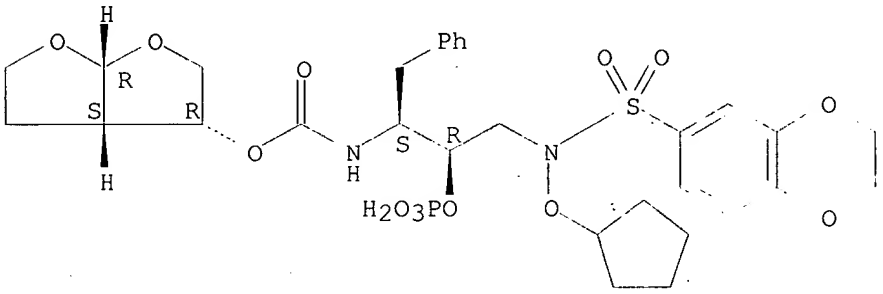
RN 252872-20-1 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(cyclopentyloxy)amino]-1-(phenylmethyl)-2-(phosphonooxy)propyl]-, C-[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



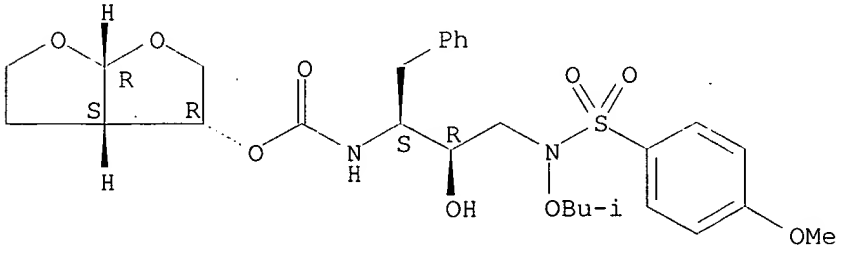
RN 252872-21-2 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl]amino]-1-(phenylmethyl)-2-(phosphonooxy)propyl]-, C-[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



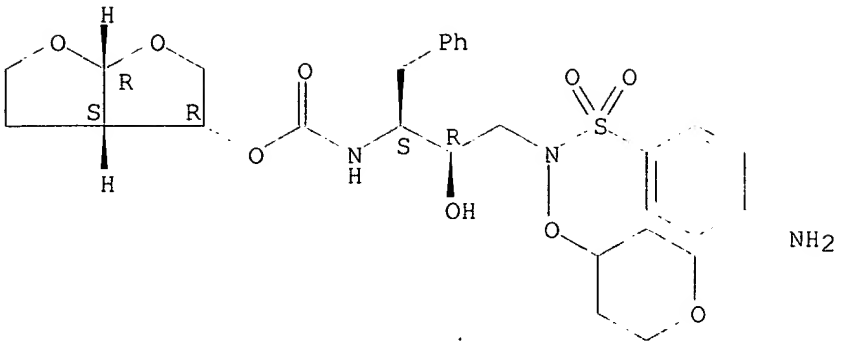
RN 252872-22-3 HCAPLUS
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropoxy)amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



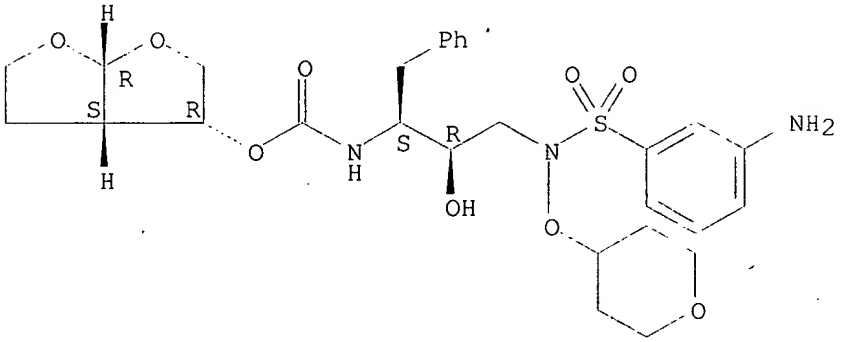
RN 252872-23-4 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[[(4-aminophenyl)sulfonyl][(tetrahydro-2H-pyran-4-yl)oxy]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



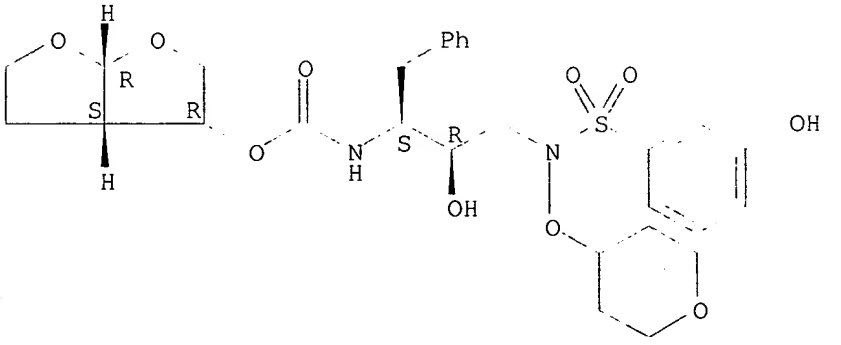
RN 252872-25-6 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[[(3-aminophenyl)sulfonyl][(tetrahydro-2H-pyran-4-yl)oxy]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



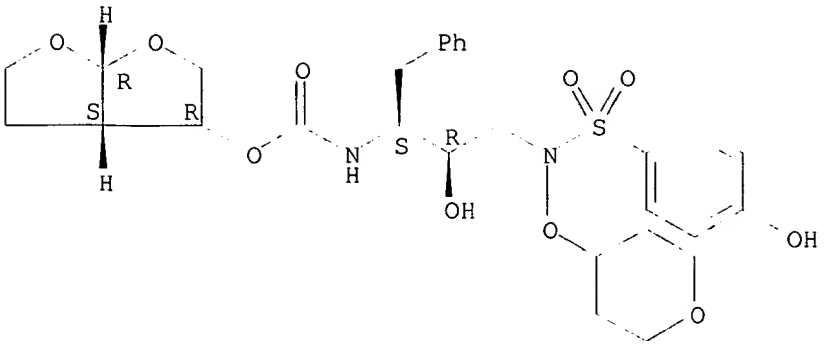
RN 252872-26-7 HCAPLUS
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[(3-hydroxyphenyl)sulfonyl][(tetrahydro-2H-pyran-4-yl)oxy]amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



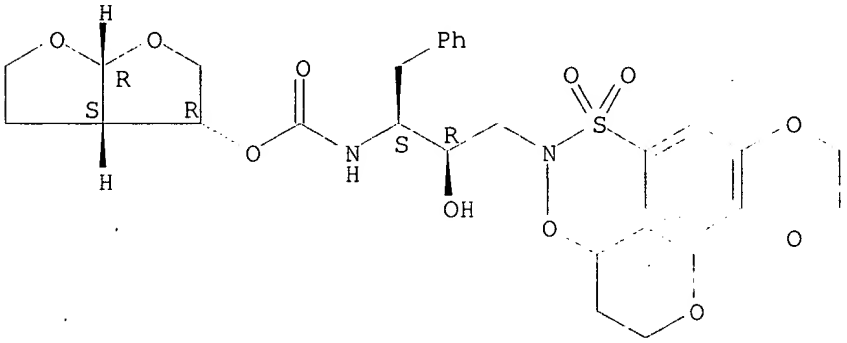
RN 252872-27-8 HCAPLUS
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[(4-hydroxyphenyl)sulfonyl][(tetrahydro-2H-pyran-4-yl)oxy]amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



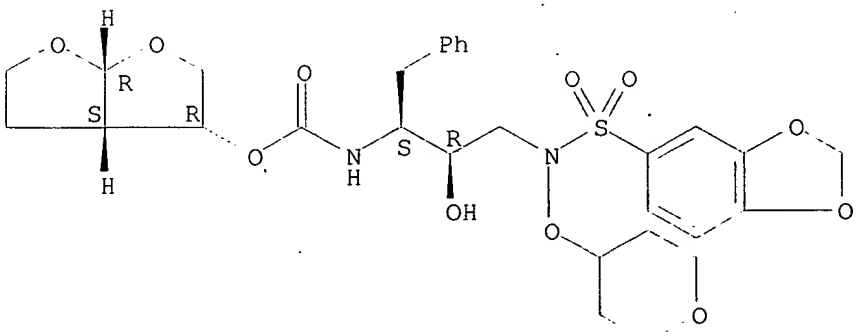
RN 252872-28-9 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl][(tetrahydro-2H-pyran-4-yl)oxy]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



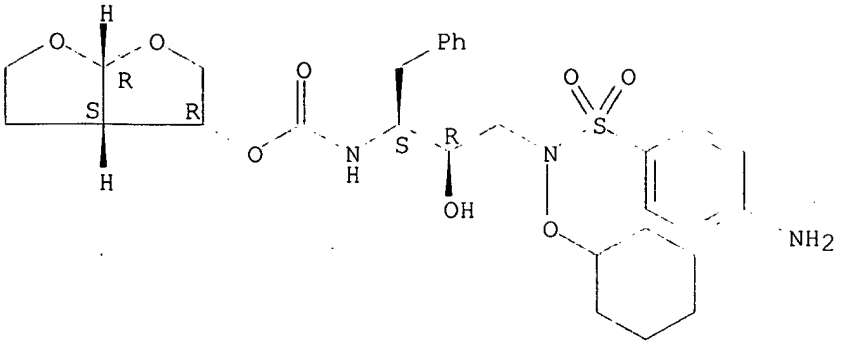
RN 252872-29-0 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)[(tetrahydro-2H-pyran-4-yl)oxy]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



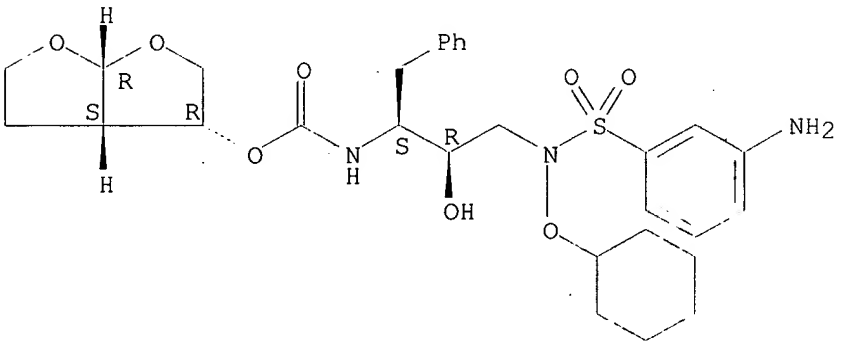
RN 252872-30-3 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[[(4-aminophenyl)sulfonyl](cyclohexyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



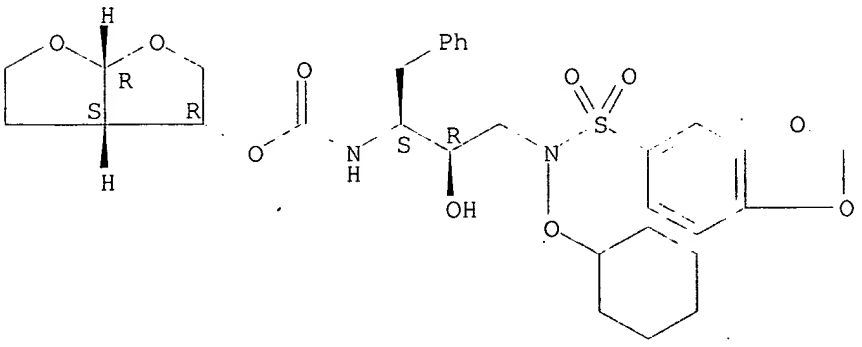
RN 252872-31-4 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[[(3-aminophenyl)sulfonyl](cyclohexyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



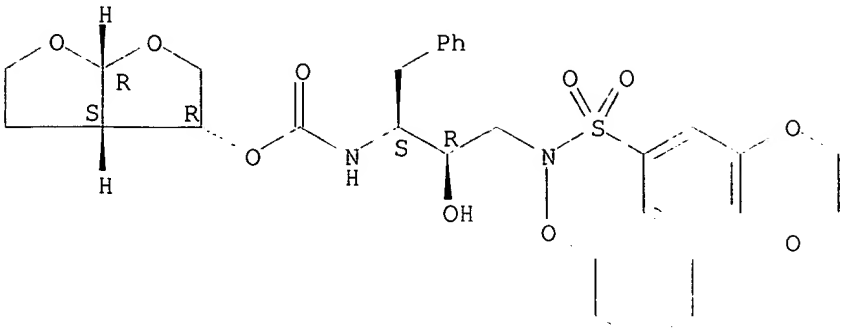
RN 252872-32-5 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(cyclohexyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



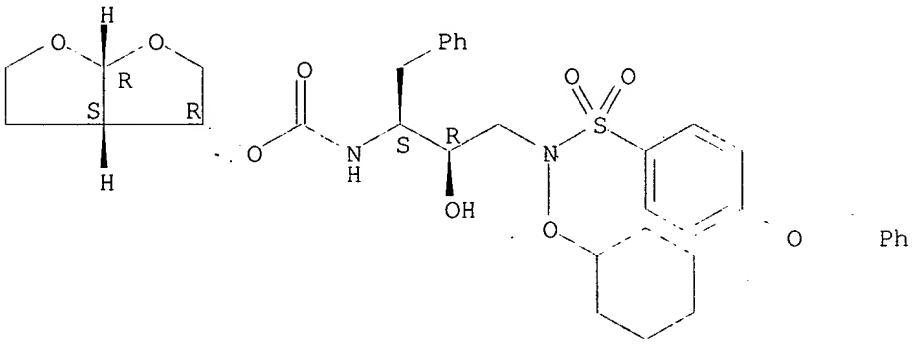
RN 252872-33-6 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclohexyloxy)[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



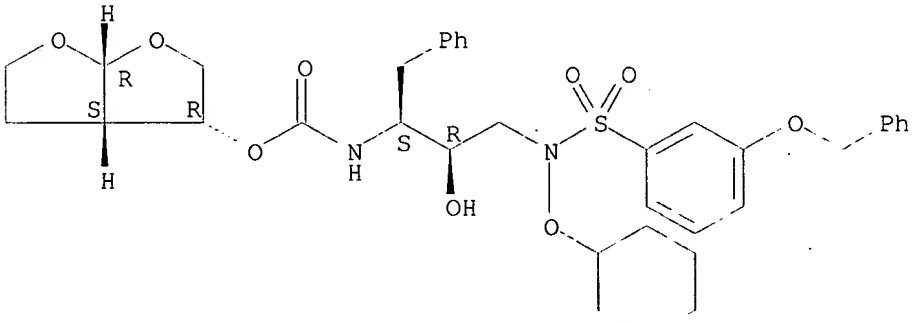
RN 252872-34-7 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclohexyloxy)[[4-(phenylmethoxy)phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



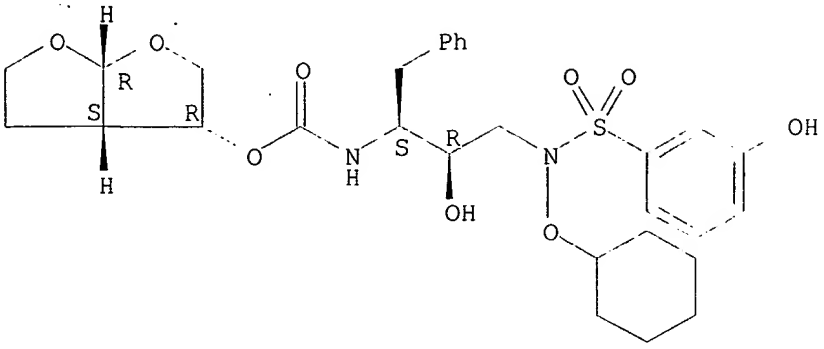
RN 252872-35-8 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclohexyloxy)[[3-(phenylmethoxy)phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



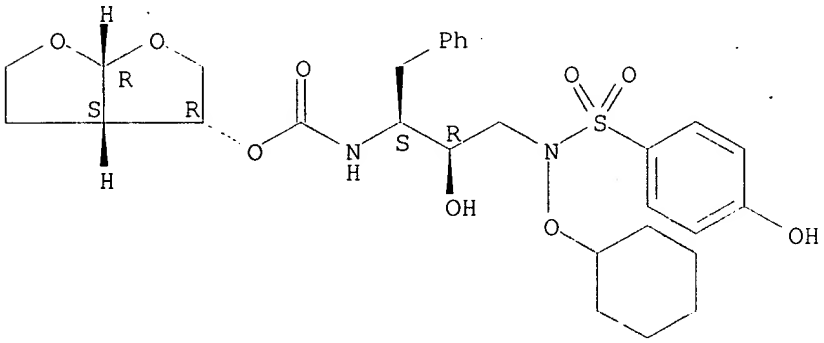
RN 252872-36-9 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclohexyloxy)[(3-hydroxyphenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



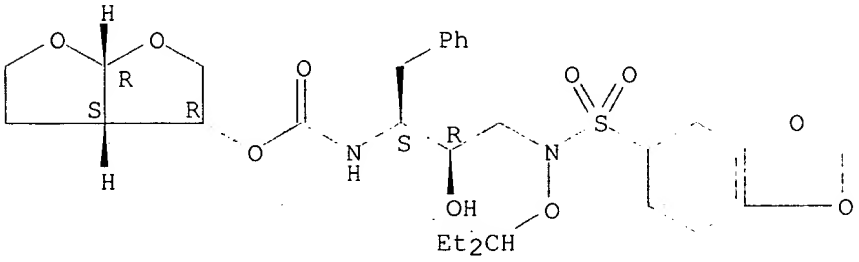
RN 252872-38-1 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclohexyloxy)[(4-hydroxyphenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



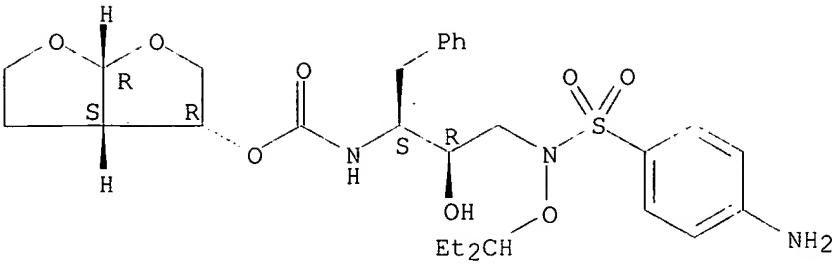
RN 252872-40-5 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(1-ethylpropoxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



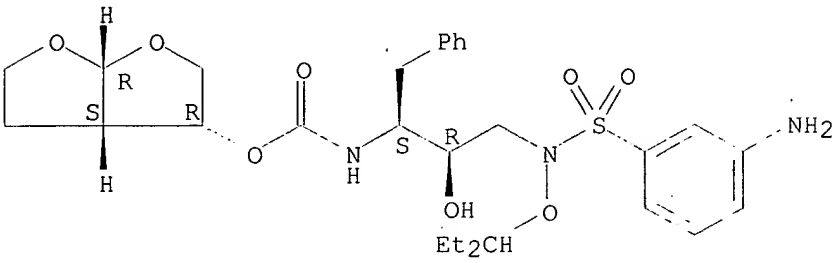
RN 252872-41-6 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[[(4-aminophenyl)sulfonyl](1-ethylpropoxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



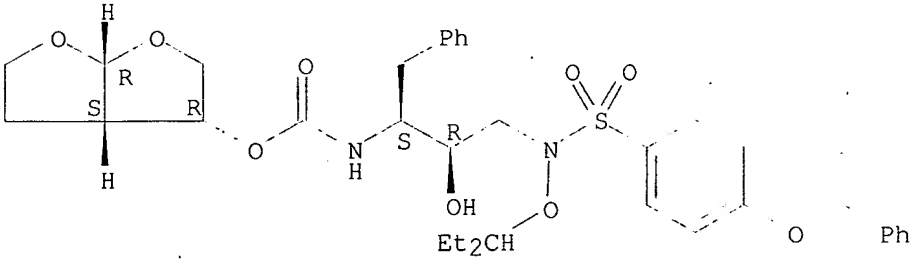
RN 252872-42-7 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[[(3-aminophenyl)sulfonyl](1-ethylpropoxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



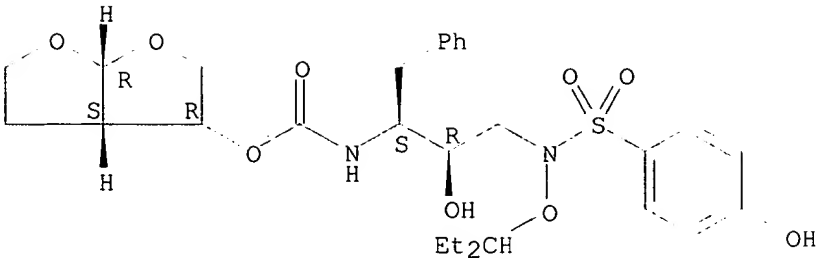
RN 252872-44-9 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(1-ethylpropoxy)[[4-(phenylmethoxy)phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



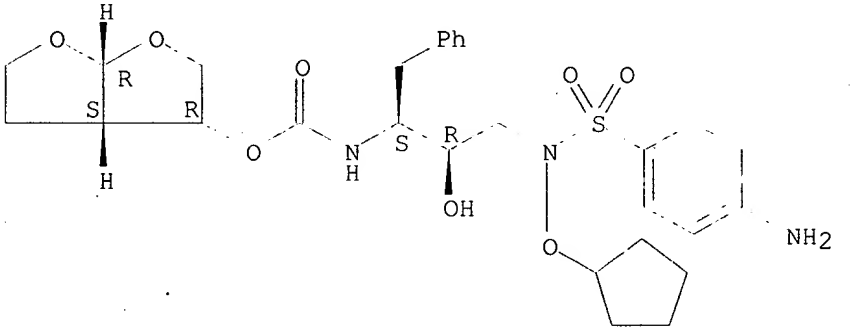
RN 252872-46-1 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(1-ethylpropoxy)[(4-hydroxyphenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



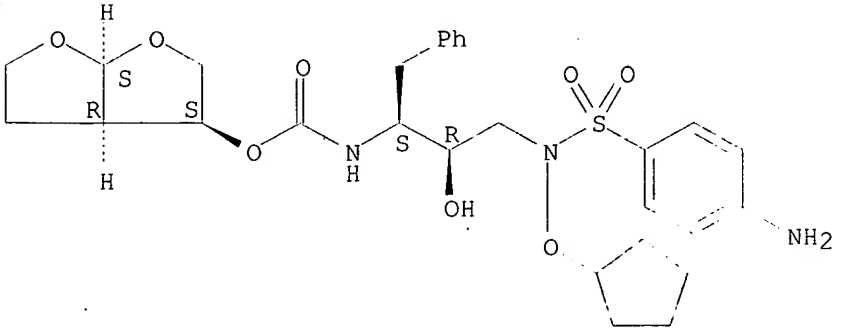
RN 252872-48-3 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[[(4-aminophenyl)sulfonyl](cyclopentyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



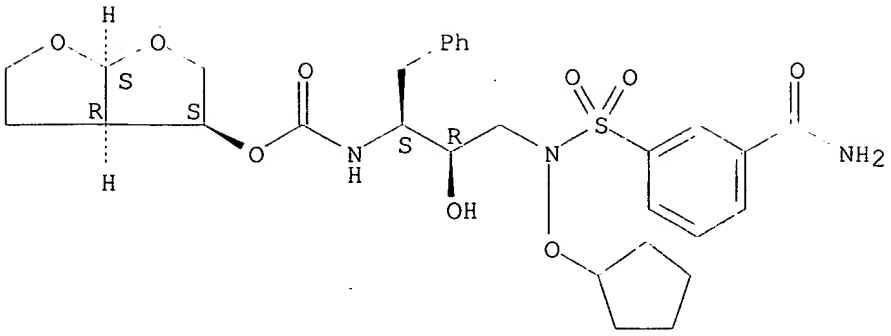
RN 252872-49-4 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[[(4-aminophenyl)sulfonyl](cyclopentyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S,3aR,6aS)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



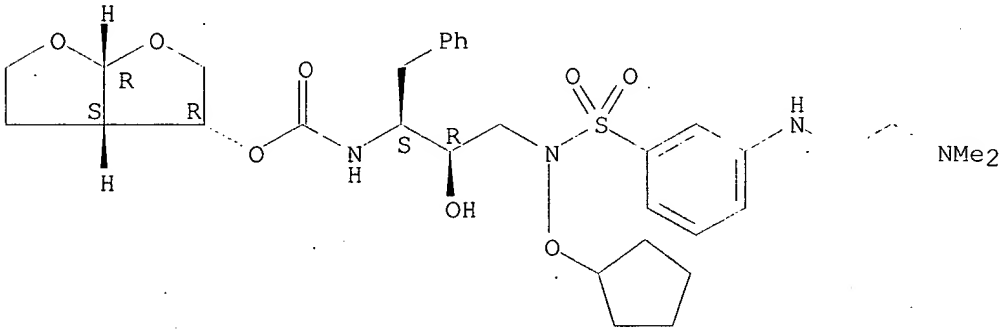
RN 252872-51-8 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[3-(aminocarbonyl)phenyl]sulfonyl](cyclopentyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S,3aR,6aS)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



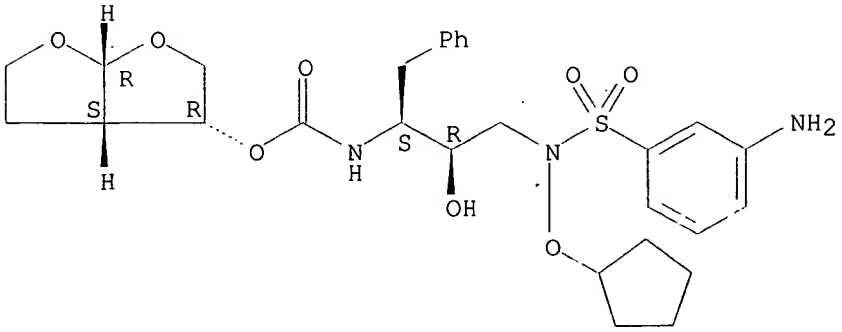
RN 252872-52-9 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-[[2-(dimethylamino)ethyl]amino]phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



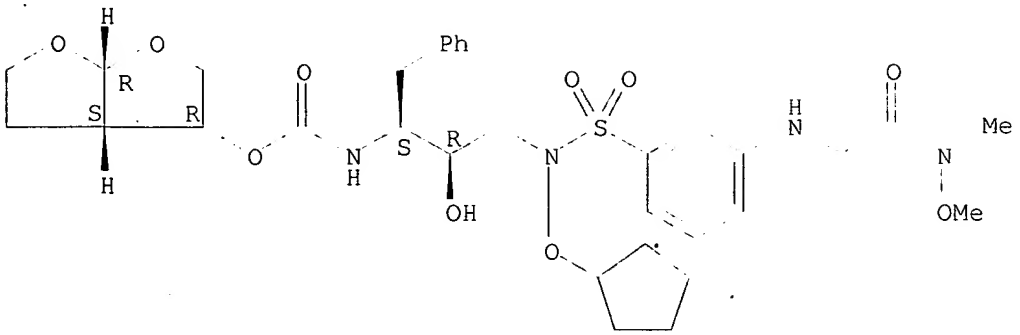
RN 252872-53-0 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[[(3-aminophenyl)sulfonyl](cyclopentyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 252872-55-2 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-[[2-(methoxymethylamino)-2-oxoethyl]amino]phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 252872-57-4 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-[[2-[(methylsulfonyl)amino]ethyl]amino]phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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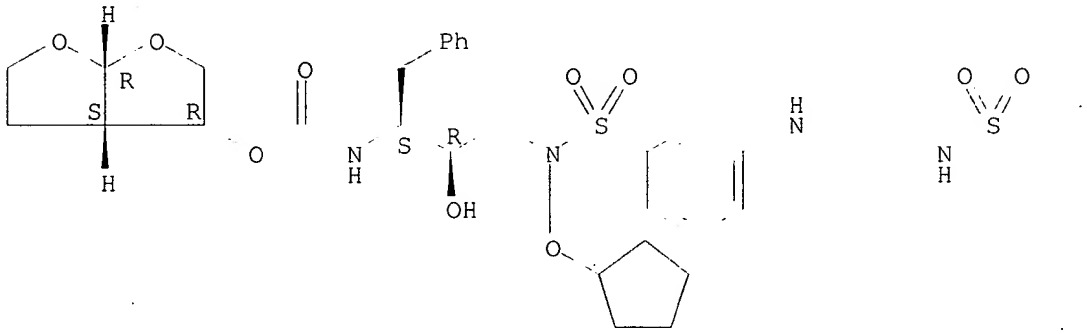

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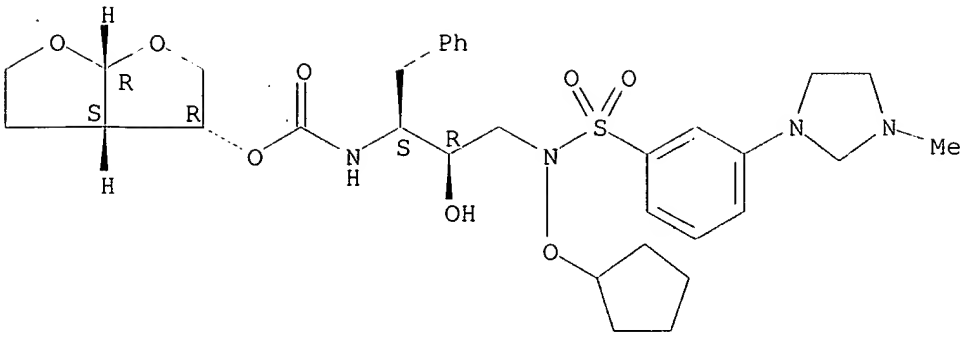
Me

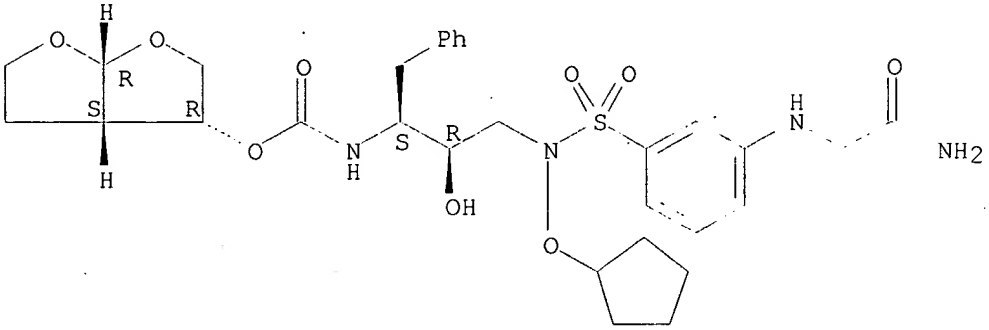
RN 252873-80-6 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-(3-methyl-1-imidazolidinyl)phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



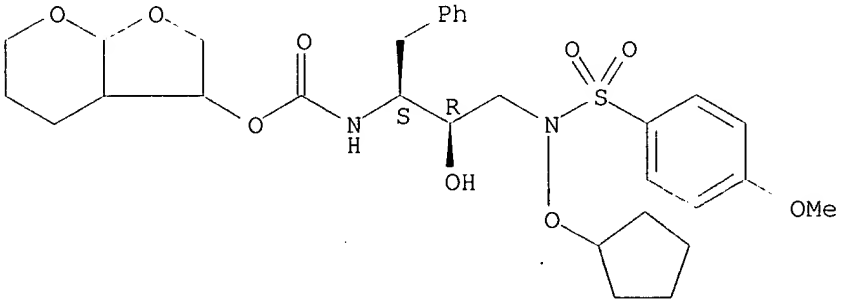
RN 252873-82-8 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[3-[(2-amino-2-oxoethyl)amino]phenyl]sulfonyl](cyclopentyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



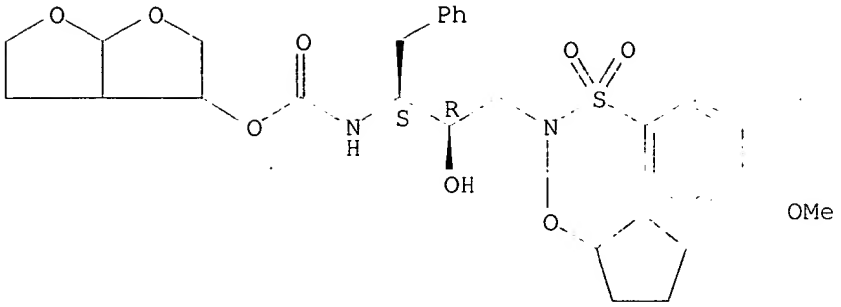
RN 252879-32-6 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[(4-methoxyphenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydro-4H-furo[2,3-b]pyran-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



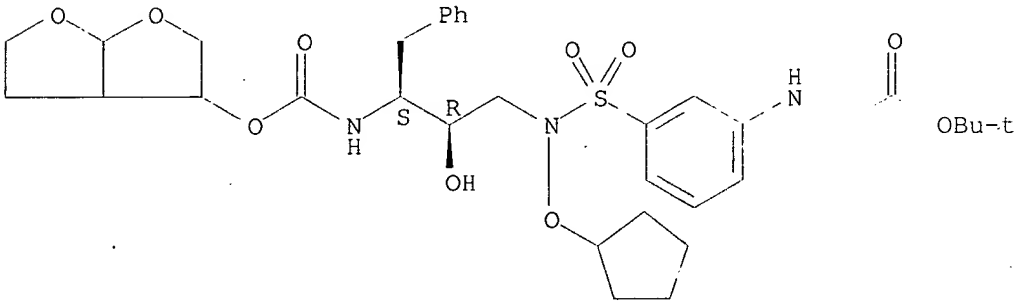
RN 252879-33-7 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[(4-methoxyphenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



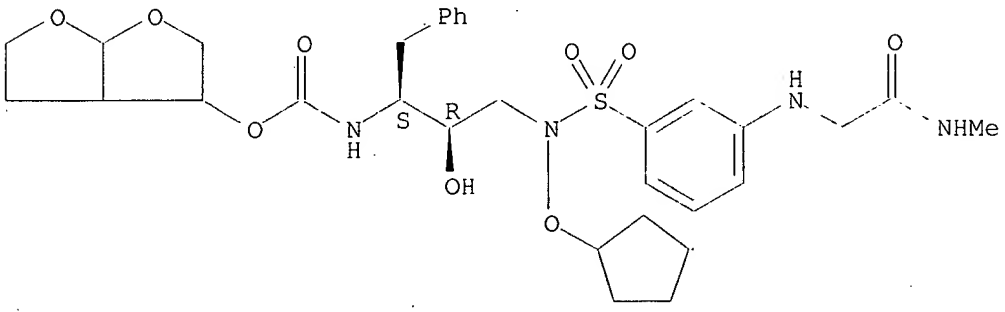
RN 252879-34-8 HCAPLUS
CN Glycine, N-[3-[[(cyclopentyloxy)[(2R,3S)-3-[[[(hexahydrofuro[2,3-b]furan-3-yl)oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl]amino]sulfonyl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



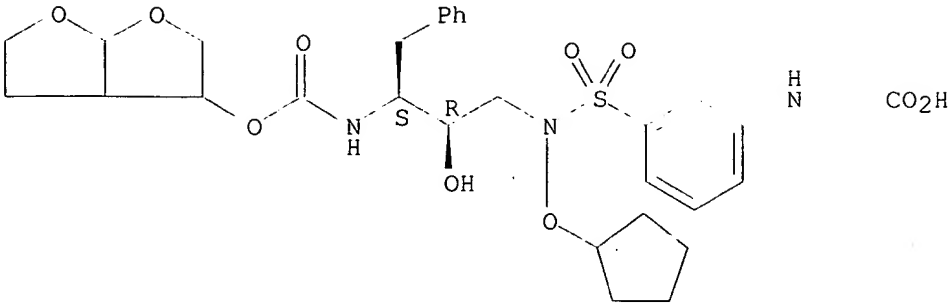
RN 252879-35-9 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-[[2-(methylamino)-2-oxoethyl]amino]phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



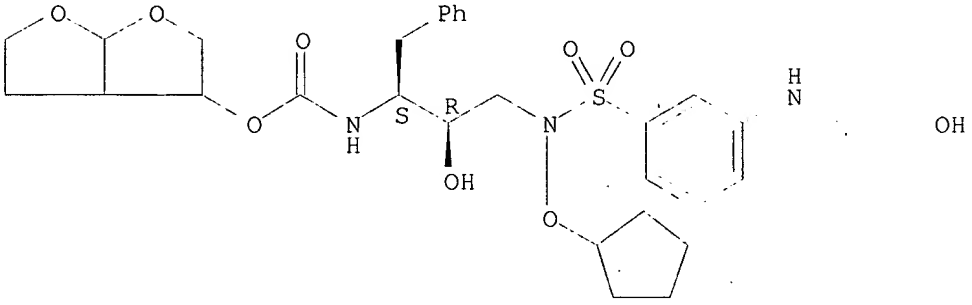
RN 252879-36-0 HCAPLUS
CN Glycine, N-[3-[[(cyclopentyloxy)[(2R,3S)-3-[[[(hexahydrofuro[2,3-b]furan-3-yl)oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl]amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 252879-37-1 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-[(2-hydroxyethyl)amino]phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 252879-38-2 HCAPLUS
CN Carbamic acid, [3-[[(cyclopentyloxy)[(2R,3S)-3-[[[(hexahydrofuro[2,3-b]furan-3-yl)oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl]amino]sulfonyl]phenyl][2-[(2-hydroxyethyl)amino]-2-oxoethyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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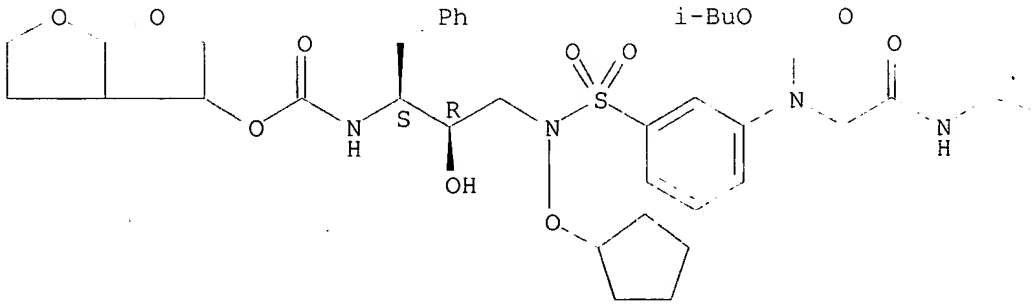

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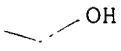
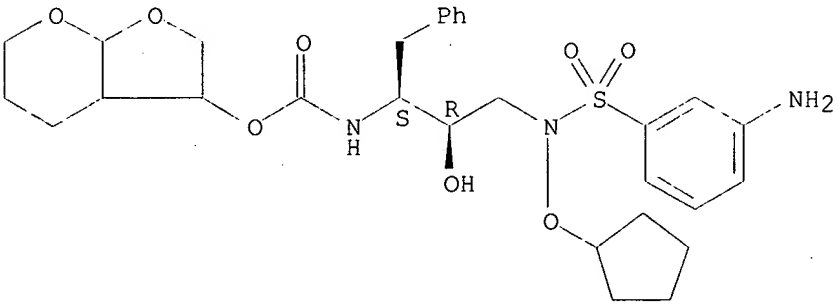


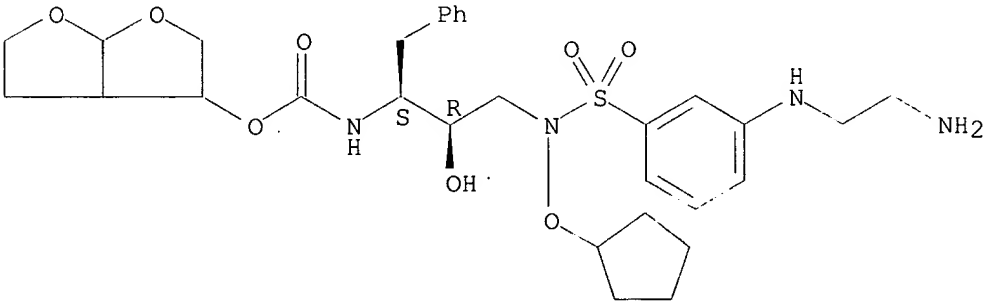
RN 252879-39-3 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[[(3-aminophenyl)sulfonyl](cyclopentyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydro-4H-furo[2,3-b]pyran-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



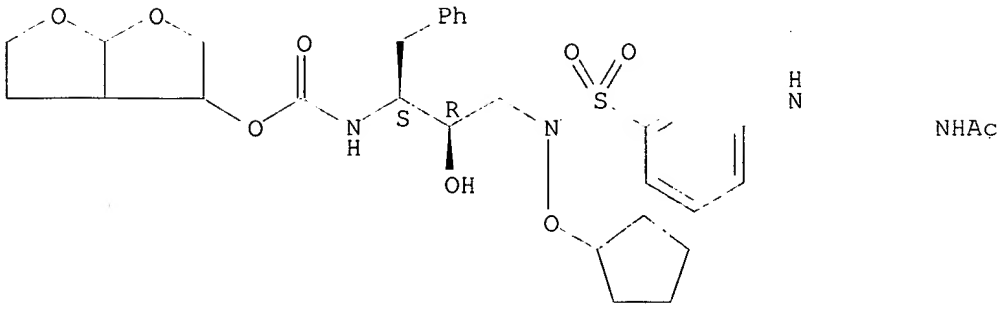
RN 252879-40-6 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[3-[(2-aminoethyl)amino]phenyl]sulfonyl](cyclopentyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



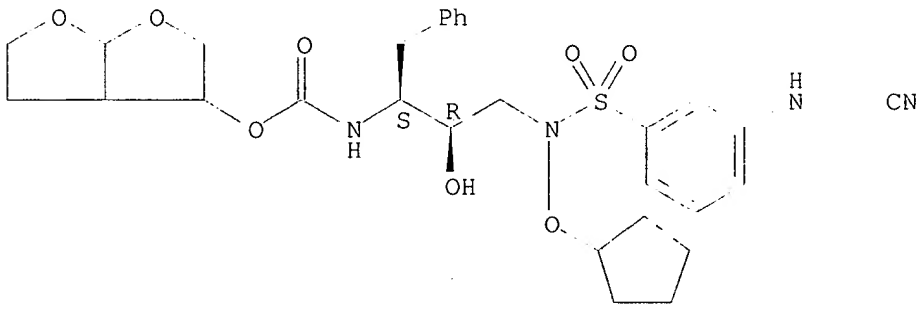
RN 252879-41-7 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[3-[[2-(acetylamino)ethyl]amino]phenyl]sulfonyl](cyclopentyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 252879-42-8 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[3-[(cyanomethyl)amino]phenyl]sulfonyl](cyclop entyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 252879-43-9 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-[[2-(4-morpholinyl)-2-oxoethyl]amino]phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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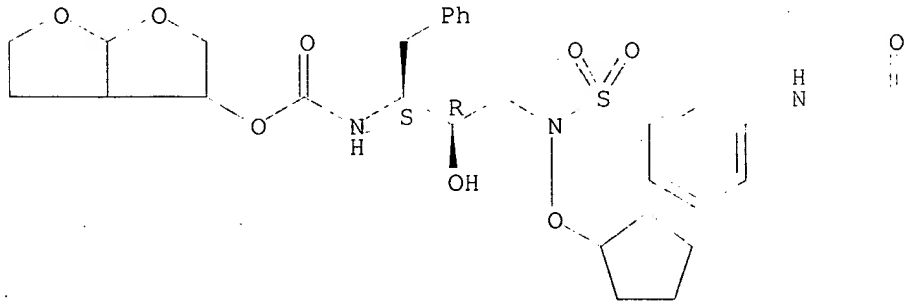

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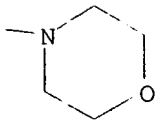
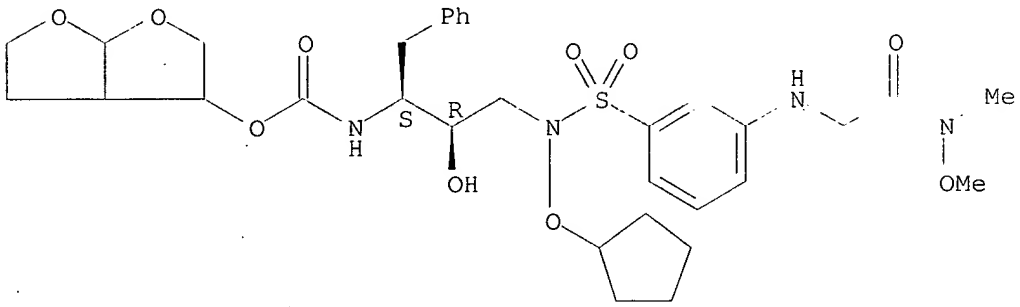


RN 252879-44-0 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-[[2-(methoxymethylamino)-2-oxoethyl]amino]phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 252879-45-1 HCAPLUS
CN Glycine, N-[[[2-[[3-[[(cyclopentyloxy)[(2R,3S)-3-[[[(hexahydrofuro[2,3-b]furan-3-yl)oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl]amino]sulfonyl]phenyl]amino]ethyl]amino]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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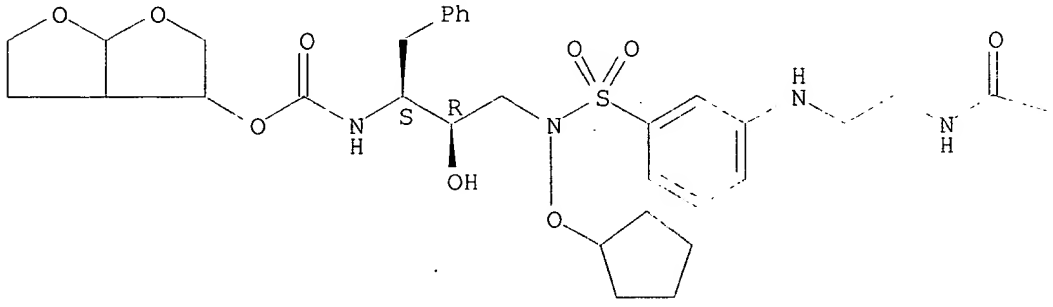

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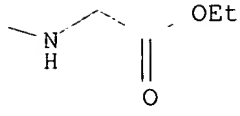

RN 252879-46-2 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-[[2-[[(methylamino)carbonyl]amino]ethyl]amino]phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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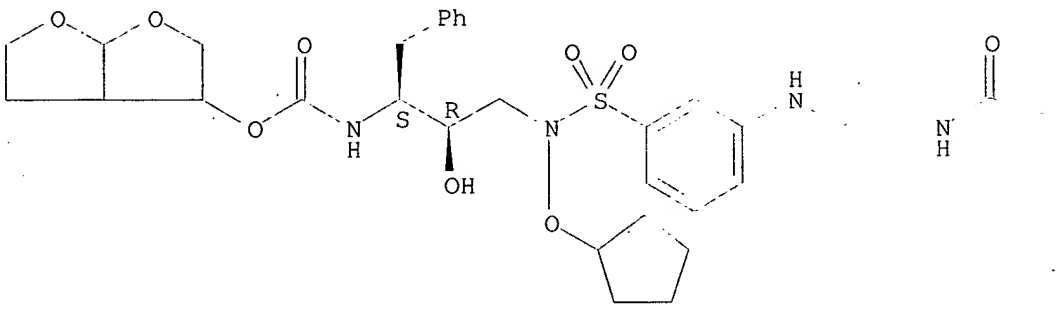

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NHMe

RN 252879-47-3 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-[[2-[(methylsulfonyl)amino]ethyl]amino]phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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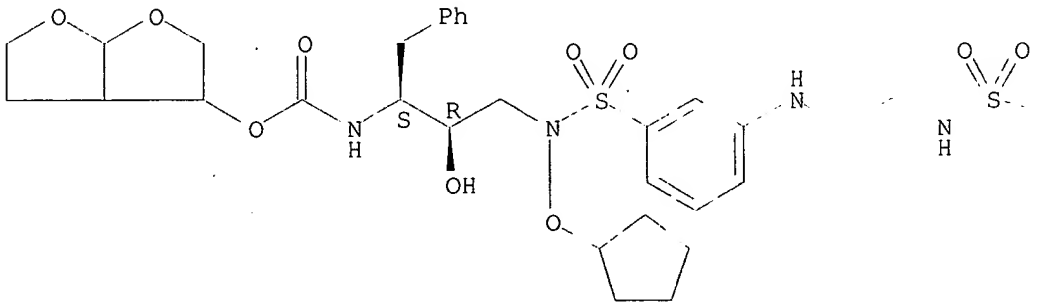

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Me

RN 252879-48-4 HCAPLUS
CN Carbamic acid, [2-[[3-[[(cyclopentyloxy)[(2R,3S)-3-[[[(hexahydrofuro[2,3-b]furan-3-yl)oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl]amino]sulfonyl]phenyl]amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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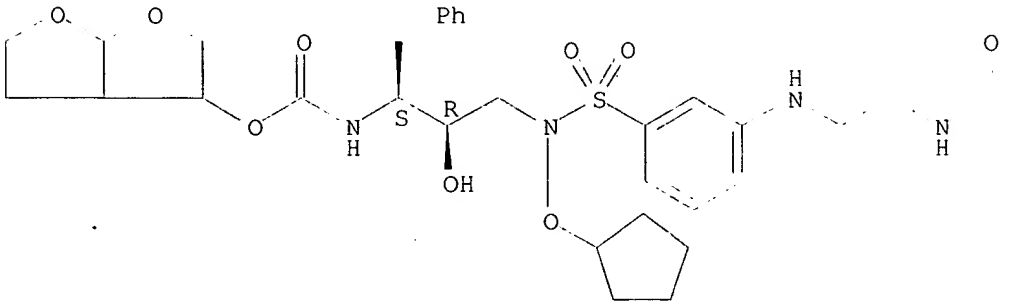

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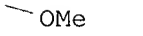

RN 252879-49-5 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-[[2-[[methoxy(nitroimino)methyl]amino]ethyl]amino]phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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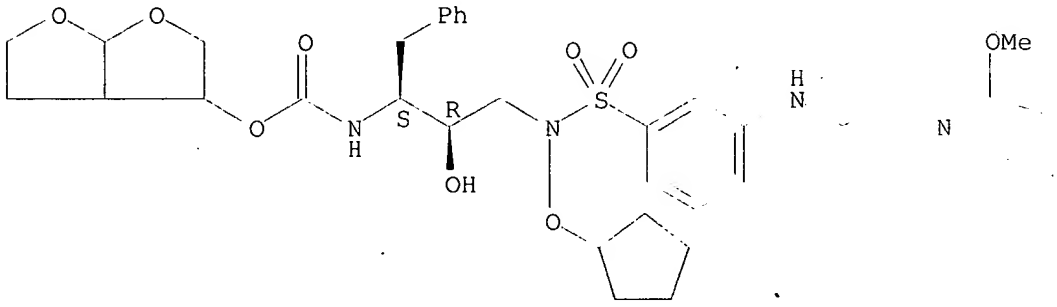

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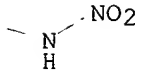

RN 252879-50-8 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-[[2-[[[[2-(methylamino)-2-oxoethyl]amino]carbonyl]amino]ethyl]amino]phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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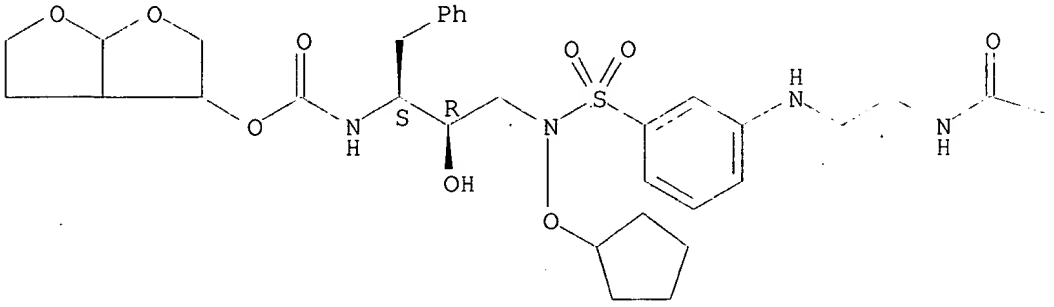

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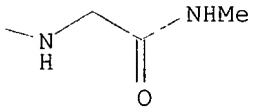
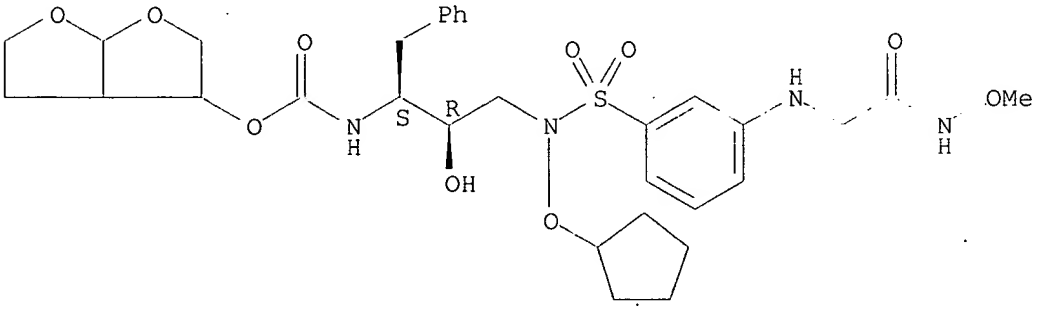


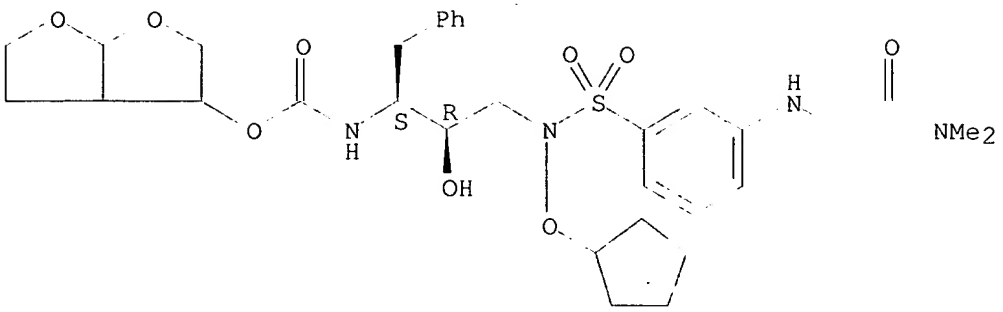
RN 252879-51-9 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-[[2-(methoxyamino)-2-oxoethyl]amino]phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



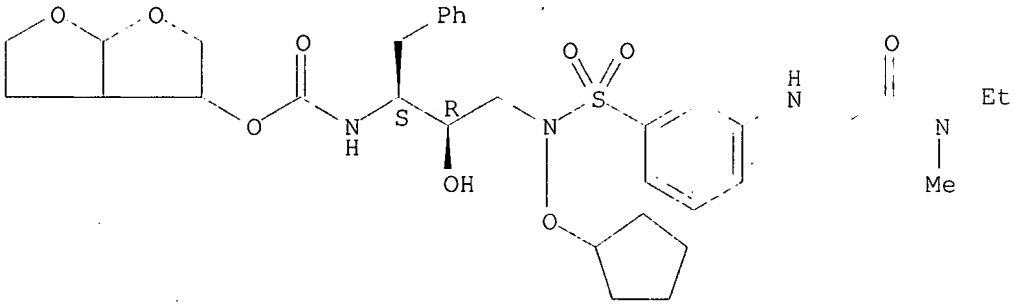
RN 252879-52-0 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-[[2-(dimethylamino)-2-oxoethyl]amino]phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



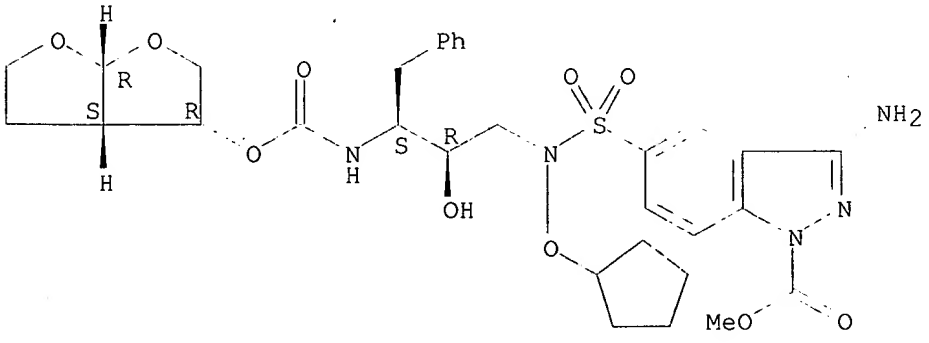
RN 252879-53-1 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-[[2-(ethylmethylamino)-2-oxoethyl]amino]phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **252873-47-5 252879-55-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease)
RN 252873-47-5 HCAPLUS
CN 1H-Indazole-1-carboxylic acid, 3-amino-5-[[(cyclopentyloxy)[(2R,3S)-3-[[[[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

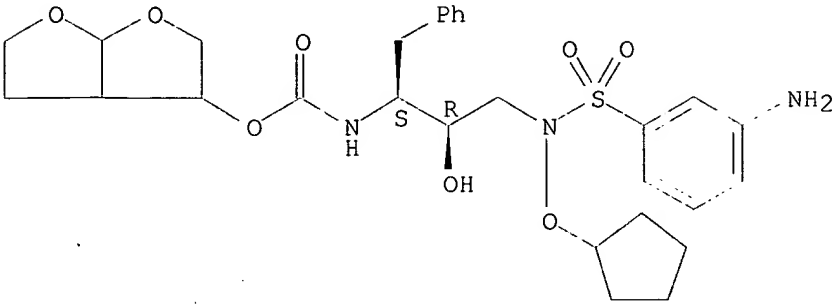
Absolute stereochemistry.



RN 252879-55-3 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[[(3-aminophenyl)sulfonyl](cyclopentyloxy)amino]-

2-hydroxy-1-(phenylmethyl)propyl]-, hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

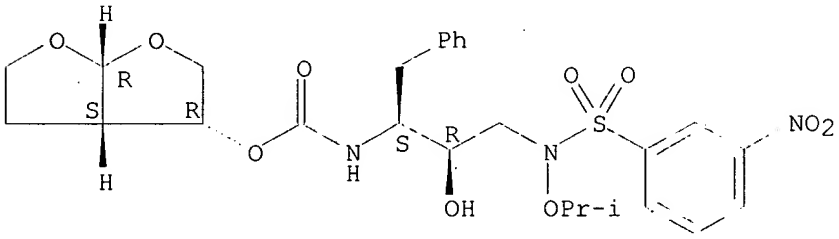


IT **252872-84-7P 252872-96-1P 252873-09-9P**
252873-10-2P 252873-11-3P 252873-12-4P
252873-15-7P 252873-16-8P 252873-17-9P
252873-25-9P 252873-26-0P 252873-30-6P
252873-31-7P 252873-32-8P 252873-42-0P
252879-54-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease)

RN 252872-84-7 HCAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[(1-methylethoxy)[(3-nitrophenyl)sulfonyl]amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

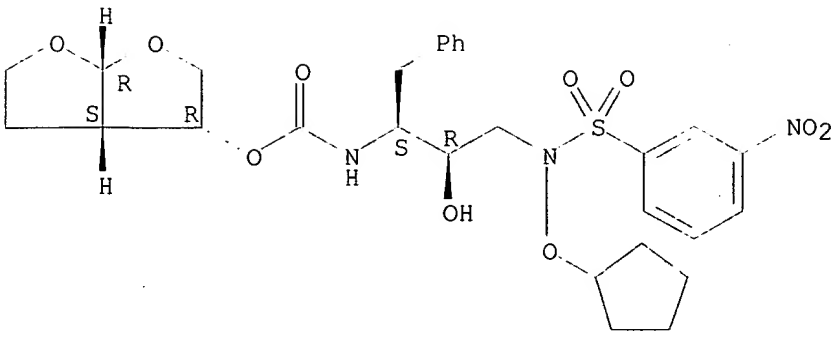
Absolute stereochemistry.



RN 252872-96-1 HCAPLUS

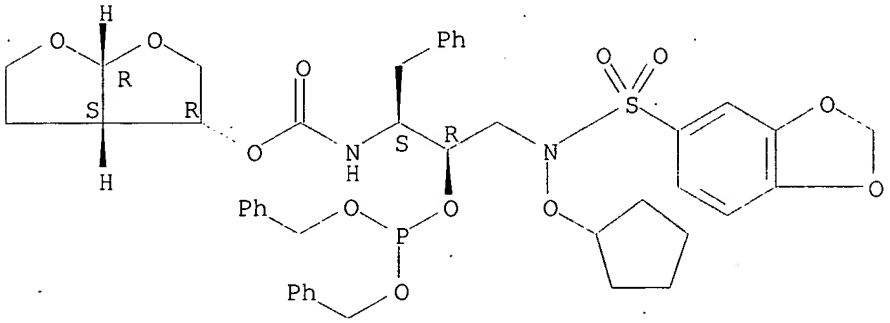
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[(3-nitrophenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



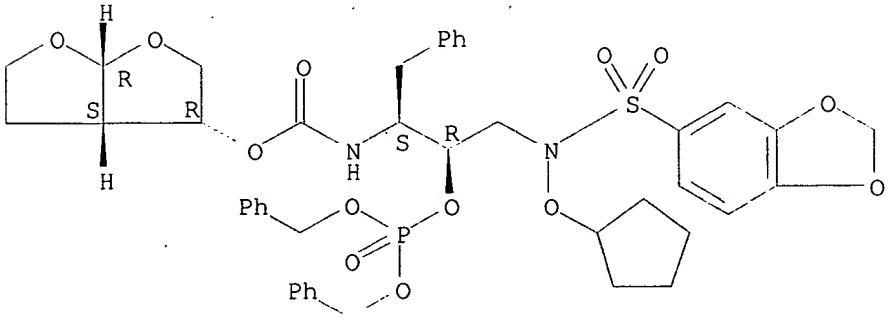
RN 252873-09-9 HCAPLUS
CN 2,4-Dioxa-7-aza-3-phosphaoctan-8-oic acid, 5-[[(1,3-benzodioxol-5-ylsulfonyl)(cyclopentyloxy)amino]methyl]-1-phenyl-3-(phenylmethoxy)-6-(phenylmethyl)-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester, (5R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 252873-10-2 HCAPLUS
CN 2,4-Dioxa-7-aza-3-phosphaoctan-8-oic acid, 5-[[(1,3-benzodioxol-5-ylsulfonyl)(cyclopentyloxy)amino]methyl]-1-phenyl-3-(phenylmethoxy)-6-(phenylmethyl)-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester, 3-oxide, (5R,6S)- (9CI) (CA INDEX NAME)

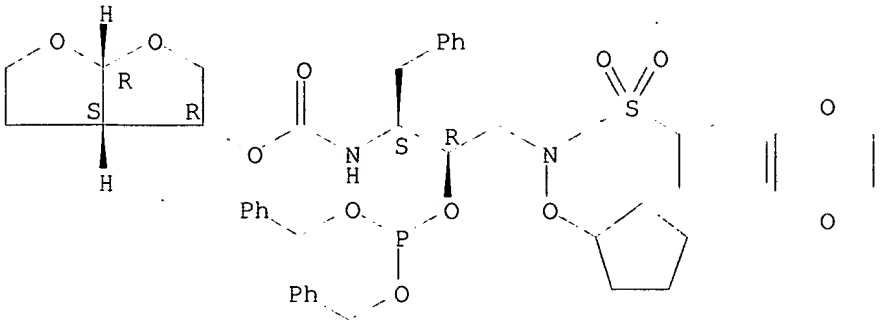
Absolute stereochemistry.



RN 252873-11-3 HCAPLUS
CN 2,4-Dioxa-7-aza-3-phosphaoctan-8-oic acid, 5-[[(cyclopentyloxy)[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl]amino]methyl]-1-phenyl-3-(phenylmethoxy)-6-(phenylmethyl)-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-

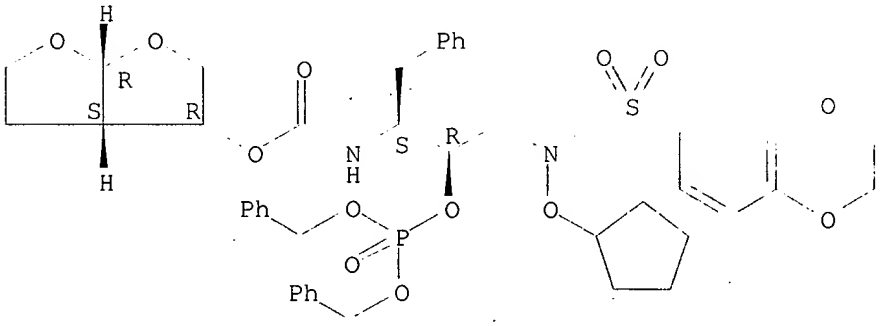
3-yl ester, (5R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



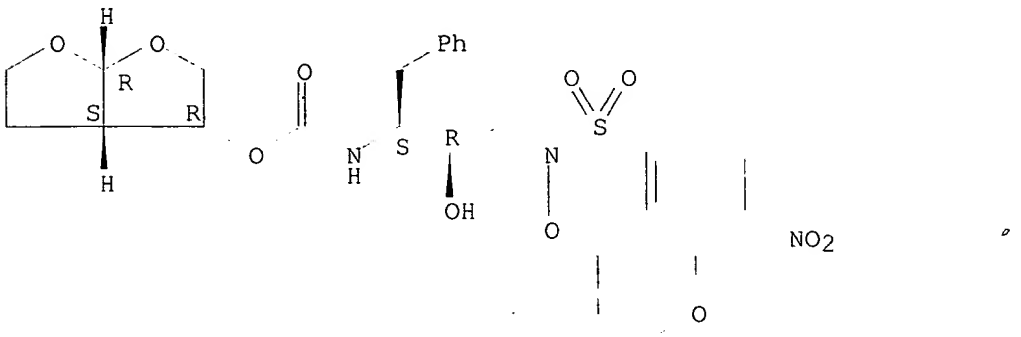
RN 252873-12-4 HCAPLUS
CN 2,4-Dioxa-7-aza-3-phosphaoctan-8-oic acid, 5-[[(cyclopentyloxy)[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl]amino]methyl]-1-phenyl-3-(phenylmethoxy)-6-(phenylmethyl)-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester, 3-oxide, (5R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 252873-15-7 HCAPLUS
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[(4-nitrophenyl)sulfonyl][(tetrahydro-2H-pyran-4-yl)oxy]amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

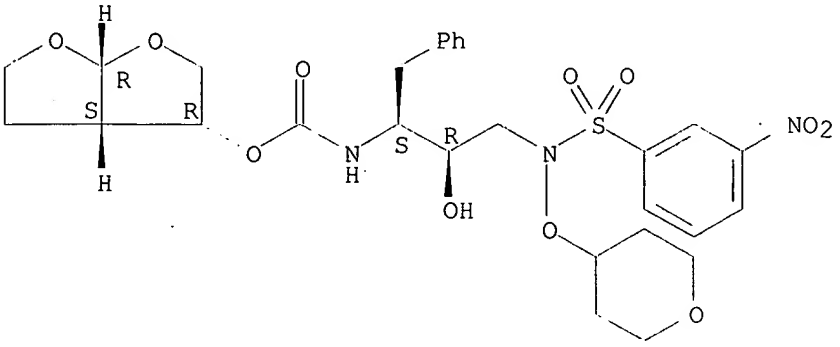
Absolute stereochemistry.



RN 252873-16-8 HCAPLUS
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[(3-nitrophenyl)sulfonyl][(tetrahydro-

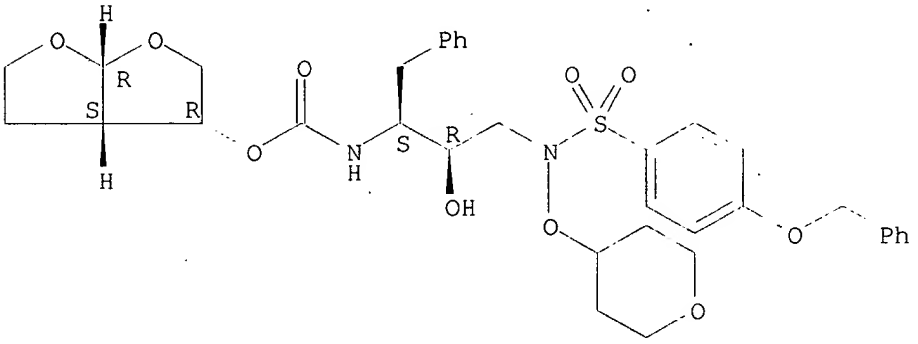
2H-pyran-4-yl)oxy]amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



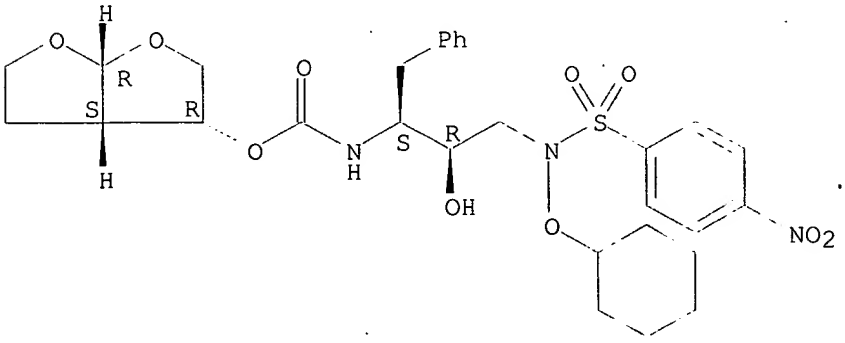
RN 252873-17-9 HCAPLUS
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[4-(phenylmethoxy)phenyl]sulfonyl][(tetrahydro-2H-pyran-4-yl)oxy]amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 252873-25-9 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclohexyloxy)[(4-nitrophenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

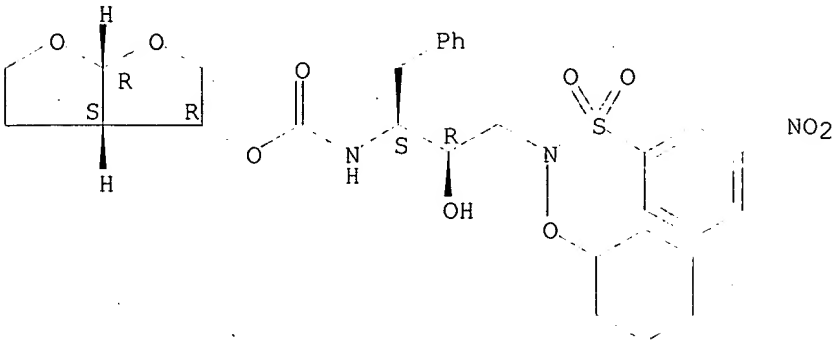
Absolute stereochemistry.



RN 252873-26-0 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclohexyloxy)[(3-nitrophenyl)sulfonyl]amino]-

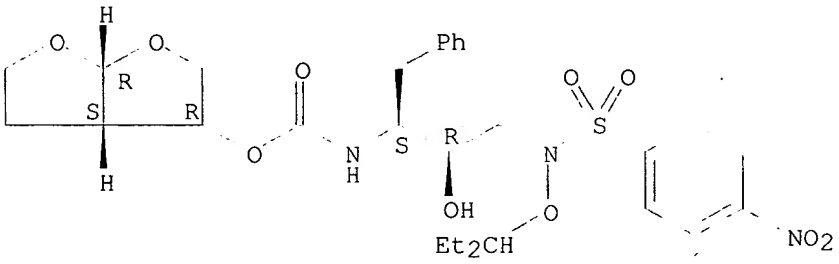
2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



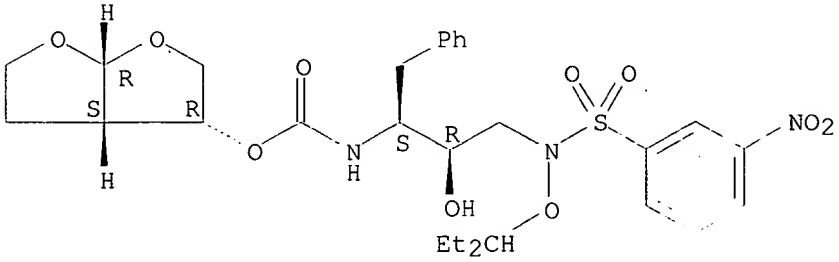
RN 252873-30-6 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(1-ethylpropoxy)[(4-nitrophenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



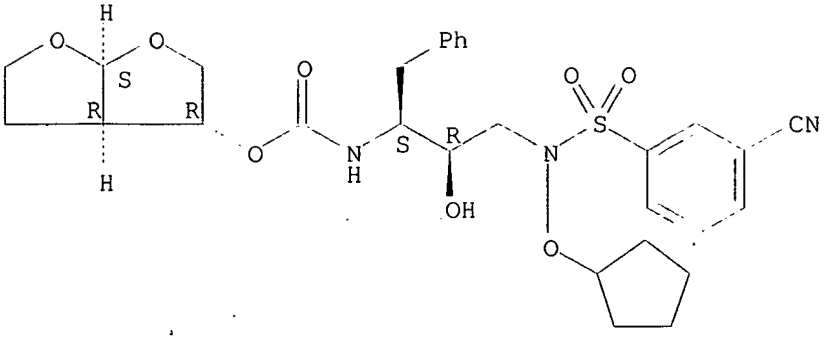
RN 252873-31-7 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(1-ethylpropoxy)[(3-nitrophenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



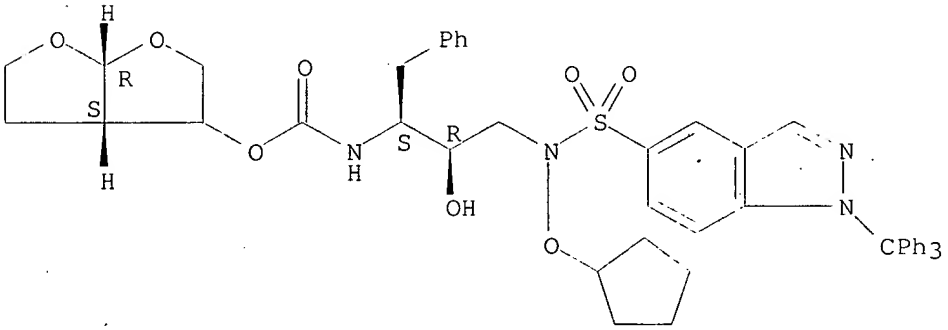
RN 252873-32-8 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[[(3-cyanophenyl)sulfonyl](cyclopentyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aR,6aS)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



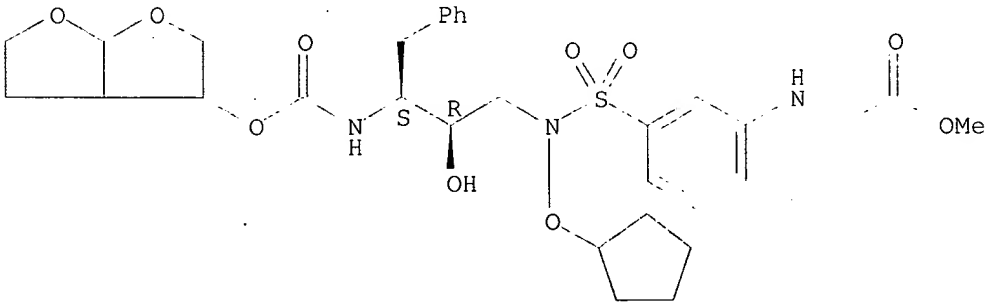
RN 252873-42-0 HCAPLUS
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[1-(triphenylmethyl)-1H-indazol-5-yl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 252879-54-2 HCAPLUS
CN Glycine, N-[3-[[(cyclopentyloxy)[(2R,3S)-3-[[[(hexahydrofuro[2,3-b]furan-3-yl)oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl]amino]sulfonyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L43 ANSWER 4 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN
AN 1999:670116 HCAPLUS
DN 131:295568
TI .alpha.- and .beta.-Amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors
IN Vazques, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel

P.; Decrescenzo, Gary A.; Freskos, John N.; Bertenshaw, Deborah E.; Heintz, Robert M.
PA G. D. Searle and Co., USA
SO U.S., 130 pp., Cont.-in-part of U. S. 204,827.
CODEN: USXXAM
DT Patent
LA English
IC A61K031-36; C07D317-50
NCL 514275000
CC 1-5 (Pharmacology)
Section cross-reference(s): 7, 33
FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5968942	A	19991019	US 1994-294468	19940823 <--
	WO 9404492	A1	19940303	WO 1993-US7814	19930824 <--
	W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	EP 810209	A2	19971203	EP 1997-113434	19930824 <--
	EP 810209	A3	19981202		
	EP 810209	B1	20020605		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
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	US 6248775	B1	20010619	US 1999-288080	19990408 <--
	US 2002052399	A1	20020502	US 2001-798255	20010305 <--
	US 6417387	B2	20020709		
PRAI	US 1992-934984	B2	19920825 <--		
	WO 1993-US7814	A2	19930824 <--		
	US 1994-204827	A2	19940302 <--		
	EP 1993-923714	A3	19930824 <--		
	US 1993-110911	A2	19930824 <--		
	US 1994-294468	A1	19940823 <--		
	US 1999-288080	A1	19990408		

OS MARPAT 131:295568
AB .alpha.- And .beta.-Amino acid hydroxyethylamino sulfonamide compds. are effective as retroviral protease inhibitors, and in particular as inhibitors of HIV protease, as well as effective in preventing the growth of retroviruses in a soln. General and specific schemes for chem. synthesis of the sulfonamide-contg. hydroxyethylamine inhibitor compds. are described. Seventy-eight such compds. were tested for cytotoxicity and antiviral efficacy (IC50, EC50, and TD50 values at the nanomolar level are tabulated).
ST amino acid hydroxyethylamino sulfonamide retrovirus protease inhibition; HIV protease inhibition amino acid hydroxyethylamino sulfonamide; antiviral amino acid hydroxyethylamino sulfonamide
IT Sulfonamides
Sulfonamides
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(amino; .alpha.- and .beta.-amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)
IT Amines, biological studies
Amines, biological studies
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(sulfonamides; .alpha.- and .beta.-amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)
IT Anti-AIDS agents

Antiviral agents
Human immunodeficiency virus
Retroviridae
(.alpha.- and .beta.-amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

IT Amino acids, biological studies
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(.alpha.- and .beta.-amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

IT Amino acids, biological studies
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(.beta.-; .alpha.- and .beta.-amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

IT 157445-94-8P 157445-95-9P 157446-10-1P 157566-88-6P 157566-90-0P
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169280-76-6P 181124-38-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(.alpha.- and .beta.-amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

IT 9001-92-7, Proteinase 144114-21-6, Retropepsin
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(.alpha.- and .beta.-amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

IT 63-91-2, L-Phenylalanine, reactions 87-62-7, 2,6-Dimethylaniline
95-48-7, reactions 96-34-4, Methyl chloroacetate 98-74-8,
4-Nitrobenzenesulfonyl chloride 100-39-0, Benzyl bromide 100-55-0,
3-Pyridinemethanol 105-13-5, 4-Methoxybenzyl alcohol 107-31-3, Methyl formate 121-51-7, 3-Nitrobenzenesulfonyl chloride 150-13-0 496-16-2,
2,3-Dihydrobenzofuran 576-26-1 933-88-0, o-Toluoyl chloride
1118-68-9, N,N-Dimethylglycine 2170-03-8, Itaconic anhydride 2304-96-3
3167-49-5, 6-Aminonicotinic acid 3391-99-9 3392-08-3 4412-91-3,
3-(Hydroxymethyl)furan 5006-66-6, 6-Hydroxynicotinic acid 5326-38-5
25193-95-7, 5-Pyrimidinemethanol 25512-62-3, Cyclohexenone 26049-94-5
52130-17-3, 3-Amino-2-methylbenzoic acid 62965-10-0 79107-75-8
128018-44-0 136465-99-1 247047-57-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(.alpha.- and .beta.-amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

IT 74-97-5P, Bromochloromethane 93-85-6P, 2-Amino-6-Carboxy-Benzothiazole
603-80-5P, 3-Hydroxy-2-methylbenzoic acid 1878-49-5P,

2-Methylphenoxyacetic acid 1975-51-5P, 4-Nitro-2-methylbenzoic acid 3182-95-4P, L-Phenylalaninol 3377-31-9P 6633-61-0P, Methyl 2-aminothiazole-5-carboxylate 13335-71-2P, 2,6-Dimethylphenoxyacetic acid 14527-44-7P, Methyl 5-thiazolecarboxylate 38585-74-9P, 5-Thiazolemethanol 39658-41-8P, Ethyl 6-Aminonicotinate 50850-93-6P 54781-19-0P, 2-Trimethylsilyloxy-1,3-cyclohexadiene 60427-77-2P, 4(4-Methoxybenzyl)itaconate 83509-04-0P 84575-50-8P 111060-52-7P 111060-64-1P 115010-10-1P, 1,3-Benzodioxole-5-sulfonyl chloride 115010-11-2P 127927-43-9P 127943-39-9P 128018-43-9P 130165-86-5P 132605-93-7P 132605-97-1P 132605-98-2P 132696-45-8P 143224-62-8P 143224-86-6P 143225-04-1P 157566-75-1P 159005-96-6P 159005-97-7P 159006-07-2P 159006-08-3P 159006-10-7P 159006-12-9P 159006-13-0P 159006-16-3P 159006-17-4P 159006-18-5P 159006-19-6P 159006-21-0P 159006-22-1P 159006-23-2P 169280-39-1P 169280-77-7P 169280-78-8P 169280-82-4P 169280-83-5P 169280-84-6P 169280-86-8P 169280-87-9P 169280-89-1P 169280-90-4P 169280-91-5P 169280-92-6P 195703-74-3P 247047-55-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(.alpha.- and .beta.-amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

IT 107-95-9P, .beta.-Alanine 144-90-1P 498-25-9P 541-48-0P 625-05-8P 3653-34-7P 4385-92-6P 5699-54-7P 15099-85-1P 16934-21-7P 53874-24-1P 65414-77-9P 75081-40-2P 91247-38-0P 95598-13-3P 100869-07-6P 157567-00-5P 157567-02-7P 159006-03-8P **159006-27-6P** 159006-50-5P 160191-57-1P 161721-81-9P 161721-84-2P 169280-93-7P 169280-94-8P 169280-95-9P 169280-97-1P 169280-98-2P 169280-99-3P 169281-00-9P 169281-04-3P 169281-07-6P 169281-08-7P 169281-09-8P 169281-10-1P 169281-11-2P 169281-12-3P 169281-16-7P 185256-61-5P 185256-62-6P 185256-63-7P 185256-64-8P 185256-65-9P 185256-66-0P 187326-86-9P 216870-86-9P 216870-92-7P 216870-98-3P **216871-08-8P** 216871-14-6P 216871-19-1P 216871-24-8P 216871-29-3P 216871-34-0P 216871-40-8P 216871-46-4P 216871-50-0P 216871-56-6P 216871-60-2P 216871-65-7P 216871-68-0P 216871-72-6P 216871-77-1P 216871-82-8P 216871-87-3P 216871-92-0P 216871-97-5P 216872-02-5P 216872-08-1P 216872-13-8P 216872-20-7P 216872-28-5P 216872-34-3P 216872-44-5P 216872-49-0P 216872-54-7P 216872-59-2P 216872-65-0P 216872-71-8P 216872-76-3P 216873-45-9P 247047-22-9P 247047-24-1P 247047-27-4P 247047-29-6P 247047-30-9P 247047-31-0P 247047-32-1P 247047-33-2P 247047-34-3P 247047-35-4P 247047-36-5P 247047-37-6P 247047-38-7P 247047-39-8P 247047-40-1P 247047-41-2P 247047-42-3P 247047-43-4P 247047-44-5P 247047-45-6P 247047-46-7P 247047-47-8P 247047-48-9P 247047-49-0P **247047-50-3P** 247047-51-4P 247047-52-5P 247047-53-6P 247047-54-7P 247047-58-1P 247047-59-2P 247047-60-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(.alpha.- and .beta.-amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) Anon; EP 0104041 1984 HCAPLUS
(2) Anon; EP 0114993 1984 HCAPLUS
(3) Anon; EP 0172347 1986 HCAPLUS
(4) Anon; EP 0223437 1987 HCAPLUS
(5) Anon; GB 2184730 1987 HCAPLUS
(6) Anon; EP 0264795 1988 HCAPLUS
(7) Anon; GB 2200115 1988 HCAPLUS
(8) Anon; AU 7982387 1988
(9) Anon; EP 0337714 1989 HCAPLUS
(10) Anon; EP 0342541 1989 HCAPLUS
(11) Anon; EP 0346847 1989 HCAPLUS
(12) Anon; GB 2209752 1989 HCAPLUS
(13) Anon; EP 356223 1990 HCAPLUS

(14) Anon; EP 389898 A2 1990 HCAPLUS
(15) Anon; EP 393445 1990 HCAPLUS
(16) Anon; EP 393457 1990 HCAPLUS
(17) Anon; EP 402646 1990 HCAPLUS
(18) Anon; EP 468641 1992 HCAPLUS
(19) Anon; WO 9208699 1992 HCAPLUS
(20) Anon; WO 9404492 1994 HCAPLUS
(21) Anon; WO 9405639 1994 HCAPLUS
(22) Boger; US 4477441 1984 HCAPLUS
(23) Boger; US 4668770 1987 HCAPLUS
(24) Bristol; US 4450164 1984 HCAPLUS
(25) Erickson; Science 1990, V249, P527 HCAPLUS
(26) Freidinger; US 4880938 1989 HCAPLUS
(27) Gordon; US 4514391 1985 HCAPLUS
(28) Gordon; US 725 1990 HCAPLUS
(29) Hemmi; US 4963530 1990 HCAPLUS
(30) Hoover; US 4599198 1986 HCAPLUS
(31) Hoover; US 4668769 1987 HCAPLUS
(32) Luly; US 4826815 1989 HCAPLUS
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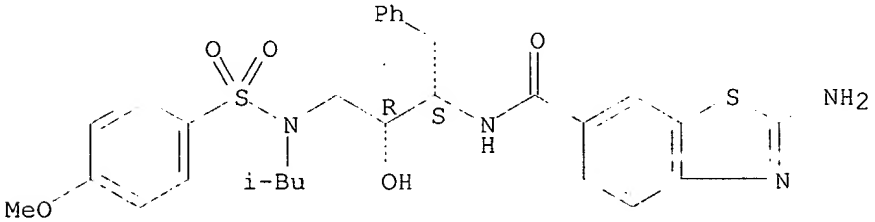
(34) Matsueda; US 4548926 1985 HCAPLUS
(35) McQuade; Science 1990, V247, P454 HCAPLUS
(36) Meek; Letter to Nature 1990, V343, P90 HCAPLUS
(37) Natarajan; US 4757050 1988 HCAPLUS
(38) Pearl; Nature 1987, V328 HCAPLUS
(39) Rich; Design of Protease Inhibitors 1984, P511
(40) Roberts; Science 1990, V248, P358 HCAPLUS
(41) Rosenberg; US 4977277 1990 HCAPLUS
(42) Rosenberg; J Med Chem 1987, V30, P1224 HCAPLUS
(43) Ryono; US 4616088 1986 HCAPLUS
(44) Tung; US 5585397 1996 HCAPLUS

IT **169280-44-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(.alpha.- and .beta.-amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

RN 169280-44-8 HCAPLUS

CN 6-Benzothiazolecarboxamide, 2-amino-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-(9CI) (CA INDEX NAME)

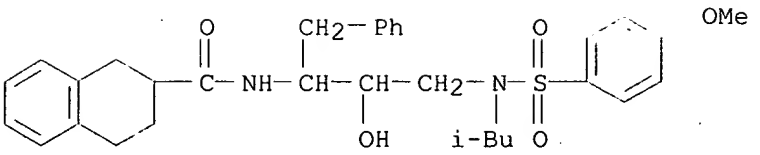
Absolute stereochemistry.



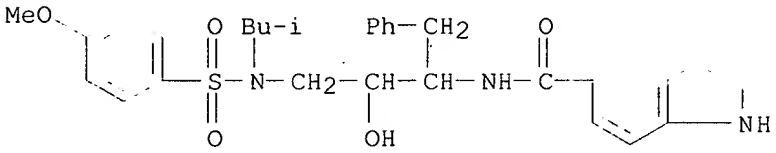
IT **159006-27-6P 216871-08-8P 247047-50-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(.alpha.- and .beta.-amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

RN 159006-27-6 HCAPLUS

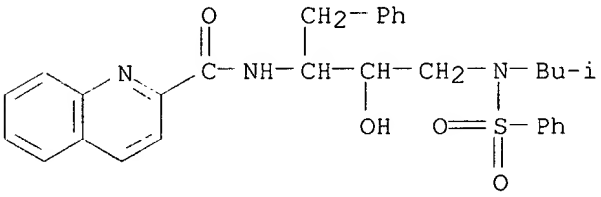
CN 2-Naphthalenecarboxamide, 1,2,3,4-tetrahydro-N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-(9CI) (CA INDEX NAME)



RN 216871-08-8 HCAPLUS
CN 1H-Indole-5-carboxamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)



RN 247047-50-3 HCAPLUS
CN 2-Quinolinecarboxamide, N-[2-hydroxy-3-[(2-methylpropyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)



L43 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN
AN 1998:799692 HCAPLUS
DN 130:38712
TI Preparation of .alpha.- and .beta.-amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors
IN Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel; Decrescenzo, Gary A.; Freskos, John N.
PA G.D. Searle & Co., USA
SO U.S., 67 pp., Cont.-in-part of U.S. Ser. No. 934,984, abandoned.
CODEN: USXXAM
DT Patent
LA English
IC ICM A61K031-50
ICS C07D215-14
NCL 514252000
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 7
FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5843946	A	19981201	US 1993-110911	19930824 <--
	EP 810209	A2	19971203	EP 1997-113434	19930824 <--
	EP 810209	A3	19981202		
	EP 810209	B1	20020605		
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	AT 172717	E	19981115	AT 1993-923714	19930824 <--
	ES 2123065	T3	19990101	ES 1993-923714	19930824 <--
	AT 218541	E	20020615	AT 1997-113434	19930824 <--

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     ES 2177868        T3   20021216       ES 1997-113434   19930824 <--
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             NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, US,
             UZ, VN
         RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC,
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     AU 9476697        A1   19950321       AU 1994-76697    19940823 <--
     EP 715618         A1   19960612       EP 1994-927162   19940823 <--
     EP 715618         B1   19981216
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
     AT 174587         E    19990115       AT 1994-927162   19940823 <--
     ES 2127938        T3   19990501       ES 1994-927162   19940823 <--
     FI 9500650        A    19950214       FI 1995-650      19950214 <--
     US 5786483        A    19980728       US 1995-487662   19950607 <--
     US 5830897        A    19981103       US 1995-473698   19950607 <--
     US 6172082        B1   20010109       US 1995-476788   19950607 <--
     US 5744481        A    19980428       US 1997-845392   19970425 <--
     US 6248775        B1   20010619       US 1999-288080   19990408 <--
     US 6335460        B1   20020101       US 2000-510189   20000222 <--
     US 6472407        B1   20021029       US 2000-511005   20000222 <--
     US 6534493        B1   20030318       US 2000-694785   20001024 <--
     US 2002052399     A1   20020502       US 2001-798255   20010305 <--
     US 6417387        B2   20020709
PRAI US 1992-934984    B2   19920825  <--
     EP 1993-923714    A3   19930824  <--
     US 1993-110911    A    19930824  <--
     WO 1993-US7814    A2   19930824  <--
     US 1994-204827    A    19940302  <--
     US 1994-294468    A1   19940823  <--
     WO 1994-US9139    W    19940823  <--
     US 1995-476788    A1   19950607  <--
     US 1995-485524    B1   19950607  <--
     US 1999-288080    A1   19990408
OS   MARPAT 130:38712
AB   Amino acid hydroxyethylamino sulfonamide compds. P1NHCHR2CH(OH)CH2NR3SO2R4
     [P1 = alkoxycarbonyl, aralkoxycarbonyl, alkanoyl, cycloalkylcarbonyl,
     cycloalkylalkoxycarbonyl, cycloalkylalkanoyl, aralkanoyl, aroyl,
     aryloxycarbonyl, heterocyclylcarbonyl, heterocycloxycarbonyl,
     heterocyclylalkoxycarbonyl, heteroaralkoxycarbonyl, heteroaryloxycarbonyl,
     heteroaroyl; R2 = alkyl, aryl, cycloalkyl, cycloalkylalkyl,
     (un)substituted aralkyl; R3 = H, alkyl, alkenyl, alkynyl, hydroxyalkyl,
     alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heteroaryl,
     heterocyclylalkyl, aryl, aralkyl, heteroaralkyl; R4 = alkyl, haloalkyl,
     alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, heteroaryl, aryl, aralkyl]
     were prepn. as retroviral protease inhibitors. Thus, N-[2R-hydroxy-3-[[(4-
     methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-4-
     pyridinecarboxamide was prepd. by amidation of isonicotinoyl chloride
     hydrochloride with 2R-hydroxy-3-[(2-methylpropyl)[(4-
     methoxyphenyl)sulfonyl]amino]-1S-(phenylmethyl)propylamine. Protease
     inhibitory data are tabulated.
ST   amino acid hydroxyethylamino sulfonamide prepn protease inhibitor
IT   Human immunodeficiency virus 1
        (prepn. of amino acid hydroxyethylamino sulfonamides useful as
        retroviral protease inhibitors)
IT   Amino acids, preparation
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of amino acid hydroxyethylamino sulfonamides useful as
        retroviral protease inhibitors)
IT   157566-99-9P   159005-79-5P   159005-80-8P   159005-81-9P   159005-82-0P
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159005-83-1P 159005-84-2P 159005-85-3P 159005-86-4P 159005-87-5P
159005-88-6P 159006-02-7P 159006-03-8P 169280-93-7P 216872-44-5P
216872-49-0P 216872-54-7P 216872-59-2P 216872-65-0P 216872-71-8P
216872-76-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

IT 157445-95-9P 157566-88-6P 157566-90-0P 157566-95-5P 157566-97-7P
157567-04-9P 157567-06-1P 157567-10-7P 159005-59-1P 159005-60-4P
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159005-66-0P 159005-67-1P 159005-68-2P 159005-69-3P 159005-70-6P
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159006-23-2P 159006-25-4P **159006-27-6P** 159006-30-1P
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216871-56-6P 216871-60-2P 216871-65-7P 216871-68-0P 216871-72-6P
216871-77-1P 216871-82-8P 216871-87-3P 216871-92-0P 216871-97-5P
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216874-64-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

IT 9001-92-7, Protease
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(prepn. of amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

IT 63-91-2, L-Phenylalanine, reactions 78-81-9, Isobutylamine 98-09-9, Phenylsulfonyl chloride 98-68-0, 4-Methoxybenzenesulfonyl chloride 100-55-0, 3-Pyridylcarbinol 105-13-5, 4-Methoxybenzyl alcohol 541-88-8, Chloroacetic anhydride 632-46-2, 2,6-Dimethylbenzoic acid 2170-03-8, Itaconic anhydride 3377-31-9 10147-36-1, Propylsulfonyl chloride 22118-09-8, Bromoacetyl chloride 25193-95-7, 5-Pyrimidinemethanol 26049-94-5 32980-25-9 39178-35-3 60427-77-2 130165-86-5 132605-93-7 132605-97-1 132696-45-8 136465-99-1 143224-62-8 143224-86-6 159005-71-7 159006-48-1 173336-62-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

IT 84575-50-8P 111060-52-7P 111060-64-1P 127927-43-9P 127943-39-9P
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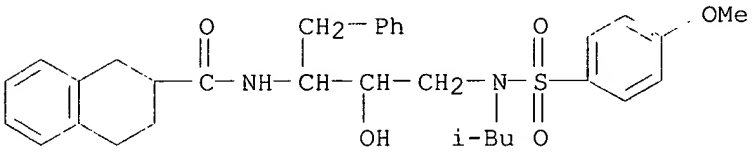
169280-81-3P 216879-45-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE

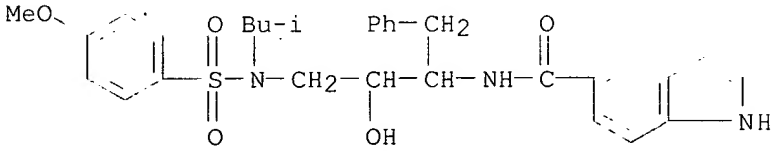
(1) Boger; US 5122514 1992 HCAPLUS
(2) Branca; US 5134123 1992 HCAPLUS
(3) Branca; US 5140011 1992 HCAPLUS
(4) Matsueda; US 4548926 1985 HCAPLUS
(5) Natarajan; US 4757050 1988 HCAPLUS

IT **159006-27-6P 216871-08-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

RN 159006-27-6 HCAPLUS
CN 2-Naphthalenecarboxamide, 1,2,3,4-tetrahydro-N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

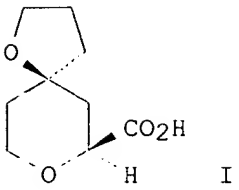


RN 216871-08-8 HCAPLUS
CN 1H-Indole-5-carboxamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)



102(a)

L43 ANSWER 6 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN
AN 1998:310442 HCAPLUS
DN 129:67715
TI Structure based design: novel spirocyclic ethers as nonpeptidal P2-ligands for HIV protease inhibitors
AU Ghosh, Arun K.; Krishnan, K.; Walters, D. Eric; Cho, Wonhwa; Cho, Hanna; Koo, Yumee; Trevino, Jose; Holland, Louis; Buthod, Jim
CS Department of Chemistry, University of Illinois at Chicago, Chicago, IL, 60607, USA
SO Bioorganic & Medicinal Chemistry Letters (1998), 8(8), 979-982
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
CC 28-2 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 7
GI



I

AB Novel spirocyclic ethers, e.g., I, were designed to function as nonpeptidal P2-ligands for HIV-1 protease inhibitors. Incorporation of designed ligands in the (R)-(hydroxyethylamino)sulfonamide isostere afforded potent HIV protease inhibitors.

ST spirocyclic ether prepn HIV protease inhibitor

IT Antiviral agents
(HIV-1; spirocyclic ethers as nonpeptidal P2-ligands for HIV-1 protease inhibitors)

IT Spiro compounds
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(spirocyclic ethers as nonpeptidal P2-ligands for HIV protease inhibitors)

IT 127779-20-8, Ro 31-8959 **208923-91-5**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(spirocyclic ethers as nonpeptidal P2-ligands for HIV protease inhibitors)

IT **208923-82-4P 208923-83-5P 208923-84-6P**
208923-86-8P 208923-87-9P 208923-88-0P 208923-89-1P
208923-90-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(spirocyclic ethers as nonpeptidal P2-ligands for HIV protease inhibitors)

IT 144114-21-6, Retropepsin
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(spirocyclic ethers as nonpeptidal P2-ligands for HIV protease inhibitors)

IT 208923-71-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(spirocyclic ethers as nonpeptidal P2-ligands for HIV protease inhibitors)

IT 159005-71-7P 208923-73-3P 208923-75-5P 208923-76-6P 208923-77-7P
208923-78-8P 208923-79-9P 208923-80-2P 208923-81-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(spirocyclic ethers as nonpeptidal P2-ligands for HIV protease inhibitors)

RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

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(2) Craig, J; Antiviral Res 1991, V16, P295 HCAPLUS
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(5) Ghosh, A; Bioorg Med Chem Lett 1995, V5, P83 HCAPLUS
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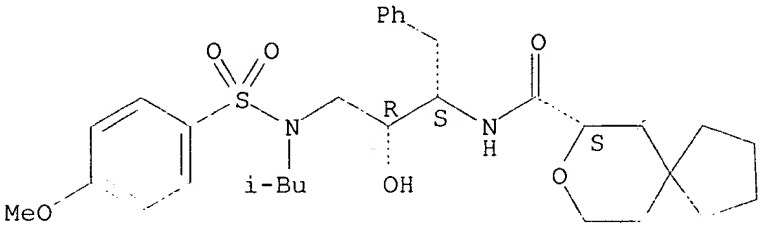
(16) Roberts, N; Science 1990, V248, P358 HCAPLUS
(17) Toth, M; Int J Pep Prot Res 1990, V36, P544 HCAPLUS
(18) Vacca, J; Proc Natl Acad Sci, U S A 1994, V91, P4096 HCAPLUS
(19) Vazquez, M; J Med Chem 1995, V38, P581 HCAPLUS

IT **208923-91-5**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(spirocyclic ethers as nonpeptidal P2-ligands for HIV protease inhibitors)

RN 208923-91-5 HCAPLUS

CN 8-Oxaspiro[4.5]decane-7-carboxamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

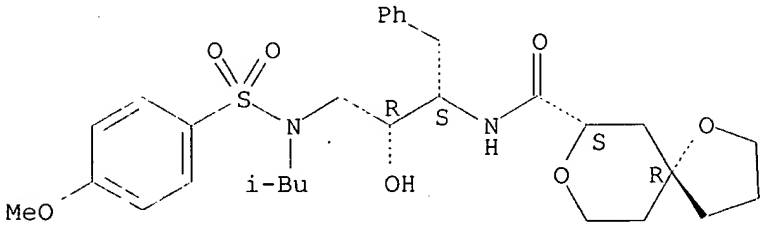


IT **208923-82-4P 208923-83-5P 208923-84-6P**
208923-86-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(spirocyclic ethers as nonpeptidal P2-ligands for HIV protease inhibitors)

RN 208923-82-4 HCAPLUS

CN 1,8-Dioxaspiro[4.5]decane-7-carboxamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (5R,7S)- (9CI) (CA INDEX NAME)

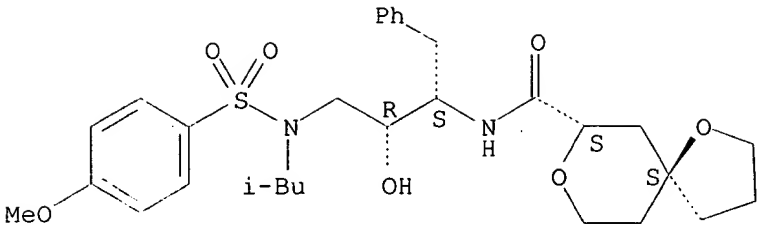
Absolute stereochemistry.



RN 208923-83-5 HCAPLUS

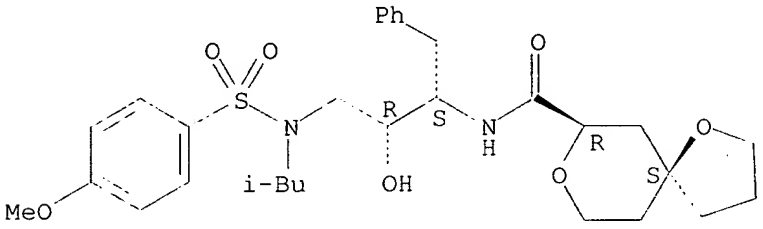
CN 1,8-Dioxaspiro[4.5]decane-7-carboxamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (5S,7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



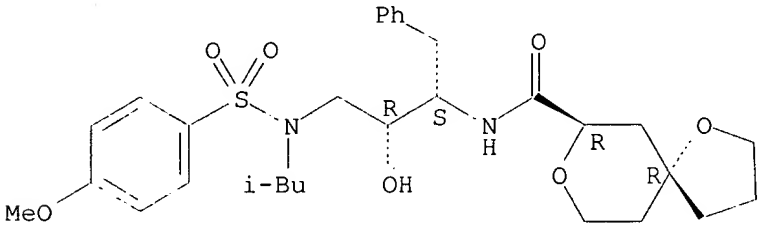
RN 208923-84-6 HCAPLUS
CN 1,8-Dioxaspiro[4.5]decane-7-carboxamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (5S,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 208923-86-8 HCAPLUS
CN 1,8-Dioxaspiro[4.5]decane-7-carboxamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (5R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L43 ANSWER 7 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN
AN 1996:746507 HCAPLUS
DN 126:18882
TI Preparation of oxygen-heterocyclyl N-(sulfonamidohydroxyalkyl)carbamates and analogs as aspartyl protease inhibitors
IN Tung, Roger D.; Bhisetti, Govinda Rao
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07D319-06
ICS C07D317-24; C07D309-12; C07D307-20; C07D493-04
CC 28-11 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI WO 9633187 A1 19961024 WO 1996-US5473 19960418 <--
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RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML
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AU 712913 B2 19991118
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EP 833826 B1 20020123
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CN 1184474 A 19980610 CN 1996-193903 19960418 <--
CN 1110491 B 20030604
BR 9608033 A 19990112 BR 1996-8033 19960418 <--
JP 11504628 T2 19990427 JP 1996-531953 19960418 <--
AP 862 A 20000804 AP 1997-1104 19960418 <--
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ES 2171670 T3 20020916 ES 1996-913811 19960418 <--
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US 5990155 A 19991123 US 1997-977365 19971124 <--
PRAI US 1995-424810 A 19950419 <--
EP 1996-913811 A3 19960418 <--
WO 1996-US5473 W 19960418 <--
OS MARPAT 126:18882
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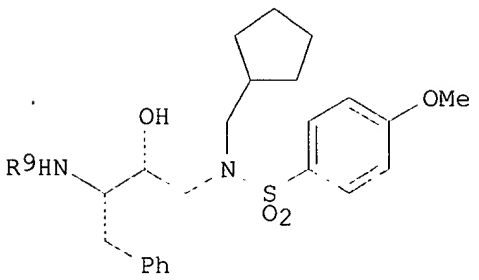


II

AB R1ZNHCHR7CH(OH)CH2NR8SO2R [I; R = heterocyclyl(oxy), (di)(alkyl)amino, alkyl, etc.; R1 = O-contg. heterocyclyl(alkyl); R7,R8 = (cyclo)alkyl, aryl, heterocyclyl, etc.; Z = O, CO, SO2, NHCO, etc.] were prepd. Thus, glycerol formal was esterified by ClCO2C6H4(NO2)-4 and 1 of the 2 products amidated by aminohydroxyalkylsulfonamide II (R9 = H) to give II (R9 = 1,3-dioxan-5-yloxycarbonyl). Data for biol. activity of I were given.

ST sulfonamidohydroxyalkylcarbamate prepn aspartyl protease inhibitor

IT Human immunodeficiency virus 1
(infection; prophylaxis and treatment; prepn. of oxygen-heterocyclyl N-(sulfonamidohydroxyalkyl)carbamates and analogs as aspartyl protease inhibitors)

IT 78169-47-8, Aspartyl protease
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL

(Biological study); PROC (Process)
(HIV-1; prepn. of oxygen-heterocyclyl N-(sulfonamidohydroxyalkyl)carbamates and analogs as aspartyl protease inhibitors)

IT 184155-22-4P 184155-23-5P 184155-24-6P 184155-25-7P 184155-26-8P
184155-27-9P 184155-28-0P 184155-29-1P **184155-30-4P**
184155-31-5P 184155-32-6P 184155-33-7P
184155-34-8P 184155-35-9P 184155-36-0P 184155-37-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of oxygen-heterocyclyl N-(sulfonamidohydroxyalkyl)carbamates and analogs as aspartyl protease inhibitors)

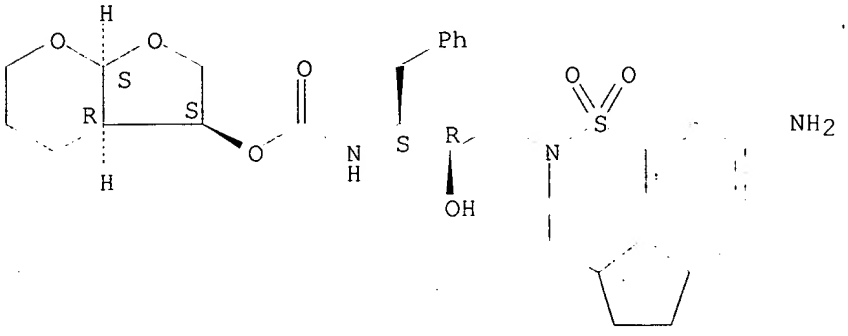
IT 121-51-7, 3-Nitrobenzenesulfonyl chloride 2081-44-9,
4-Hydroxytetrahydropyran 4740-78-7, Glycerol formal 5464-28-8,
Glycerol formal 7693-46-1, 4-Nitrophenyl chloroformate 22929-52-8,
Tetrahydrofuran-3-one 160231-30-1 160232-72-4 184155-50-8
184155-51-9 184155-52-0 184155-53-1 184155-54-2 184155-55-3
184155-56-4 184155-57-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of oxygen-heterocyclyl N-(sulfonamidohydroxyalkyl)carbamates and analogs as aspartyl protease inhibitors)

IT 64360-69-6P, 3-Hydroxy-3-methyltetrahydrofuran 184155-38-2P
184155-39-3P 184155-40-6P 184155-41-7P 184155-42-8P
184155-43-9P 184155-44-0P 184155-45-1P 184155-46-2P
184155-47-3P 184155-48-4P 184155-49-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of oxygen-heterocyclyl N-(sulfonamidohydroxyalkyl)carbamates and analogs as aspartyl protease inhibitors)

IT **184155-30-4P 184155-31-5P 184155-32-6P**
184155-33-7P 184155-34-8P 184155-35-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of oxygen-heterocyclyl N-(sulfonamidohydroxyalkyl)carbamates and analogs as aspartyl protease inhibitors)

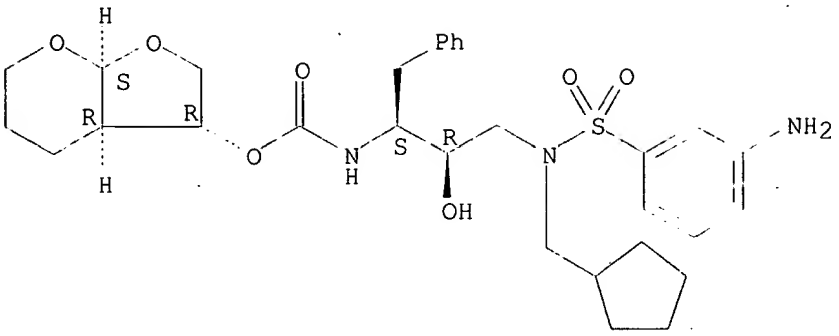
RN 184155-30-4 HCAPLUS
CN Carbamic acid, [3-[[(3-aminophenyl)sulfonyl](cyclopentylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydro-4H-furo[2,3-b]pyran-3-yl ester, [3S-[3.alpha.(1R*,2S*),3a.beta.,7a.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



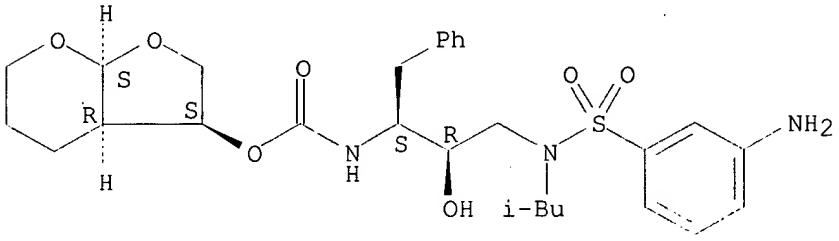
RN 184155-31-5 HCAPLUS
CN Carbamic acid, [3-[[(3-aminophenyl)sulfonyl](cyclopentylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydro-4H-furo[2,3-b]pyran-3-yl ester, [3R-[3.alpha.(1S*,2R*),3a.alpha.,7a.alpha.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



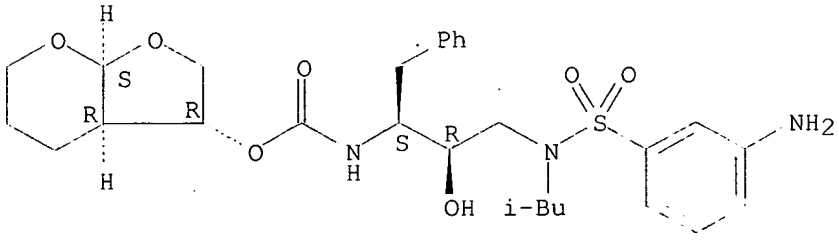
RN 184155-32-6 HCAPLUS
CN Carbamic acid, [3-[[(3-aminophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydro-4H-furo[2,3-b]pyran-3-yl ester, [3S-[3.alpha.(1R*,2S*),3a.beta.,7a.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



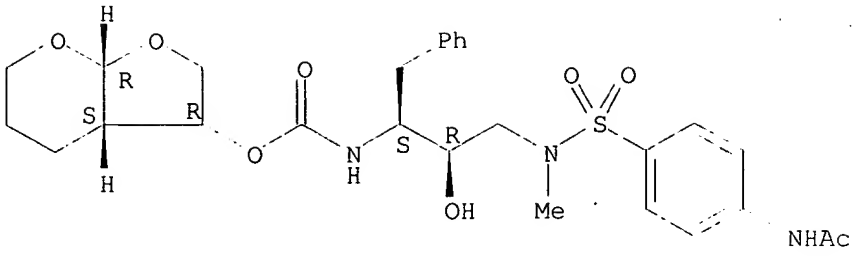
RN 184155-33-7 HCAPLUS
CN Carbamic acid, [3-[[(3-aminophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydro-4H-furo[2,3-b]pyran-3-yl ester, [3R-[3.alpha.(1S*,2R*),3a.alpha.,7a.alpha.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



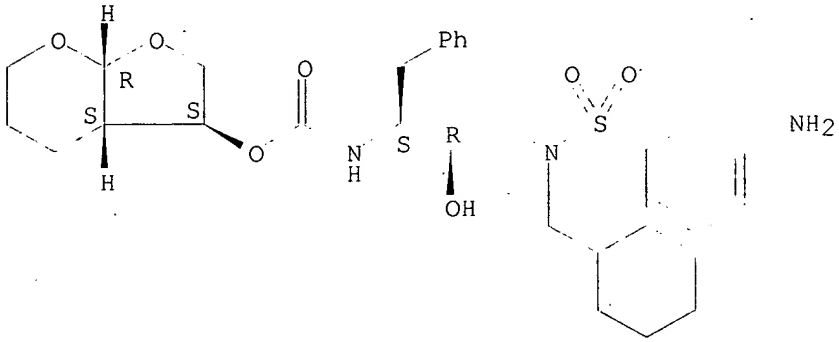
RN 184155-34-8 HCAPLUS
CN Carbamic acid, [3-[[[4-(acetylamino)phenyl]sulfonyl]methylamino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydro-4H-furo[2,3-b]pyran-3-yl ester, [3R-[3.alpha.(1S*,2R*),3a.beta.,7a.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 184155-35-9 HCAPLUS
CN Carbamic acid, [3-[[(3-aminophenyl)sulfonyl](cyclohexylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydro-4H-furo[2,3-b]pyran-3-yl ester, [3S-[3.alpha.(1R*,2S*),3a.alpha.,7a.alpha.]]- (9CI) (CA INDEX NAME)

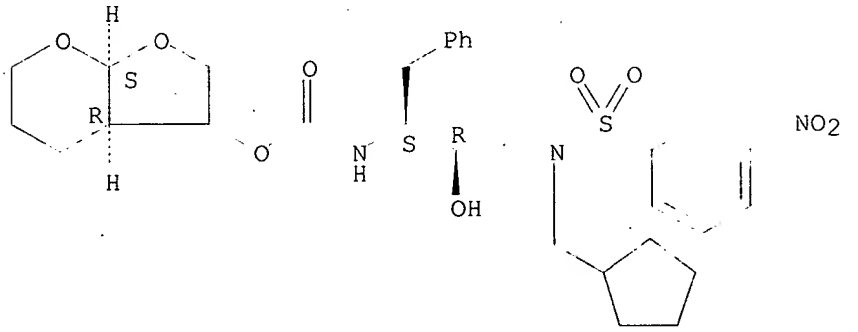
Absolute stereochemistry.



IT **184155-43-9P 184155-44-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of oxygen-heterocyclyl N-(sulfonamidohydroxyalkyl)carbamates and analogs as aspartyl protease inhibitors)

RN 184155-43-9 HCAPLUS
CN Carbamic acid, [3-[(cyclopentylmethyl)[(3-nitrophenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydro-4H-furo[2,3-b]pyran-3-yl ester, [3(1S,2R),3aR,7aS]-[partial]- (9CI) (CA INDEX NAME)

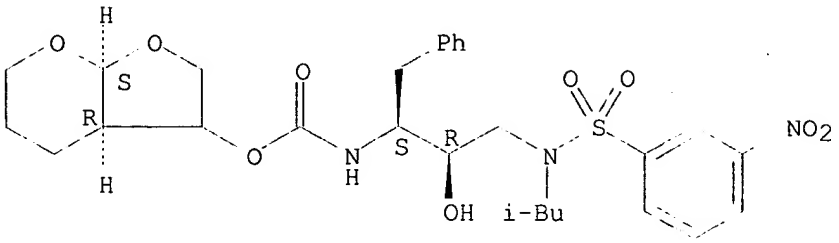
Absolute stereochemistry.



RN 184155-44-0 HCAPLUS
CN Carbamic acid, [2-hydroxy-3-[(2-methylpropyl)[(3-nitrophenyl)sulfonyl]amino]-1-(phenylmethyl)propyl]-, hexahydro-4H-

furo[2,3-b]pyran-3-yl ester, [3(1S,2R),3aR,7aS]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L43 ANSWER 8 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN
AN 1995:871984 HCAPLUS
DN 123:279761
TI Hydroxyethylamino sulfonamides useful as retroviral protease inhibitors
IN Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Bertenshaw, Deborah E.; Heintz, Robert M.
PA Searle, G. D., and Co., USA; Monsanto Co.
SO PCT Int. Appl., 255 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07C311-29
ICS C07D213-30; C07C317-14; C07C311-18; C07D307-79; C07K005-062; A61K031-18; A61K031-44
CC 7-3 (Enzymes)
FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 9506030	A1	19950302	WO 1994-US9139	19940823	<--
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	RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
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	US 6060476	A	20000509	US 1994-204827	19940302	<--
	AU 9476697	A1	19950321	AU 1994-76697	19940823	<--
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PRAI	US 1993-110911	A	19930824	<--		
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OS MARPAT 123:279761
AB Hyroxethylamino sulfonamide compds. AC(:Y)NR6CHR2CHOHCH2NR3S(:O)xR4 [I: R2=(substituted)alkyl, aryl, cycloalkyl, cycloalkylalkyl, aralkyl; R3=H; R3,R4=R2, alkenyl, alkynyl, heterocycloalkyl, -aryl, -aralkyl, -cycloalkyalkyl; R6=H, alkyl; x=1,2; Y=O, S; A=RO, R; R=alkyl, alkenyl; (hetero)aryl, cycloalkyl, cycloalkylalkyl, aralkyl, NH2, mono- or disubstituted amino, etc.] are effective as retroviral protease

inhibitors, and in particular as inhibitors of HIV protease. Many inhibitors were prepd. by (1) prepg. an N-protected amino epoxide and (2) reacting this with an amine and (3) prepg. a sulfonamide by reacting with a sulfonyl chloride or sulfonyl anhydride in the presence of an acid scavenger. The amino function of the sulfonamide was then (4) deprotected and (5) reacted with a carboxylate. In vitro HIV protease assays with these compds. revealed inhibitors with IC50's as low as 1.4 nM, e.g. [1S-[1R*(S*),2S*]]-I (A=p-MeOC6H4CH2OCONHCH2CHMe; Y=O; R6=H; R2=benzyl; R3=3-methylbutyl; x=2; R4=phenyl).

ST retrovirus protease inhibitor hydroxyethylamino sulfonamide; HIV protease inhibitor hydroxyethylamino sulfonamide

IT 144114-21-6, Retropepsin
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(HIV; hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

IT 169280-63-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

IT 1975-51-5P, 4-Nitro-2-methylbenzoic acid 157445-94-8P 157566-75-1P
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

IT 62-56-6, Thiourea, reactions 63-91-2, L-Phenylalanine, reactions 74-89-5, Methylamine, reactions 75-77-4, reactions 78-81-9, Isobutylamine 79-08-3, Bromoacetic acid 79-37-8, Oxalyl chloride 87-62-7, 2,6-Dimethylaniline 95-48-7, reactions 96-34-4, Methyl chloroacetate 98-09-9, Benzenesulfonyl chloride 98-68-0, 4-Methoxybenzenesulfonyl chloride 98-74-8, 4-Nitrobenzene sulfonyl chloride 100-39-0, Benzyl bromide 100-55-0, 3-Pyridylcarbinol

105-13-5, 4-Methoxybenzyl alcohol 105-36-2, Ethyl bromoacetate
107-31-3, Methyl formate 107-85-7, Isoamylamine 121-51-7,
3-Nitrobenzene sulfonyl chloride 124-63-0, Methanesulfonyl chloride
274-09-9, 1,3-Benzodioxole 496-16-2, 2,3-Dihydrobenzofuran 506-59-2,
Dimethylamine hydrochloride 541-88-8, Chloroacetic anhydride 576-26-1
603-80-5, 3-Hydroxy-2-methylbenzoic acid 619-45-4, Methyl
p-aminobenzoate 632-46-2, 2,6-Dimethylbenzoic acid 933-88-0, o-Toluoyl
chloride 1118-68-9, N,N-Dimethylglycine 2170-03-8, Itaconic anhydride
2304-96-3, N-Carbobenzoxy-L-asparagine 3167-49-5, 6-Aminonicotinic acid
3182-95-4, L-Phenylalaninol 3391-99-9 3392-08-3 4412-91-3,
3-(Hydroxymethyl)furan 5006-66-6, 6-Hydroxynicotinic acid 5326-38-5,
2-Iodo-5-nitrotoluene 10147-36-1, Propanesulfonyl chloride 18162-48-6,
tert-Butyldimethylsilyl chloride 22118-09-8, Bromoacetyl chloride
23326-27-4, Methyl tetrolate 24424-99-5, Di-tert-butyldicarbonate
25193-95-7, 5-Pyrimidinemethanol 25512-62-3, Cyclohexenone 26049-94-5
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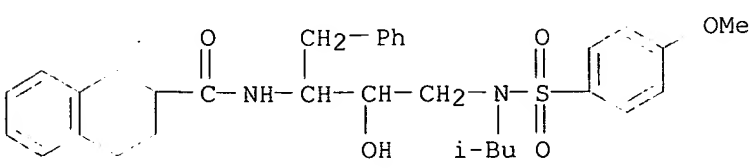
52130-17-3, 3-Amino-2-methylbenzoic acid 62965-10-0 74124-79-1,
N,N'-Disuccinimidyl carbonate 79107-75-8 103095-36-9 128018-44-0
136465-99-1 138499-08-8 143224-62-8 157445-95-9 157566-95-5
157567-10-7 159005-61-5 159005-92-2 159006-06-1 159006-48-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

IT 93-85-6P, 2-Amino-6-carboxybenzothiazole 578-39-2P, 4-Hydroxy-2-methylbenzoic acid 1878-49-5P, 2-Methylphenoxyacetic acid 3377-31-9P 6633-61-0P, Methyl 2-aminothiazole-5-carboxylate 13335-71-2P, 2,6-Dimethylphenoxyacetic acid 14527-44-7P, Methyl 5-thiazolecarboxylate 38585-74-9P, 5-Thiazolemethanol 39658-41-8P, Ethyl 6-aminonicotinate 50850-93-6P 54781-19-0P, 2-Trimethylsilyloxy-1,3-cyclohexadiene 60427-77-2P 83509-04-0P 84575-50-8P 111060-52-7P 111060-64-1P 115010-10-1P, 1,3-Benzodioxole-5-sulfonyl chloride 115010-11-2P 127927-43-9P 127943-39-9P 128018-43-9P 130165-86-5P 132605-93-7P 132605-97-1P 132605-98-2P 132696-45-8P 143224-86-6P 143225-04-1P 157446-10-1P 157566-90-0P 157566-91-1P 157567-12-9P 157567-13-0P 159005-59-1P 159005-71-7P 159005-90-0P 159006-04-9P 159006-05-0P 159006-08-3P 159006-09-4P 159006-10-7P 159006-11-8P 159006-12-9P 159006-13-0P 159006-14-1P 159006-15-2P 159006-16-3P 159006-17-4P 159006-18-5P 159006-20-9P 159006-22-1P 169280-79-9P 169280-80-2P 169280-81-3P 169280-82-4P 169280-83-5P 169280-84-6P 169280-85-7P 169280-86-8P 169280-87-9P 169280-88-0P 169280-89-1P 169280-90-4P 169280-91-5P 169280-92-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

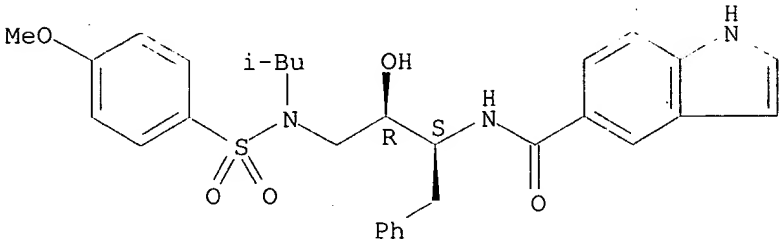
IT **159006-27-6P 159006-28-7P 169280-44-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

RN 159006-27-6 HCAPLUS
CN 2-Naphthalenecarboxamide, 1,2,3,4-tetrahydro-N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-(9CI) (CA INDEX NAME)



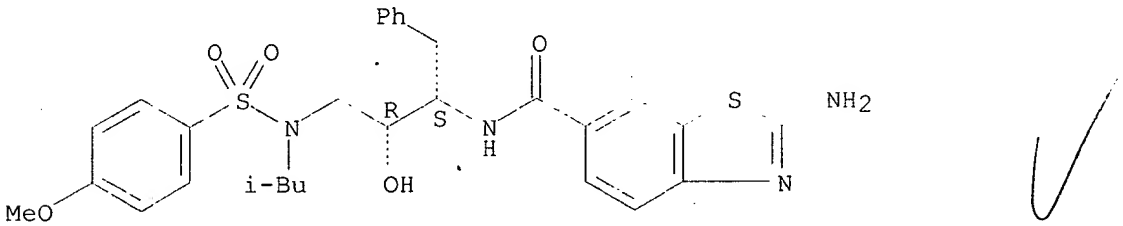
RN 159006-28-7 HCAPLUS
CN 1H-Indole-5-carboxamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 169280-44-8 HCAPLUS
CN 6-Benzothiazolecarboxamide, 2-amino-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L43 ANSWER 9 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN
AN 1994:701324 HCAPLUS
DN 121:301324
TI Preparation of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors
IN Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel; Decrescenzo, Gary A.; Freskos, John N.
PA Searle, G. D., and Co., USA; Monsanto Co.
SO PCT Int. Appl., 198 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07C311-29
ICS C07D213-30; C07K005-06; C07C317-44; C07C311-05; C07C311-18; C07D213-89; C07D215-48; C07C317-14; C07D239-26; C07D213-81; C07D213-82; C07C323-67; C07C311-41; C07D209-08; A61K031-18; A61K037-02; A61K031-44; A61K031-27
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1
FAN.CNT 6

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PI WO 9404492	A1	19940303	WO 1993-US7814	19930824 <--

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     US 5968942         A    19991019       US 1994-294468   19940823 <--
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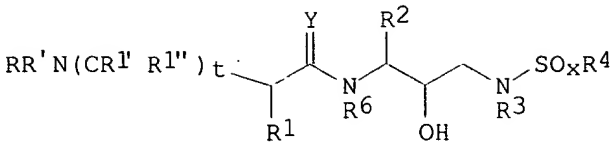

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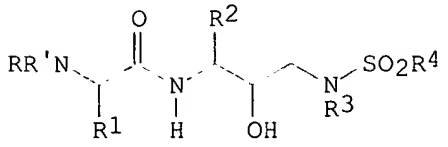

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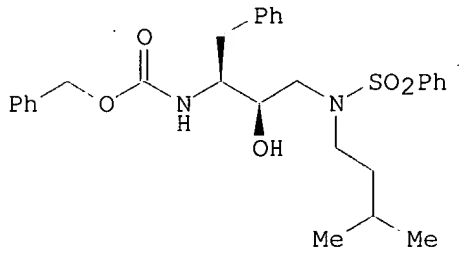

III

AB Title compds. [I and II; R = H, alkoxycarbonyl, aralkoxycarbonyl, alkylcarbonyl, cycloalkylcarbonyl, heterocyclylcarbonyl, heteroaryloxyalkyl, hydroxyalkyl, aryl, alkyl, alkenyl, alkynyl, substituted aminocarbonyl, etc.; R' = H, R3, R''SO2; RR'N = heterocyclyl, heteroaryl; R1 = H, CH2SO2NH2, CH2CO2Me, CO2Me, CONH2, CMe2SH, alkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, amino acid side chains, etc.; R1', R1'' = H, R1; 1 of R1', R1'' together with R1 form a cycloalkyl radical; R2 = (substituted) alkyl, aryl, cycloalkyl, cycloalkylalkyl, aralkyl; R3 = H, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, heterocycloalkyl, heteroaryl, aryl, aralkyl, heteroaralkyl, (substituted) aminoalkyl, etc.; R4 = R3, except H; R6 = H, alkyl; x = 0-2; t = 0, 1; Y = O, S, imino], were prepd. Thus, title compd. (III, soln. phase prepn. given) inhibited HIV protease with IC50 = 16 nM.

ST peptide analog prepn retroviral protease inhibitor; hydroxyethylamino sulfonamide peptide retroviral protease inhibitor; virucide prepn hydroxyethylamino sulfonamide; aids treatment hydroxyethylamino sulfonamide; hiv infection treatment hydroxyethylamino sulfonamide

IT Virucides and Virustats
(hydroxyethylamino sulfonamide peptide derivs.)

IT Peptides, preparation
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of hydroxyethylamino sulfonamide derivs. as HIV protease inhibitors)

IT Acquired immune deficiency syndrome
(treatment of, hydroxyethylamino sulfonamide derivs. for)

IT 144114-21-6, Retropepsin
RL: RCT (Reactant); RACT (Reactant or reagent)
(HIV, inhibitors, hydroxyethylamino sulfonamide derivs. for)

IT 157445-94-8P 157445-95-9P 157446-10-1P 157566-88-6P 157566-90-0P
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159006-46-9P 159006-47-0P 159006-50-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as HIV protease inhibitor)

IT 62029-74-7P 157566-96-6P 159006-49-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as HIV protease inhibitor intermediate)

IT 3377-31-9P 60427-77-2P 83509-04-0P 84575-50-8P 95437-43-7P
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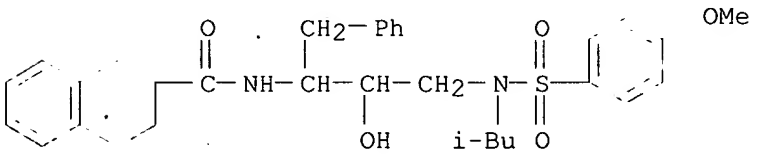
159006-17-4P 159006-18-5P 159006-20-9P 159006-22-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for HIV protease inhibitor)

IT 63-91-2, Phenylalanine, reactions 74-89-5, Methylamine, reactions 74-97-5, Bromochloromethane 78-81-9, Isobutylamine 93-10-7, 2-Quinolinecarboxylic acid 98-09-9, Benzenesulfonyl chloride 98-68-0, 4-Methoxybenzenesulfonyl chloride 100-39-0, Benzyl bromide 100-55-0, 3-Pyridylcarbinol 105-13-5, 4-Methoxybenzyl alcohol 107-85-7, Isoamylamine 124-40-3, Dimethylamine, reactions 124-63-0, Methanesulfonyl chloride 506-59-2, Dimethylamine hydrochloride 541-88-8, Chloroacetic anhydride 593-71-5, Chloroiodomethane 1118-68-9, N,N-Dimethylglycine 2170-03-8 2304-96-3, Z-Asn-OH 3182-95-4, L-Phenylalaninol 3391-99-9 3392-08-3 10147-36-1, Propanesulfonyl chloride 14254-57-0, Isonicotinoyl chloride 21900-37-8, 2,6-Dimethylbenzoyl chloride 22118-09-8, Bromoacetyl chloride 25193-95-7, 5-Pyrimidinemethanol 26049-94-5 62965-10-0 143224-62-8 157445-95-9 157566-95-5 157567-10-7 159005-71-7 159006-20-9 159006-48-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in prepn. of peptide deriv. HIV protease inhibitor)

IT **159006-27-6P 159006-28-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as HIV protease inhibitor)

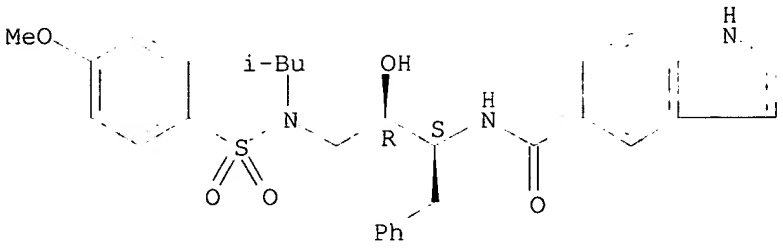
RN 159006-27-6 HCAPLUS

CN 2-Naphthalenecarboxamide, 1,2,3,4-tetrahydro-N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)



RN 159006-28-7 HCAPLUS
CN 1H-Indole-5-carboxamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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L51 ANSWER 1 OF 5 USPATFULL on STN
AN 2002:92677 USPATFULL
TI Sulfonamide inhibitors of aspartyl protease
IN Hale, Michael Robin, Bedford, MA, UNITED STATES
Andrews, Clarence Webster, III, Durham, NC, UNITED STATES
Furfine, Eric Steven, Durham, NC, UNITED STATES
Sherrill, Ronald George, Cary, NC, UNITED STATES
Spaltenstein, Andrew, Raleigh, NC, UNITED STATES
Lowen, Gregory Thomas, Williamsburg, VA, UNITED STATES
PI US 2002049201 A1 20020425
US 6613743 B2 20030902
AI US 2000-731129 A1 20001206 (9)
RLI Continuation of Ser. No. WO 1999-US13744, filed on 17 Jun 1999, UNKNOWN
PRAI US 1998-90094P 19980619 (60) <--
DT Utility
FS APPLICATION
LREP FISH & NEAVE, 1251 AVENUE OF THE AMERICAS, 50TH FLOOR, NEW YORK, NY, 10020-1105
CLMN Number of Claims: 24
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 7574
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention relates to a novel class of sulfonamides which are aspartyl protease inhibitors. In one embodiment, this invention relates to a novel class of HIV aspartyl protease inhibitors characterized by specific structural and physicochemical features. This invention also relates to pharmaceutical compositions comprising these compounds. The compounds and pharmaceutical compositions of this invention are particularly well suited for inhibiting HIV-1 and HIV-2 protease activity and consequently, may be advantageously used as anti-viral agents against the HIV-1 and HIV-2 viruses. This invention also relates to methods for inhibiting the activity of HIV aspartyl protease using the compounds of this invention and methods for screening compounds for

BD Interference
WO9965870 not 102(e)

anti-HIV activity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **252871-23-1P 252871-26-4P 252872-06-3P**
(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease)

IT **252871-16-2P 252871-17-3P 252871-18-4P**
252871-20-8P 252871-21-9P 252871-22-0P
252871-24-2P 252871-25-3P 252871-27-5P
252871-29-7P 252871-38-8P 252871-41-3P
252871-43-5P 252871-54-8P 252871-60-6P
252871-64-0P 252871-68-4P 252871-70-8P
252871-72-0P 252871-76-4P 252871-77-5P
252871-78-6P 252871-79-7P 252871-80-0P
252871-81-1P 252871-83-3P 252871-84-4P
252871-89-9P 252871-97-9P 252871-98-0P
252871-99-1P 252872-02-9P 252872-04-1P
252872-05-2P 252872-12-1P 252872-13-2P
252872-14-3P 252872-15-4P 252872-16-5P
252872-17-6P 252872-18-7P 252872-19-8P
252872-20-1P 252872-21-2P 252872-22-3P
252872-23-4P 252872-25-6P 252872-26-7P
252872-27-8P 252872-28-9P 252872-29-0P
252872-30-3P 252872-31-4P 252872-32-5P
252872-33-6P 252872-34-7P 252872-35-8P
252872-36-9P 252872-38-1P 252872-40-5P
252872-41-6P 252872-42-7P 252872-44-9P
252872-46-1P 252872-48-3P 252872-49-4P
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252872-55-2P 252872-57-4P 252873-80-6P
252873-82-8P 252879-32-6P 252879-33-7P
252879-34-8P 252879-35-9P 252879-36-0P
252879-37-1P 252879-38-2P 252879-39-3P
252879-40-6P 252879-41-7P 252879-42-8P
252879-43-9P 252879-44-0P 252879-45-1P
252879-46-2P 252879-47-3P 252879-48-4P
252879-49-5P 252879-50-8P 252879-51-9P
252879-52-0P 252879-53-1P
(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease)

IT **252873-47-5 252879-55-3**
(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease)

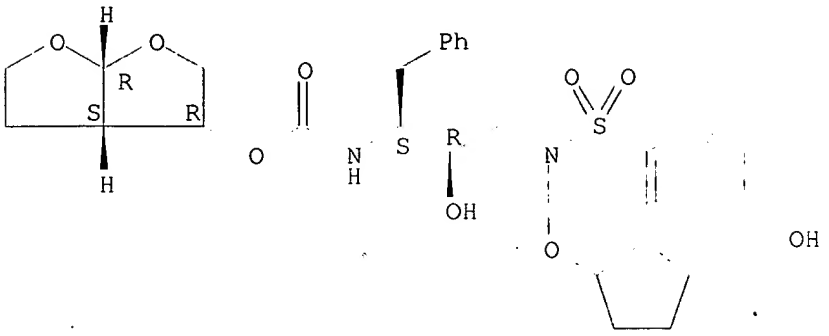
IT **252872-84-7P 252872-96-1P 252873-09-9P**
252873-10-2P 252873-11-3P 252873-12-4P
252873-15-7P 252873-16-8P 252873-17-9P
252873-25-9P 252873-26-0P 252873-30-6P
252873-31-7P 252873-32-8P 252873-42-0P
252879-54-2P
(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease)

IT **252871-23-1P**
(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease)

RN 252871-23-1 USPATFULL

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[(4-hydroxyphenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

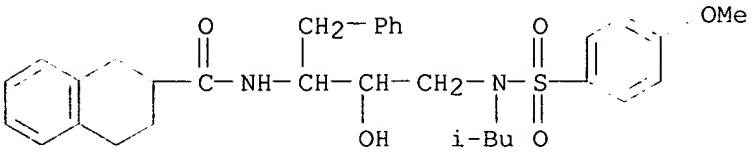
Absolute stereochemistry.



L51 ANSWER 2 OF 5 USPATFULL on STN
AN 2000:41035 USPATFULL
TI Hydroxyethylamino sulphonamides useful as retroviral protease inhibitors
IN Vazquez, Michael L., Gurnee, IL, United States
Mueller, Richard A., Glencoe, IL, United States
Talley, John J., Brentwood, MO, United States
Getman, Daniel P., Chestertfield, MO, United States
DeCrescenzo, Gary A., St. Peters, MO, United States
Freskos, John N., Clayton, MO, United States
Bertenshaw, Deborah E., Brentwood, MO, United States
Heintz, Robert M., Ballwin, MO, United States
PA G.D. Searle & Co., Chicago, IL, United States (U.S. corporation)
PI US 6046190 20000404
WO 9506030 19950302 <--
AI US 1996-586866 19960124 (8)
WO 1994-US9139 19940823
19960124 PCT 371 date
19960124 PCT 102(e) date
RLI Continuation-in-part of Ser. No. US 1994-204872, filed on 2 Mar 1994, now abandoned which is a continuation-in-part of Ser. No. WO 1993-US7814, filed on 24 Aug 1993 which is a continuation-in-part of Ser. No. US 1992-934984, filed on 25 Aug 1992, now abandoned
DT Utility
FS Granted
EXNAM Primary Examiner: Richter, Johann; Assistant Examiner: Solola, Taofiq A.
LREP Banner & Witcoff, Ltd.
CLMN Number of Claims: 13
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 5086
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to sulfonamide-containing hydroxyethylamine protease inhibitor compounds, their process of making, composition and method of use for inhibiting retroviral proteases such as human immunodeficiency virus.

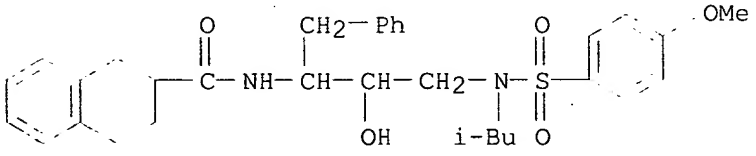
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **159006-27-6P 169280-44-8P 216871-08-8P**
(prepn. of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)
IT **159006-27-6P**
(prepn. of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)
RN 159006-27-6 USPATFULL
CN 2-Naphthalenecarboxamide, 1,2,3,4-tetrahydro-N-[2-hydroxy-3-[[(4-

methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-
(9CI) (CA INDEX NAME)



L51 ANSWER 3 OF 5 USPATFULL on STN
AN 1998:45216 USPATFULL
TI .beta.-amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors
IN Vazquez, Michael L., Gurnee, IL, United States
Mueller, Richard A., Glencoe, IL, United States
Talley, John J., St. Louis, MO, United States
Getman, Daniel, Chesterfield, MO, United States
DeCrescenzo, Gary A., St. Peters, MO, United States
Freskos, John N., Clayton, MO, United States
PA G.D. Searle & Co., St. Louis, MO, United States (U.S. corporation)
PI US 5744481 19980428 <--
AI US 1997-845392 19970425 (8)
RLI Continuation of Ser. No. US 1995-485524, filed on 7 Jun 1995, now abandoned which is a division of Ser. No. US 1993-110911, filed on 24 Aug 1993, now abandoned which is a continuation-in-part of Ser. No. US 1992-934984, filed on 25 Aug 1992, now abandoned
DT Utility
FS Granted
EXNAM Primary Examiner: Dentz, Bernard
LREP Banner & Witcoff, Ltd.
CLMN Number of Claims: 47
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 3389
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB .alpha.- and .beta.-amino acid hydroxyethylamino sulfonamide compounds are effective as retroviral protease inhibitors, and in particular as inhibitors of HIV protease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **159006-27-6P 159006-28-7P**
(prepn. of, as HIV protease inhibitor)
IT **159006-27-6P**
(prepn. of, as HIV protease inhibitor)
RN 159006-27-6 USPATFULL
CN 2-Naphthalenecarboxamide, 1,2,3,4-tetrahydro-N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-(9CI) (CA INDEX NAME)

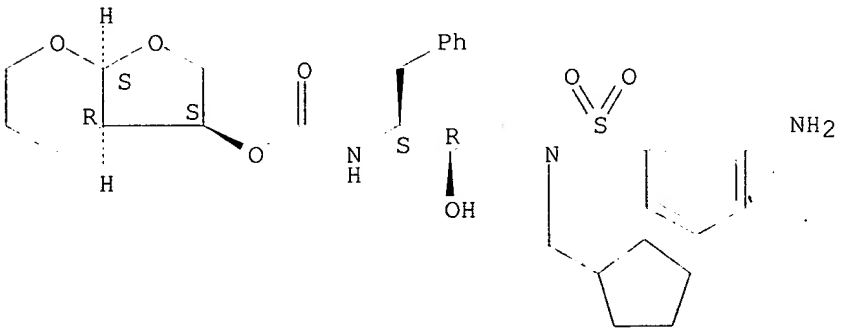


L51 ANSWER 4 OF 5 USPATFULL on STN
AN 97:109928 USPATFULL

TI Oxygenated-Heterocycle containing sulfonamide inhibitors of aspartyl protease
IN Tung, Roger D., Arlington, MA, United States
Bhisetti, Govinda Rao, Lexington, MA, United States
PA Vertex Pharmaceuticals Incorporated, Cambridge, MA, United States (U.S. corporation)
PI US 5691372 19971125 <--
AI US 1995-424810 19950419 (8)
DT Utility
FS Granted
EXNAM Primary Examiner: Dees, Jose' G.; Assistant Examiner: Stockton, Laura L.
LREP Fish & Neave, Haley, Jr., James F., Marks, Andrew S.
CLMN Number of Claims: 46
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 1973
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention relates to a novel class of sulfonamides which are aspartyl protease inhibitors. This invention also relates to pharmaceutical compositions comprising these compounds. The compounds and pharmaceutical compositions of this invention are particularly well suited for inhibiting HIV-1 and HIV-2 protease activity and consequently, may be advantageously used as anti-viral agents against the HIV-1 and HIV-2 viruses. This invention also relates to methods for inhibiting the activity of HIV aspartyl protease using the compounds of this invention.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **184155-30-4P 184155-31-5P 184155-32-6P 184155-33-7P 184155-34-8P 184155-35-9P**
(prepn. of oxygen-heterocyclyl N-(sulfonamidohydroxyalkyl)carbamates and analogs as aspartyl protease inhibitors)
IT **184155-43-9P 184155-44-0P**
(prepn. of oxygen-heterocyclyl N-(sulfonamidohydroxyalkyl)carbamates and analogs as aspartyl protease inhibitors)
IT **184155-30-4P**
(prepn. of oxygen-heterocyclyl N-(sulfonamidohydroxyalkyl)carbamates and analogs as aspartyl protease inhibitors)
RN 184155-30-4 USPATFULL
CN Carbamic acid, [3-[[(3-aminophenyl)sulfonyl](cyclopentylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydro-4H-furo[2,3-b]pyran-3-yl ester, [3S-[3.alpha.(1R*,2S*),3a.beta.,7a.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L51 ANSWER 5 OF 5 USPAT2 on STN
AN 2002:92677 USPAT2

TI Sulfonamide inhibitors of aspartyl protease
IN Hale, Michael Robin, Bedford, MA, United States
Andrews, III, Clarence Webster, Durham, NC, United States
Furfine, Eric Steven, Durham, NC, United States
Sherrill, Ronald George, Cary, NC, United States
Spaltenstein, Andrew, Raleigh, NC, United States
Lowen, Gregory Thomas, Williamsburg, VA, United States
PA Vertex Pharmaceuticals Incorporated, Cambridge, MA, United States (U.S. corporation)
PI US 6613743 B2 20030902
AI US 2000-731129 20001206 (9)
RLI Continuation of Ser. No. WO 1999-US13744, filed on 17 Jun 1999
PRAI US 1998-90094P 19980619 (60) <--
DT Utility
FS GRANTED
EXNAM Primary Examiner: Raymond, Richard L.; Assistant Examiner: McKenzie, Thomas
LREP Fish & Neave, Haley, Jr., James F., Wang, Min
CLMN Number of Claims: 25
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 7394
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention relates to a novel class of sulfonamides of formula I which are aspartyl protease inhibitors. In one embodiment, this invention relates to a novel class of HIV aspartyl protease inhibitors characterized by specific structural and physicochemical features. This invention also relates to pharmaceutical compositions comprising these compounds. The compounds and pharmaceutical compositions of this invention are particularly well suited for inhibiting HIV-1 and HIV-2 protease activity and consequently, may be advantageously used as anti-viral agents against the HIV-1 and HIV-2 viruses. This invention also relates to methods for inhibiting the activity of HIV aspartyl protease using the compounds of this invention and methods for screening compounds for anti-HIV activity. The sulfonamides of formula I have the structure: ##STR1##

wherein A, B, D, D', E, G and R.sup.7 are as defined above.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **252871-23-1P 252871-26-4P 252872-06-3P**
(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease)
IT **252871-16-2P 252871-17-3P 252871-18-4P**
252871-20-8P 252871-21-9P 252871-22-0P
252871-24-2P 252871-25-3P 252871-27-5P
252871-29-7P 252871-38-8P 252871-41-3P
252871-43-5P 252871-54-8P 252871-60-6P
252871-64-0P 252871-68-4P 252871-70-8P
252871-72-0P 252871-76-4P 252871-77-5P
252871-78-6P 252871-79-7P 252871-80-0P
252871-81-1P 252871-83-3P 252871-84-4P
252871-89-9P 252871-97-9P 252871-98-0P
252871-99-1P 252872-02-9P 252872-04-1P
252872-05-2P 252872-12-1P 252872-13-2P
252872-14-3P 252872-15-4P 252872-16-5P
252872-17-6P 252872-18-7P 252872-19-8P
252872-20-1P 252872-21-2P 252872-22-3P
252872-23-4P 252872-25-6P 252872-26-7P
252872-27-8P 252872-28-9P 252872-29-0P
252872-30-3P 252872-31-4P 252872-32-5P
252872-33-6P 252872-34-7P 252872-35-8P

252872-36-9P 252872-38-1P 252872-40-5P
252872-41-6P 252872-42-7P 252872-44-9P
252872-46-1P 252872-48-3P 252872-49-4P
252872-51-8P 252872-52-9P 252872-53-0P
252872-55-2P 252872-57-4P 252873-80-6P
252873-82-8P 252879-32-6P 252879-33-7P
252879-34-8P 252879-35-9P 252879-36-0P
252879-37-1P 252879-38-2P 252879-39-3P
252879-40-6P 252879-41-7P 252879-42-8P
252879-43-9P 252879-44-0P 252879-45-1P
252879-46-2P 252879-47-3P 252879-48-4P
252879-49-5P 252879-50-8P 252879-51-9P
252879-52-0P 252879-53-1P
(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease)

IT 252873-47-5 252879-55-3
(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease)

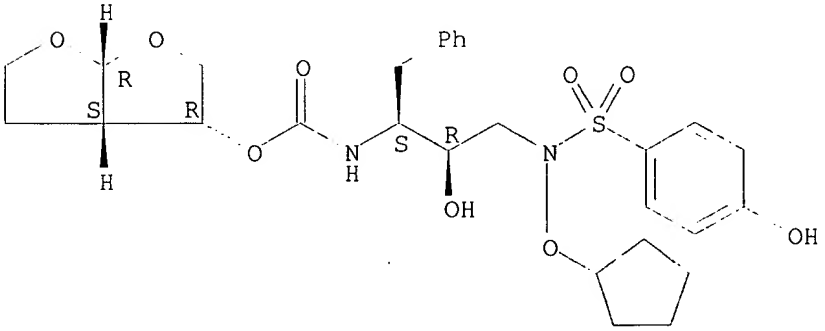
IT 252872-84-7P 252872-96-1P 252873-09-9P
252873-10-2P 252873-11-3P 252873-12-4P
252873-15-7P 252873-16-8P 252873-17-9P
252873-25-9P 252873-26-0P 252873-30-6P
252873-31-7P 252873-32-8P 252873-42-0P
252879-54-2P
(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease)

IT 252871-23-1P
(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease)

RN 252871-23-1 USPAT2

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[(4-hydroxyphenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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(FILE 'HOME' ENTERED AT 14:28:22 ON 06 OCT 2003)
SET COST OFF

FILE 'HCAPLUS' ENTERED AT 14:28:37 ON 06 OCT 2003
E ERICKSON J/AU

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L1          66 S E3,E24
               E ERICKSON JOHN/AU
L2         149 S E3,E20,E21
               E GULNIK S/AU
L3          54 S E3,E4,E6-E10
               E MITSUYA H/AU
L4         287 S E3,E6,E7,E9
L5          52 S L1,L2 AND L3,L4
L6           4 S L3 AND L4
L7           4 S L5 AND L6
               SEL RN

     FILE 'REGISTRY' ENTERED AT 14:30:21 ON 06 OCT 2003
L8          15 S E1-E15
L9           1 S L8 AND OC4-OC4/ES

     FILE 'HCAPLUS' ENTERED AT 14:31:48 ON 06 OCT 2003
L10         12 S L9
L11          7 S L10 AND L1-L7
L12          6 S L11 NOT L7
L13          5 S L10 NOT L11
L14         11 S L12,L13
               SEL RN

     FILE 'REGISTRY' ENTERED AT 14:32:26 ON 06 OCT 2003
L15        320 S E16-E335
L16        201 S L15 AND OC4-OC4/ES
L17        193 S L16 AND 46.150.18/RID
L18         33 S L17 AND 4/NR
L19         12 S L18 AND (C28H38N2O8S OR C28H39N3O7S OR C27H36N2O8S OR C28H38N
               SEL RN 1-6
L20          6 S L19 NOT E336-E341
               SEL RN
L21          0 S E342-E347/CRN
L22          6 S L9,L20

     FILE 'HCAPLUS' ENTERED AT 14:43:21 ON 06 OCT 2003
L23         12 S L22
L24          7 S TMC126 OR TMC 126 OR UIC94003 OR UIC()(94003 OR 94 003)
L25         13 S L23,L24
L26          7 S L25 AND L1-L7
L27         13 S L25,L26
L28          3 S L27 AND (PD<=19980623 OR PRD<=19980623 OR AD<=19980623)

     FILE 'REGISTRY' ENTERED AT 14:44:54 ON 06 OCT 2003
L29          6 S L19 NOT L22

     FILE 'HCAPLUS' ENTERED AT 14:45:27 ON 06 OCT 2003
L30          1 S L29
L31          0 S L30 AND (PD<=19980623 OR PRD<=19980623 OR AD<=19980623)

     FILE 'REGISTRY' ENTERED AT 14:46:03 ON 06 OCT 2003
L32            STR
L33            STR L32
L34          5 S L33
L35       1066 S L33 FUL
               SAV L35 EMILY720/A
L36        196 S L35 AND L8,L15
L37        870 S L35 NOT L36

     FILE 'HCAPLUS' ENTERED AT 15:36:51 ON 06 OCT 2003
L38         17 S L36
L39         15 S L37
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L40          12 S L38,L39 AND (PD<=19980623 OR PRD<=19980623 OR AD<=19980623)
L41           2 S L40 AND L1-L4
L42           3 S L28,L41
L43           9 S L40 NOT L42

     FILE 'REGISTRY' ENTERED AT 15:38:46 ON 06 OCT 2003

     FILE 'HCAPLUS' ENTERED AT 15:39:10 ON 06 OCT 2003

     FILE 'USPATFULL, USPAT2' ENTERED AT 15:40:07 ON 06 OCT 2003
L44          20 S L27
L45           0 S L29
L46           2 S L36
L47          25 S L37
L48          10 S L44-L47 AND (PD<=19980623 OR PRD<=19980623)
L49           5 S L48 AND (A61K OR A61P)/IC,ICM,ICS
L50           5 S L48 AND 514/NCLM,NCLS
L51           5 S L49,L50

     FILE 'USPATFULL, USPAT2' ENTERED AT 15:42:52 ON 06 OCT 2003
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